Access DB# 78188

540

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: PATEL, SUDHAKER Examiner #: 77018 Date: 10/18/02
Art Unit: 1624 Phone Number 30 84709 Serial Number: 10069215
Mail Box and Bldg/Room Location: CM1-4E17 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

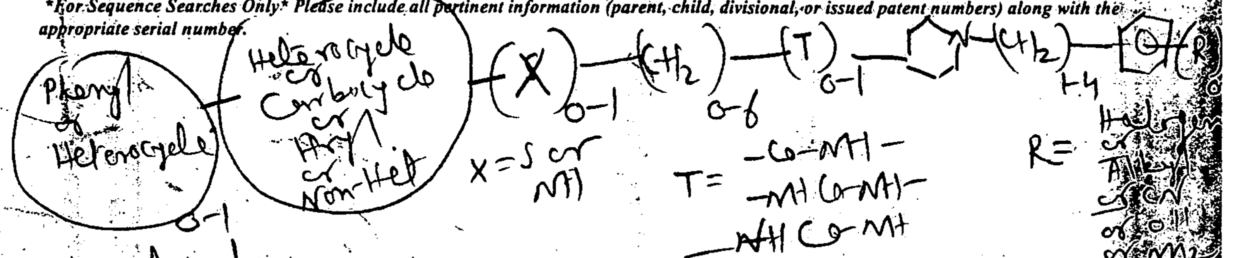
Title of Invention: SUBSTITUTED PIPERIDINE COMPOUNDS USEFUL AS MODULATORS OF CHEMOKINE RECEPTOR ACTIVITY

Inventors (please provide full names): STEPHEN THOM et al

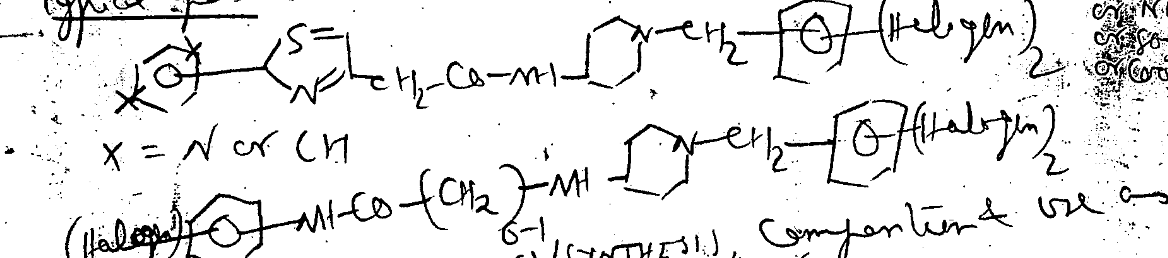
Earliest Priority Filing Date: 8/24/1999

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 6B05 Tel: 305-4053

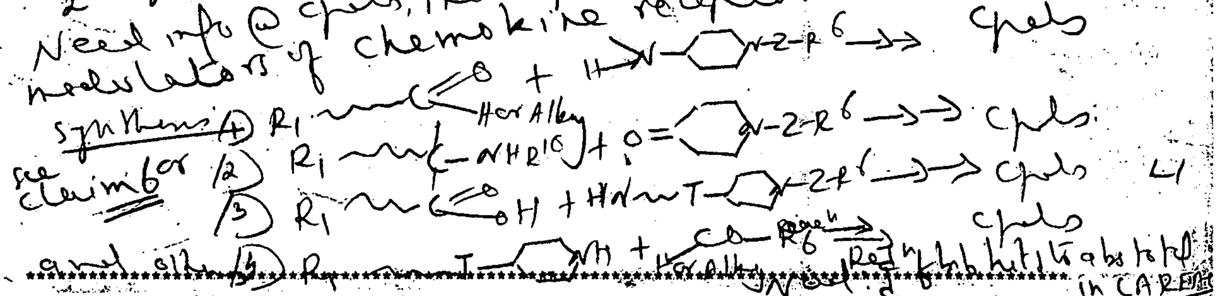
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



Typical cpds



Need info @ cpds, PROCESS/SYNTHESIS, compositions & use as modulators of chemokine receptor



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STAFF USE ONLY | Type of Search | Vendors and cost where applicable

Searcher:	Hanley	NA Sequence (#)		STN	
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Searcher Location:		Structure (#)		Questel/Orbit	
Date Searcher Picked Up:	10/31	Bibliographic		Dr.Link	
Date Completed:		Litigation		Lexis/Nexis	
Searcher Prep & Review Time:		Fulltext		Sequence Systems	
Clerical Prep Time:		Patent Family		WWW/Internet	
Online Time:		Other		Other (specify)	

RECEIVED OCT 21 2002 (STIC)

PTO-1590 (8-01)

=> d ibib abs hitstr 1-44

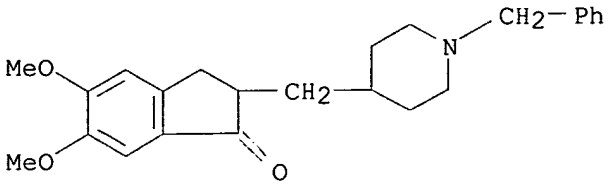
L38 ANSWER 1 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:754995 HCAPLUS
DOCUMENT NUMBER: 137:268473
TITLE: Porous drug matrices and methods of manufacture thereof
INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard; Chickering, Donald E.; Khattak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S. 6,395,300.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142050	A1	20021003	US 2002-53929	20020122 <--
US 6395300	B1	20020528	US 1999-433486	19991104 <--

PRIORITY APPLN. INFO.: US 1999-136323P P 19990527 <--
US 1999-158659P P 19991008
US 1999-433486 A2 19991104

AB Drugs, esp. low aq. soly. drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aq. media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aq: soly., in a volatile solvent to form a drug soln., (ii) combining at least one pore forming agent with the drug soln. to form an emulsion, suspension, or second soln. and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystn., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second soln. to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in cryst. form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystn. The pore forming agent can be either a volatile liq. that is immiscible with the drug solvent or a volatile solid compd., preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aq. medium and administered parenterally, or processed using std. techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A soln. of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the org. soln. (phase ratio 1:10) and homogenized for 5 min at 16,000 RPM. The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

IT **120014-06-4**, Donepezil
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(porous drug matrixes and methods of manuf. thereof)
RN 120014-06-4 HCAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 2 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:62383 HCAPLUS
DOCUMENT NUMBER: 134:115858
TITLE: Preparation of heteroaryl-substituted pyrroles having excellent inhibitory activity against the prodn. of inflammatory cytokines
INVENTOR(S): Kimura, Tomio; Aoki, Kazumasa; Nakao, Akira; Ushiyama, Shigeru; Shimozato, Takaichi; Ohkawa, Nobuyuki
PATENT ASSIGNEE(S): Sankyo Company Limited, Japan
SOURCE: Eur. Pat. Appl., 367 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1070711	A2	20010124	EP 2000-306196	20000720 <--
EP 1070711	A3	20010131		
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NO 2000003734	A	20010122	NO 2000-3734	20000720 <--
EP 1243589	A1	20020925	EP 2002-11912	20000720 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AU 2000048755	A5	20010201	AU 2000-48755	20000721 <--
BR 2000004534	A	20010228	BR 2000-4534	20000721 <--
CN 1295069	A	20010516	CN 2000-131303	20000721 <--
JP 2001247564	A2	20010911	JP 2000-220199	20000721 <--

PRIORITY APPLN. INFO.: JP 1999-205491 A 19990721 <--
JP 1999-369678 A 19991227
EP 2000-306196 A3 20000720

OTHER SOURCE(S): MARPAT 134:115858
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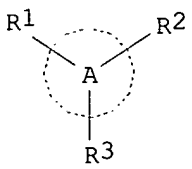

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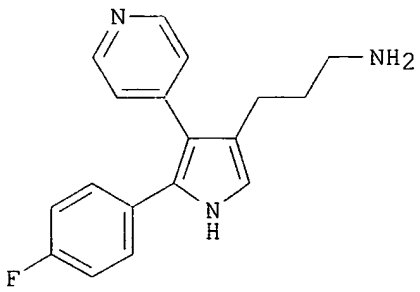


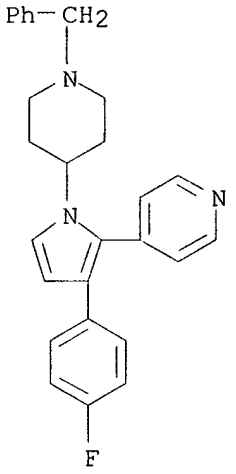
II

AB The title compds. [I; A = pyrrole; R1 = (un)substituted aryl or heteroaryl; R2 = (un)substituted nitrogen-contg. heteroaryl; R3 = XR4 (wherein X = a single bond, (un)substituted alkylene, alkenylene, alkynylene; R4 = substituted cycloalkyl, aryl, heterocyclyl, etc.); provided that said substituents R1 and R3 are bonded to the two atoms of said pyrrole ring which are adjacent to the atom of the pyrrole ring to which said substituent R2 is bonded] which have excellent inhibitory activity against the prodn. of inflammatory cytokines such as TNF.alpha. (biol. data given) and IL-1.beta., and are useful in treating arthritis, were prepd. and formulated. E.g., a multi-step synthesis of the pyrrole II was given.

IT **321343-86-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heteroaryl-substituted pyrroles having excellent inhibitory activity against the prodn. of inflammatory cytokines)

RN 321343-86-6 HCAPLUS

CN Pyridine, 4-[3-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 3 OF 44 HCAPLUS COPYRIGHT 2002 ACS

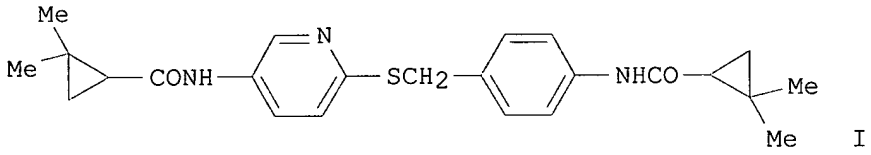
ACCESSION NUMBER: 2001:31464 HCAPLUS
DOCUMENT NUMBER: 134:100762
TITLE: Preparation of pyridine derivatives and medicinal use thereof
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Kodaira, Ariko; Hatanaka, Toshihiro; Takehana, Kenji; Kobayashi, Tsuyoshi; Konishi, Atsushi; Yamamoto, Takashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002359	A1	20010111	WO 2000-JP4298	20000629 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1193255	A1	20020403	EP 2000-940879	20000629 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000012046	A	20020514	BR 2000-12046	20000629 <--
US 2002133005	A1	20020919	US 2001-29871	20011231 <--

PRIORITY APPLN. INFO.:		
JP 1999-187959	A	19990701 <--
JP 2000-71706	A	20000315
WO 2000-JP4298	W	20000629

OTHER SOURCE(S): MARPAT 134:100762

GI



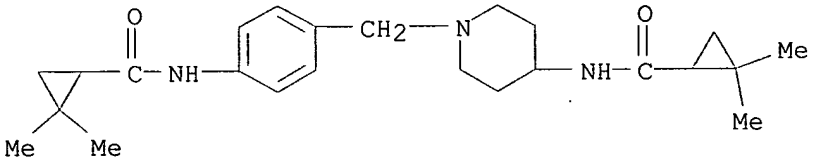
I

AB Heterocyclic compds. represented by the following general formula R1-CO-N(R2)-A-X-B-N(R3)-Y-(CH2)n-R4 [R1 = (un)substituted or cycloalkenyl; R2, R3 = H, alkyl; R4 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, or heterocyclyl having .gtoreq.1 heteroatom(s); A = (un)substituted heterocyclic ring; B = (un)substituted arom. or heterocyclic ring; n = 0-6; Y = a bond between atoms, CO, CO2, CONR5, C(S)NR5, SO, SO2 (wherein R5 = H, alkyl); X = a bond between atoms, O, OCHR7, CHR8O, O2C, CO2, OC(S), C(S)O, S, SO, SO2, SCHR9, CHR10S, SC(O), C(O)S, SC(S), C(S)S, SO2 NR11, NR12SO2, NR13, etc.; R7 - R10 = H, alkyl; R11 - R13 = H, alkyl, acyl] or pharmacol. acceptable salts thereof are prepd. These compds. have inhibitory effects on AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor, etc. and are usable as drugs such as antiinflammatory, antirheumatic, antiviral agents, immunosuppressants, cancer metastasis inhibitors, and antiarteriosclerotics. Thus, 2-mercapto-5-nitropyridine was treated with NaH in DMF and then alkylated by 1-bromomethyl-4-nitrobenzene at room temp. for 1.5 h to give 2-(4-nitrobenzylthio)-5-nitropyridine which was reduced by Zn/AcOH in THF at room temp. for 16 h to 2-(4-aminobenzylthio)-5-aminopyridine and then acylated by 2,2-dimethylcyclopropanecarbonyl chloride in the presence of Et3N in CH2Cl2 at room temp. for 17 h to give 2-(4-(2,2-dimethylcyclopropanecarbonylamino)benzylthio)-5-(2,2-dimethylcyclopropanecarbonylamino)pyridine (I). I in vitro inhibited NF-kappa B activity with IC50 of 0.015 .mu.g/mL in an assay measuring .beta.-galactosidase activity expressed in HUVEC cells and driven by

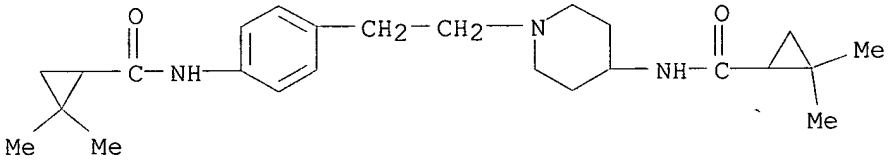
NF-kappa B-binding sequence-fused SV40 T antigen min. promoter.

IT **318967-28-1P 318967-29-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. as inhibitors of AP-1 activity, NF-kappa B activity, inflammatory cytokine prodn., matrix metalloprotease prodn., expression of inflammatory cell adhesion factor)

RN 318967-28-1 HCAPLUS
CN Cyclopropanecarboxamide, N-[1-[[4-[[(2,2-dimethylcyclopropyl)carbonyl]amino]phenyl]methyl]-4-piperidinyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 318967-29-2 HCAPLUS
CN Cyclopropanecarboxamide, N-[1-[2-[4-[[(2,2-dimethylcyclopropyl)carbonyl]amino]phenyl]ethyl]-4-piperidinyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:861473 HCAPLUS
DOCUMENT NUMBER: 134:32972
TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture
INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072827	A2	20001207	WO 2000-US14578	20000525 <--
WO 2000072827	A3	20010125		

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SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

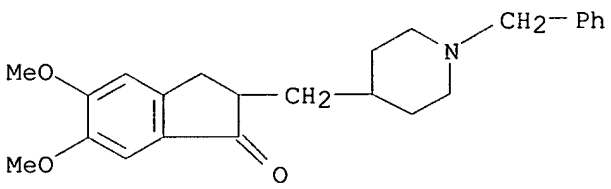
US 6395300	B1	20020528	US 1999-433486		19991104	<--
EP 1180020	A2	20020220	EP 2000-939365		20000525	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
BR 2000010984	A	20020430	BR 2000-10984		20000525	<--
US 2002041896	A1	20020411	US 2001-798824		20010302	
NO 2001005753	A	20020128	NO 2001-5753		20011126	<--
PRIORITY APPLN. INFO.:			US 1999-136323P	P	19990527	<--
			US 1999-158659P	P	19991008	
			US 1999-433486	A	19991104	
			US 2000-186310P	P	20000302	
			WO 2000-US14578	W	20000525	

AB Drugs, esp. low aq. soly. drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aq. media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aq. soly., in a volatile solvent to form a drug soln., (ii) combining at least one pore forming agent with the drug soln. to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second soln. to yield the porous matrix of drug. The pore forming agent can be either a volatile liq. that is immiscible with the drug solvent or a volatile solid compd., preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aq. medium and administered parenterally, or processed using std. techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded org. soln. was prepd. by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aq. soln. was prepd. by dissolving 3.27 g of NH4HCO3 and 0.91 g of PEG 3350 in 1.82 mL of water. The aq. and org. solns. were homogenized and resulting emulsion was spray dried. A suspension of the porous nifedipine drug matrix was prepd. in 5% dextrose soln. at a concn. of 2.5 mg/mL. A bolus injection of the suspension was tolerated when administrated to dogs.

IT **120014-06-4**, Donepezil
RL: PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(prepn. of porous matrixes contg. hydrophilic polymers and sugars for enhancement of drug dissoln.)

RN 120014-06-4 HCAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 5 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:814466 HCAPLUS
DOCUMENT NUMBER: 133:362714
TITLE: Preparation of cyclic compounds having antagonism against .beta.-beta **chemokine** receptor (CCR5)
INVENTOR(S): Shiraishi, Mitsuru; Baba, Masanori; Seto, Masaki; Kanzaki, Naoyuki; Nishimura, Osamu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000068203	A1	20001116	WO 2000-JP2825	20000428	<--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
JP 2001026586	A2	20010130	JP 2000-134249	20000428	<--
EP 1182195	A1	20020227	EP 2000-921096	20000428	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					

PRIORITY APPLN. INFO.: JP 1999-127724 A 19990507 <--
WO 2000-JP2825 W 20000428
OTHER SOURCE(S): MARPAT 133:362714
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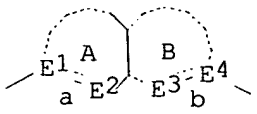
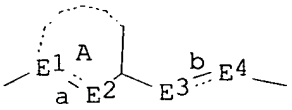
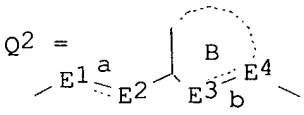
Q = 

Q1 = 

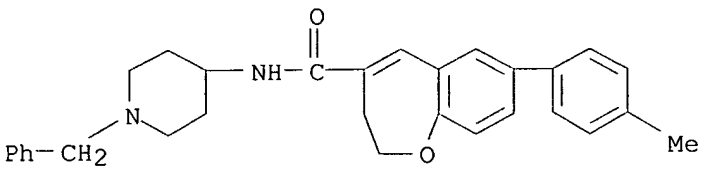
Q2 = 

AB Compds. of general formula R1-X1-W-X2-Z1-Z2-R2 or salts thereof [wherein R1 is an optionally substituted five- or six-membered ring group; X1 is a free valency or divalent group having 1-4 C atoms in the straight chain moiety; W is a divalent group represented by general formula Q, Q1, or Q2 (wherein A and B are each an optionally substituted five- to seven-membered ring; E1 and E4 are each optionally substituted carbon or N; E2 and E3 are each optionally substituted carbon or N, O, or optionally oxidized S; and a and b are each a single bond or a double bond); X2 is a divalent group constituting a C1-4 straight chain moiety; Z1 is a single bond or a divalent cyclic group; Z2 is a free valency or divalent group having 1-4 C atoms in the straight chain moiety; and R2 is (1) optionally substituted, quaternized, or oxidized amino, (2) optionally substituted N-contg. heterocyclyl optionally contg. S or O and optionally quaternized or oxidized at the N atom, (3) group bonding through S atom, etc.] are prepd. These compds. exhibit preventive and therapeutic effects against HIV infections or AIDS. Thus, chlorination of 7-[(2-propoxybenzyl)oxy]-1,1-dioxo-2,3-dihydro-1-benzothiepin-4-carboxylic acid by SOCl2 in the presence of one drop of DMF at room temp. for 1 h followed by condensation with 4-[[N-methyl-N-(tetrahydropyran-4-yl)amino]methyl]aniline in the presence of Et3N in THF at room temp. for 2 days gave N-[4-[[N-methyl-N-(tetrahydropyran-4-yl)amino]methyl]phenyl]-7-[(2-propoxybenzyl)oxy]-1,1-dioxo-2,3-dihydro-1-benzothiepin-4-carboxamide (I). I in vitro inhibited the binding of 125I-RANTES to recombinant CCR5 receptor by 98%. A capsule and a tablet formulation contg. I were prepd.

IT **307301-68-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic compds. having antagonism against CCR 5 receptor for preventives and therapeutics for HIV and AIDS)

RN 307301-68-4 HCAPLUS

CN 1-Benzoxepin-4-carboxamide, 2,3-dihydro-7-(4-methylphenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

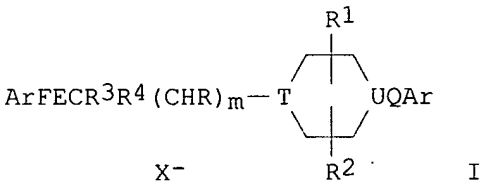


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 6 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:694984 HCAPLUS
DOCUMENT NUMBER: 133:237865
TITLE: Preparation of piperidine quaternary salts as CCR-3 receptor antagonists
INVENTOR(S): Hirschfeld, Donald Roy; Kertesz, Denis John; Smith, David Bernard
PATENT ASSIGNEE(S): F Hoffmann-La Roche A.-G., Switz.
SOURCE: Brit. UK Pat. Appl., 72 pp.
CODEN: BAXXDU
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2343894	A1	20000524	GB 1999-27228	19991117 <--
GB 2343894	B2	20010725		

PRIORITY APPLN. INFO.: US 1998-109293 P 19981120 <--
OTHER SOURCE(S): MARPAT 133:237865
GI



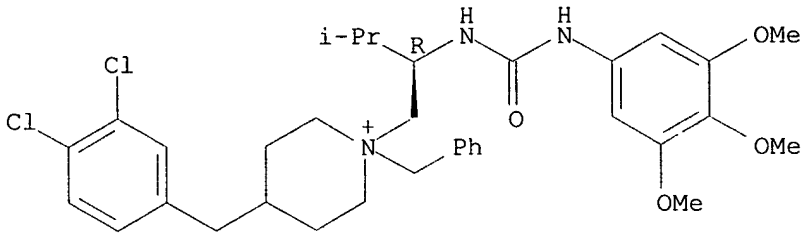
I

AB The title compds. I [one of T and U is N+R5 and R5 = alkyl, haloalkyl, amidoalkyl, etc., and the other is CH; X- = counterion; R1, R2 = H, alkyl; m = 0-3; Ar, Ar1 = aryl, heteroaryl; F = alkylene, alkenylene, bond; R = H, alkyl; R3, R4 = H, alkyl, cycloalkyl, etc.; E = CONR6, SO2NR6, etc.; q = CO, alkylene optionally interrupted by CO, NR8, O, etc.], CCR-3 receptor antagonists, were prepd. E.g., 4-(3,4-dichlorobenzyl)-1-methyl-1-{3-methyl-2R-[3-(3,4,5-trimethoxyphenyl)ureido]butyl}piperidinium iodide was prepd.

IT **270572-41-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic**

use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine quaternary salts as CCR-3 receptor antagonists)
RN 270572-41-3 HCAPLUS
CN Piperidinium, 4-[(3,4-dichlorophenyl)methyl]-1-[(2R)-3-methyl-2-[[[(3,4,5-trimethoxyphenyl)amino]carbonyl]amino]butyl]-1-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



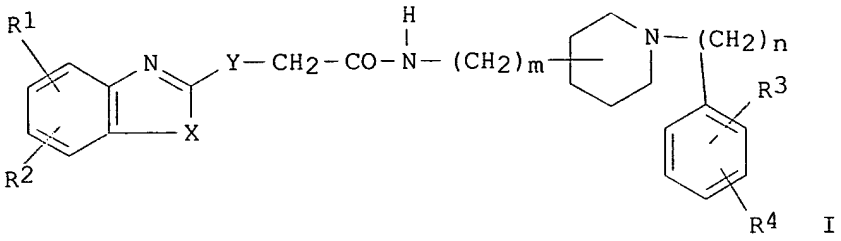
● I^-

L38 ANSWER 7 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:646008 HCAPLUS
DOCUMENT NUMBER: 133:207890
TITLE: Preparation of N-piperidinylbenzothiazolylthioacetamide derivatives and analogs thereof as **chemokine** receptor antagonists
INVENTOR(S): Naya, Akira; Kobayashi, Kensuke; Ishikawa, Makoto; Saeki, Toshihiko; Ohwaki, Kenji; Otake, Norikazu; Noguchi, Kazuhito
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053600	A1	20000914	WO 2000-JP1479	20000310 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-64194 A 19990311 <--
OTHER SOURCE(S): MARPAT 133:207890
GI

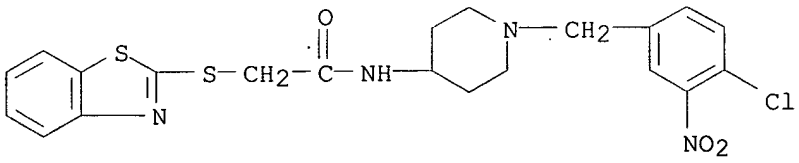


I

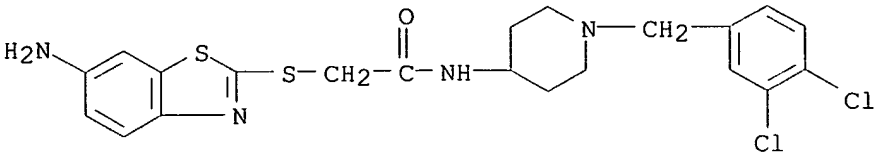
AB The title compds. I [m is 0 or 1; n is an integer of from 1 to 3; R1 and R2 are the same or different and each represents hydrogen, halogeno, amino, etc.; R3 and R4 are the same or different and each represents hydrogen, halogeno, nitro, etc.; and X and Y are the same or different and each represents oxygen or sulfur] are prepd. These compds. specifically inhibit, for example, binding of a **chemokine** to a **chemokine** receptor such as CCR3 and regulate the selective migration and activation of leukocytes. Due to this effect, these compds. are useful in treating, for example, acute and chronic inflammatory diseases such as sepsis, pneumonia, arthritis and allergic diseases, cancer, reperfusion injury, arteriosclerosis, rejection reaction in assocn. with organ transplantation, human immunol. deficiency syndrome, etc., in particular, acute and chronic inflammatory diseases and human immunol. deficiency syndrome. In an in vitro test for CCR3 antagonism, N-[1-(3,4-dichlorobenzyl)-4-piperidyl]-(6-amino-2-benzothiazolylthio)acetamide showed IC50 of 68 nM.

IT **290363-19-8P 290363-23-4P 290363-29-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-piperidinylbenzothiazolylthioacetamide derivs. and analogs thereof as **chemokine** receptor antagonists)

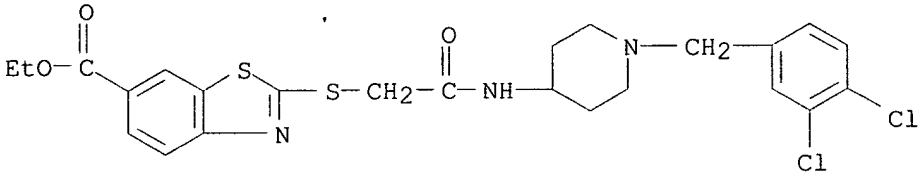
RN 290363-19-8 HCAPLUS
CN Acetamide, 2-(2-benzothiazolylthio)-N-[1-[(4-chloro-3-nitrophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 290363-23-4 HCAPLUS
CN Acetamide, 2-[(6-amino-2-benzothiazolyl)thio]-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

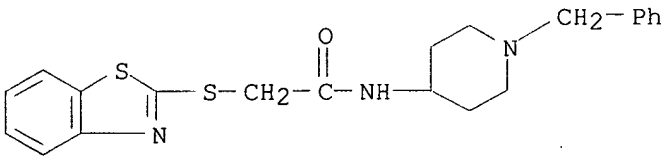


RN 290363-29-0 HCAPLUS
CN 6-Benzothiazolecarboxylic acid, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

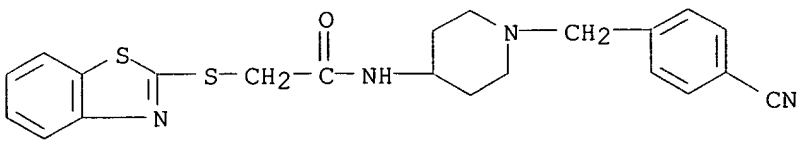


IT **290363-00-7P 290363-02-9P 290363-05-2P**
290363-07-4P 290363-10-9P 290363-21-2P
290363-25-6P 290363-27-8P 290363-31-4P
290363-33-6P 290363-35-8P 290363-37-0P
290363-39-2P 290363-41-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-piperidinylbenzothiazolylthioacetamide derivs. and analogs thereof as **chemokine** receptor antagonists)

RN 290363-00-7 HCAPLUS
CN Acetamide, 2-(2-benzothiazolylthio)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

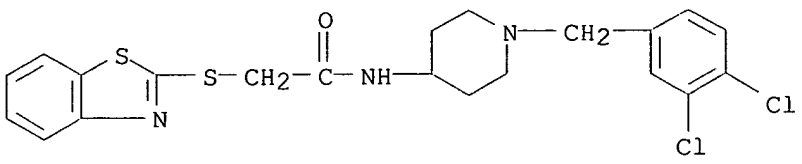


RN 290363-02-9 HCAPLUS
CN Acetamide, 2-(2-benzothiazolylthio)-N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

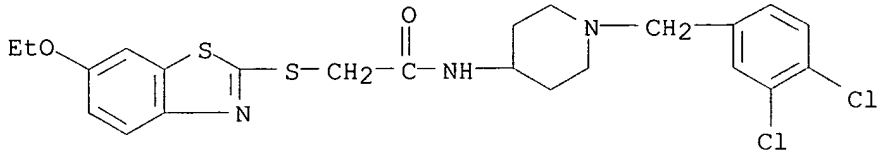


RN 290363-05-2 HCAPLUS
CN Acetamide, 2-(2-benzothiazolylthio)-N-[1-[(3,4-dichlorophenyl)methyl]-4-

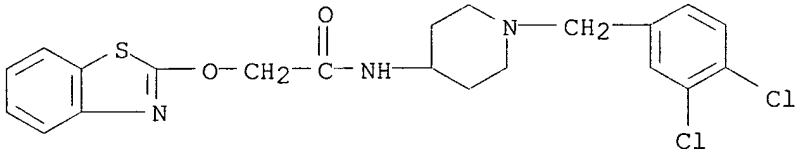
piperidinyl]- (9CI) (CA INDEX NAME)



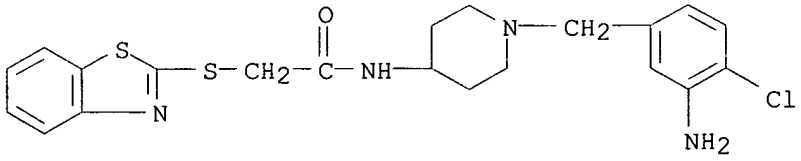
RN 290363-07-4 HCAPLUS
CN Acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[(6-ethoxy-2-benzothiazolyl)thio]- (9CI) (CA INDEX NAME)



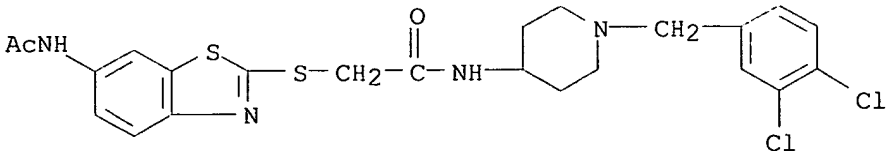
RN 290363-10-9 HCAPLUS
CN Acetamide, 2-(2-benzothiazolyloxy)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



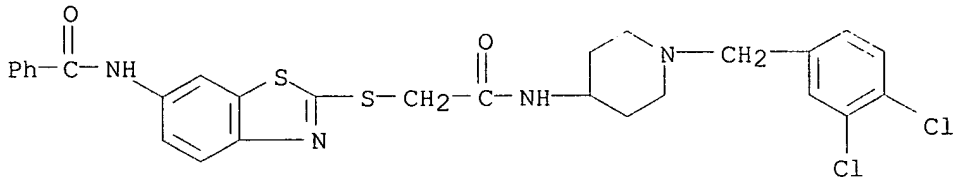
RN 290363-21-2 HCAPLUS
CN Acetamide, N-[1-[(3-amino-4-chlorophenyl)methyl]-4-piperidinyl]-2-(2-benzothiazolylthio)- (9CI) (CA INDEX NAME)



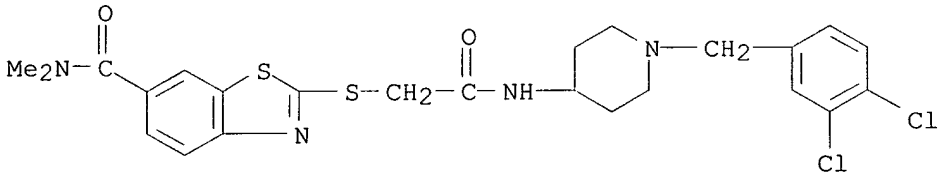
RN 290363-25-6 HCAPLUS
CN Acetamide, 2-[[6-(acetylamino)-2-benzothiazolyl]thio]-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



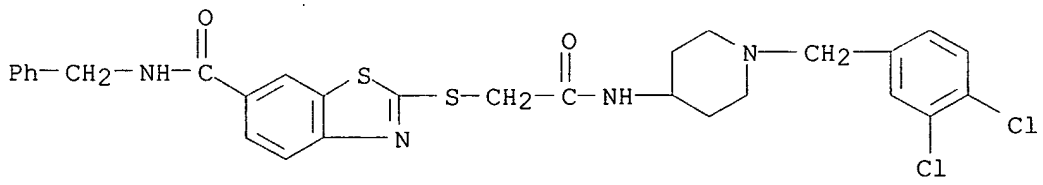
RN 290363-27-8 HCAPLUS
CN Benzamide, N-[2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-6-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 290363-31-4 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-N,N-dimethyl- (9CI) (CA INDEX NAME)

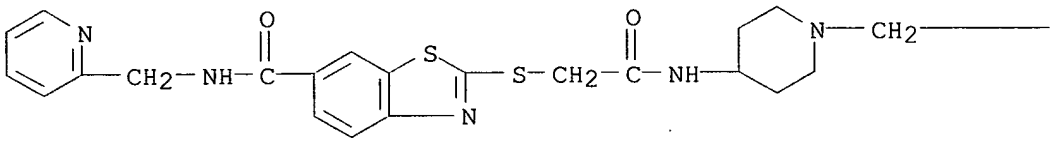


RN 290363-33-6 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

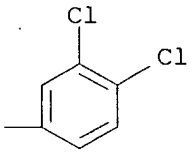


RN 290363-35-8 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

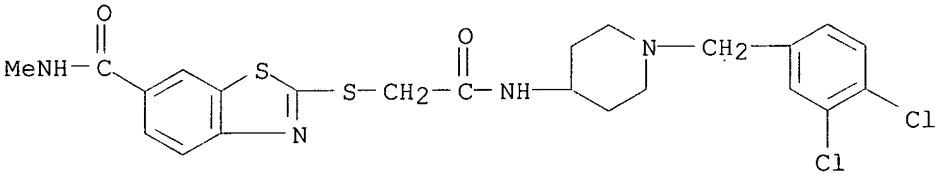
PAGE 1-A



PAGE 1-B

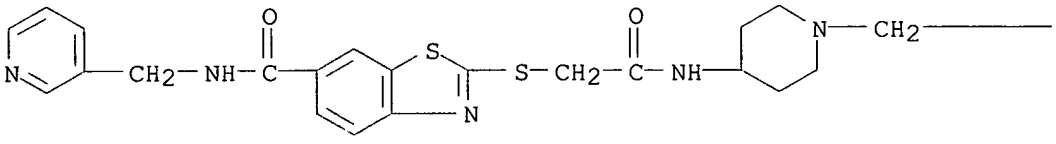


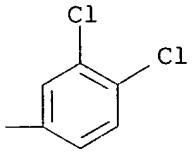
RN 290363-37-0 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-N-methyl- (9CI) (CA INDEX NAME)



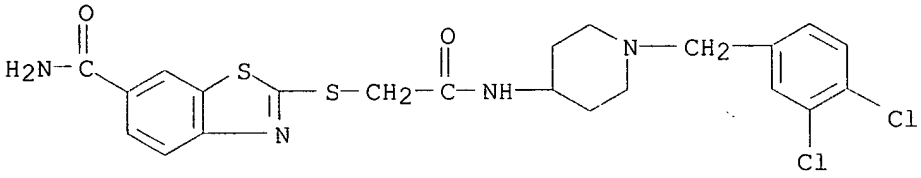
RN 290363-39-2 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





RN 290363-41-6 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 8 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:573775 HCAPLUS
DOCUMENT NUMBER: 133:177164
TITLE: Preparation of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors of the proliferation of activated lymphocytes and as remedies for autoimmune disease.
INVENTOR(S): Ushio, Hiroyuki; Ishibuchi, Seigo; Naito, Youichiro; Sugiyama, Naoki; Kawaguchi, Takafumi; Chiba, Kenji; Ohtsuki, Makio; Naka, Yoichi
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047558	A1	20000817	WO 2000-JP767	20000210 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1176140	A1	20020130	EP 2000-902925	20000210 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-33367 A 19990210 <--
JP 1999-198473 A 19990713 <--
WO 2000-JP767 W 20000210

OTHER SOURCE(S): MARPAT 133:177164

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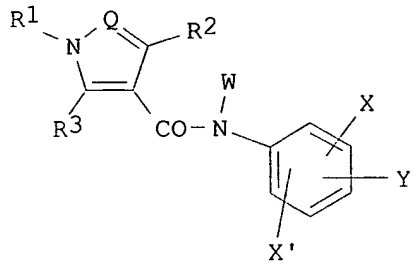

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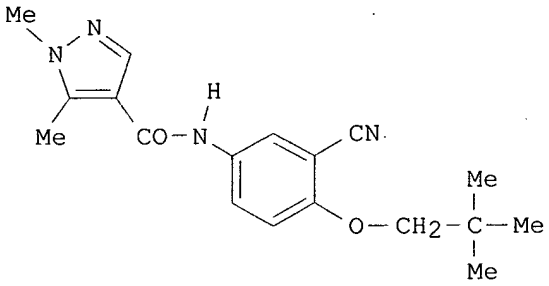


II

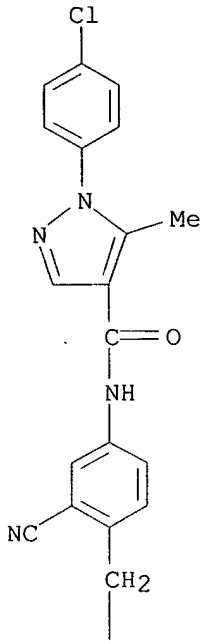
AB The title compds. I [R1 represents substituted aryl, heteroaryl, etc.; R2 and R3 represent each hydrogen, alkyl, halogeno, hydroxy, etc.; Q represents N, CH, etc.; W represents hydrogen, alkyl, hydroxycarbonylalkyl, etc.; X represents halogeno, cyano, nitro, amino, etc.; X' represents hydrogen, halogeno, cyano or nitro; and Y represents alkyl, hydroxy, alkoxy, etc.] are prepd. For example, pyrazolecarboxamide deriv. II was prepd. The title compds. are said to show significant inhibiting activity against the proliferation of activated lymphocytes in in vitro tests. A formulation is given.

IT **288251-43-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors of the proliferation of activated lymphocytes and as remedies for autoimmune disease.)

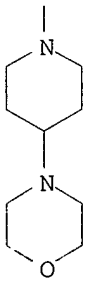
RN 288251-43-4 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-cyano-4-[[4-(4-morpholinyl)-1-piperidinyl]methyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

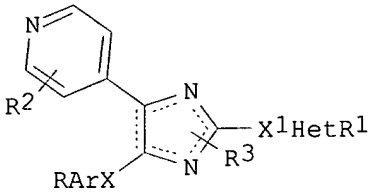
L38 ANSWER 9 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:455294 HCAPLUS
DOCUMENT NUMBER: 133:74017
TITLE: Preparation of 5-phenyl-2-piperidinyl-4-pyridylimidazoles and related compounds having anticancer and cytokine inhibitory activity.
INVENTOR(S): Liverton, Nigel J.; Claiborne, Christopher F.; Claremon, David A.; Selnick, Harold G.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 46 pp., Cont.-in-part of U.S. 5,717,100.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6083949	A	20000704	US 1998-13527	19980126 <--
US 5717100	A	19980210	US 1996-717955	19960923 <--

PRIORITY APPLN. INFO.: US 1995-5059P P 19951006 <--
US 1995-5063P P 19951006 <--
US 1996-717955 A2 19960923 <--

OTHER SOURCE(S): MARPAT 133:74017
GI



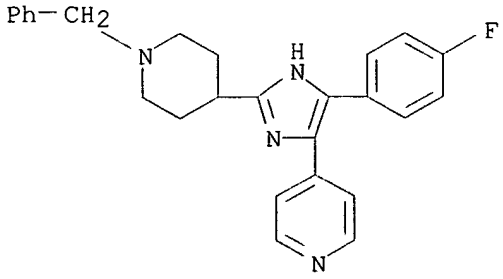
I

AB Title compds. [I; Ar = aryl; X, X1 = (CH2)mY(CH2)n; m, n = 0-4; m+n = 0-6; Y = bond, O, S, SO, SO2, CO, CO2, etc.; Het = nonarom. N-heterocyclyl; R, R2 = 1-3 of halo, OH, cyano, CONH2, (substituted) alkyl, alkoxy, cycloalkyl, etc.; R1 = 1-3 of OH, cyano, (substituted) alkyl, cycloalkyl, alkoxy, heterocyclyl, etc.; R3 = H, (substituted) alkyl, alkoxy, alkylcarbonyl], were prepd. Thus, 4-pyridylcarbinol tert-butyldimethylsilyl ether and then 4-fluorophenyl N, O-dimethylbenzhydroxamide were added to LDA in THF at -20.degree. to give 1-(4-fluorophenyl)-2-hydroxy-2-pyridin-4-ylethanone tert-butyldimethylsilyl ether. The latter was refluxed with N-tert-butoxycarbonylpiperidine-4-carboxaldehyde, NH4OAc, and Cu acetate in HOAc to give tert-Bu 4-[5-(4-fluorophenyl)-4-pyridin-4-yl-1H-imidazol-2-yl]piperidine-1-carboxylate. I showed IL-8 inhibitory activity with IC50 = 0.001-1.5 mM.

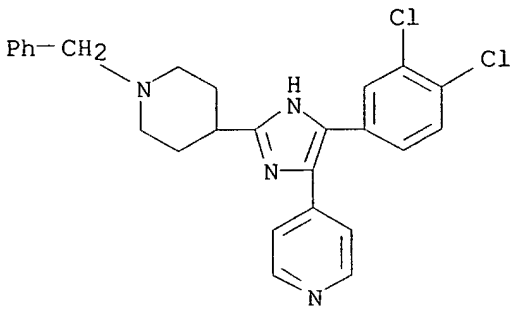
IT **189442-12-4P 189442-26-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-phenyl-2-piperidinyl-4-pyridylimidazoles and related compds. having anticancer and cytokine inhibitory activity)

RN 189442-12-4 HCAPLUS

CN Pyridine, 4-[5-(4-fluorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-26-0 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



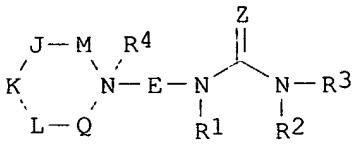
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 10 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420964 HCAPLUS
DOCUMENT NUMBER: 133:43445
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity
INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035454	A1	20000622	WO 1999-US30336	19991217 <--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140087	A1	20011010	EP 1999-965322	19991217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217 <--

PRIORITY APPLN. INFO.:
US 1998-112717P P 19981218 <--
US 1999-161184P P 19991022
US 1999-161222P P 19991022
WO 1999-US30336 W 19991217

OTHER SOURCE(S): MARPAT 133:43445
GI



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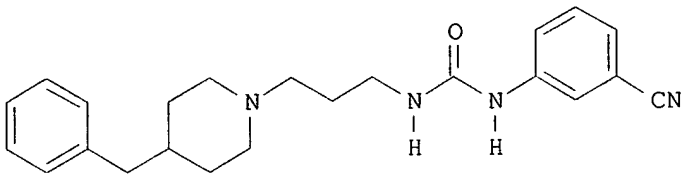

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/da (oral dosage).

IT **275810-47-4P 275810-48-5P 275810-49-6P**
275810-58-7P 275810-59-8P 275810-60-1P
275810-61-2P 275810-62-3P 275810-63-4P
275810-64-5P 275810-65-6P 275810-66-7P
275810-67-8P 275810-68-9P 275810-69-0P
275810-70-3P 275810-71-4P 275810-72-5P
275810-73-6P 275810-74-7P 275810-80-5P
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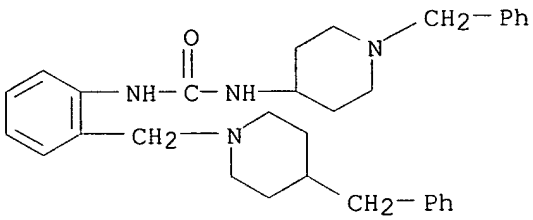
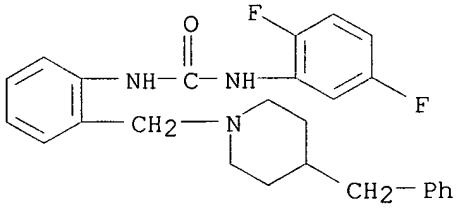
275811-78-4P 275811-79-5P 275815-82-2P
275815-83-3P 275815-84-4P 275815-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity)

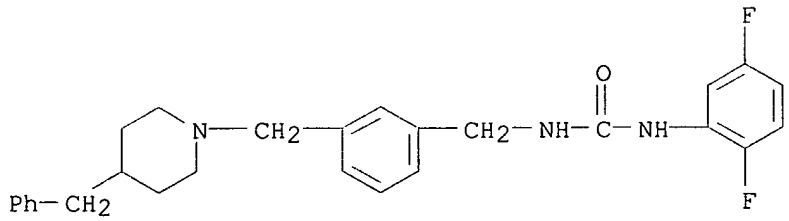
RN 275810-47-4 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



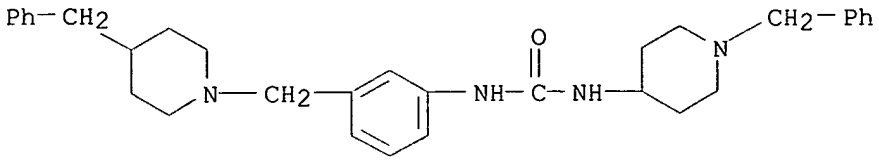
RN 275810-48-5 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



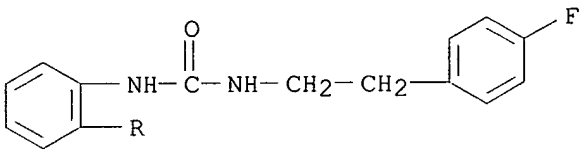
RN 275810-49-6 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

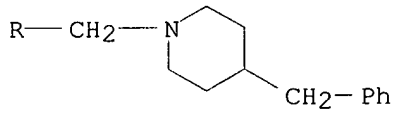


RN 275810-58-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

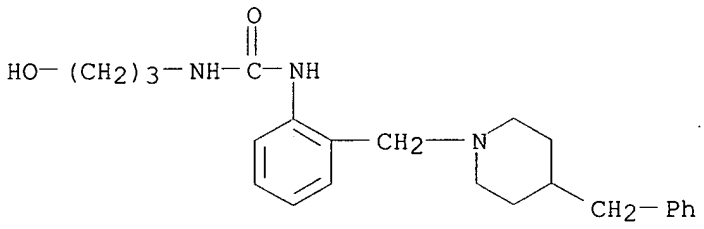


RN 275810-59-8 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

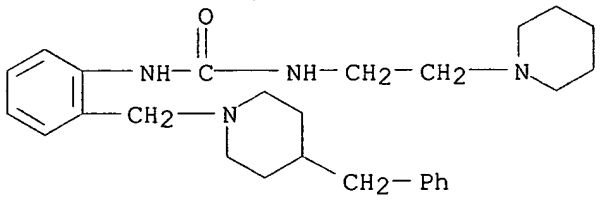




RN 275810-60-1 HCAPLUS
CN Urea, N-(3-hydroxypropyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

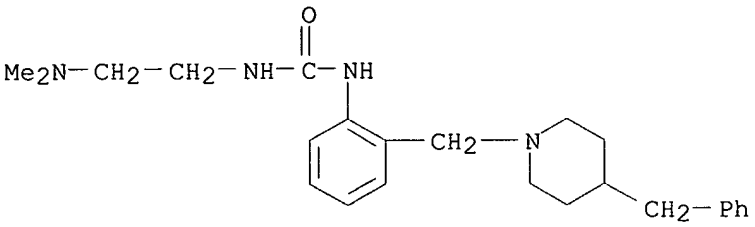


RN 275810-61-2 HCAPLUS
CN Urea, N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

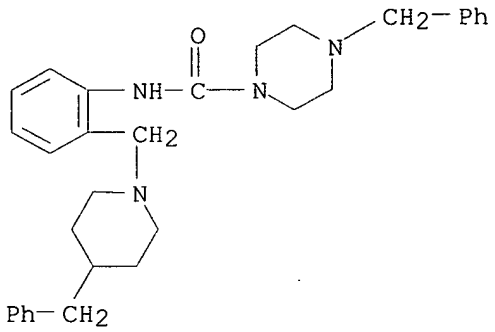


RN 275810-62-3 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[[4-(phenylmethyl)-1-

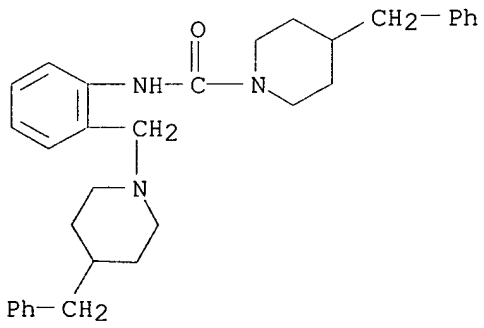
piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



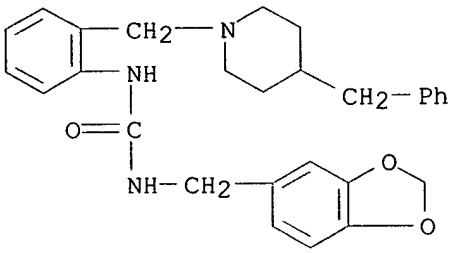
RN 275810-63-4 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



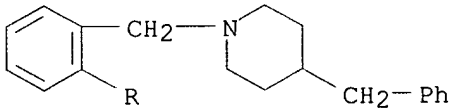
RN 275810-64-5 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

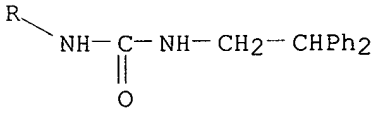


RN 275810-65-6 HCAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

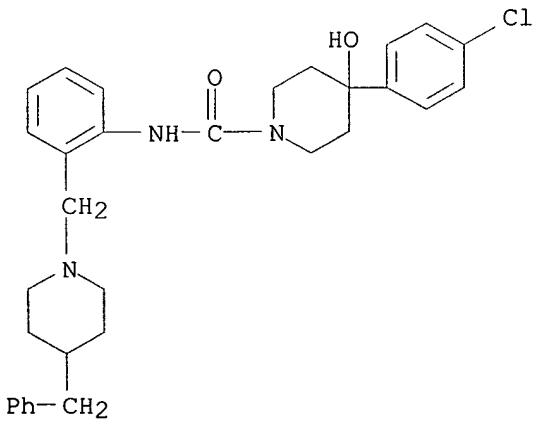


RN 275810-66-7 HCAPLUS
CN Urea, N-(2,2-diphenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

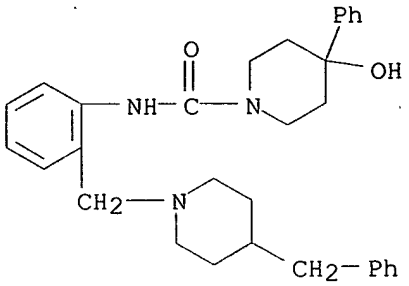




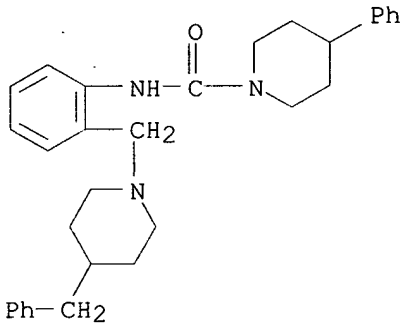
RN 275810-67-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



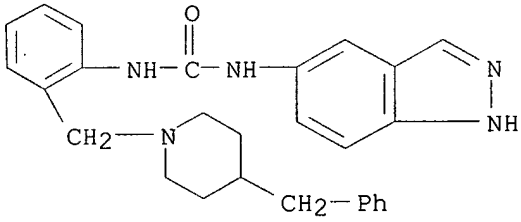
RN 275810-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



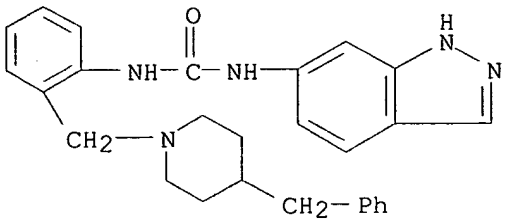
RN 275810-69-0 HCAPLUS
CN 1-Piperidinecarboxamide, 4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



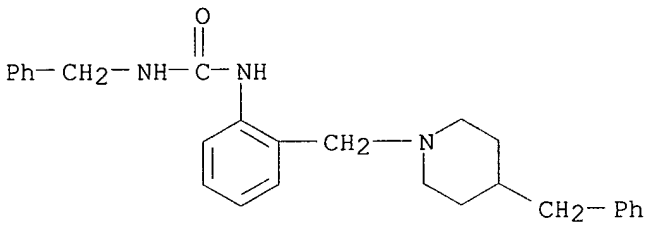
RN 275810-70-3 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



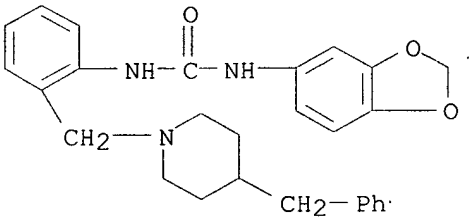
RN 275810-71-4 HCAPLUS
CN Urea, N-1H-indazol-6-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



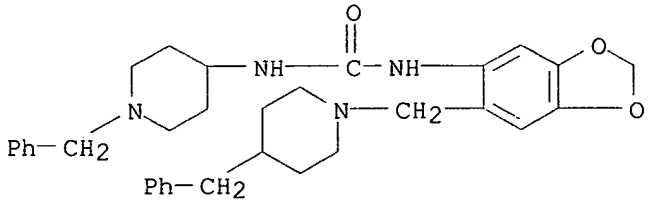
RN 275810-72-5 HCAPLUS
CN Urea, N-(phenylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



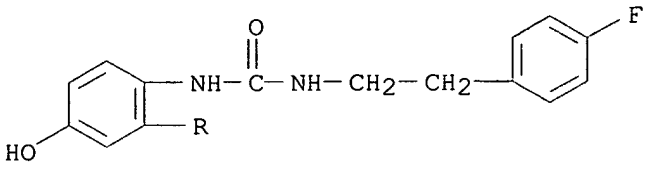
RN 275810-73-6 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

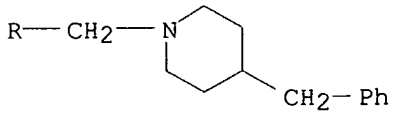


RN 275810-74-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[6-[[4-(phenylmethyl)-1-piperidinyl]methyl]-1,3-benzodioxol-5-yl]- (9CI) (CA INDEX NAME)

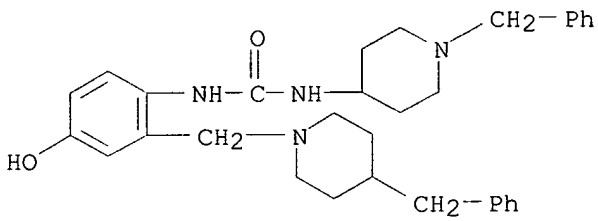


RN 275810-80-5 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

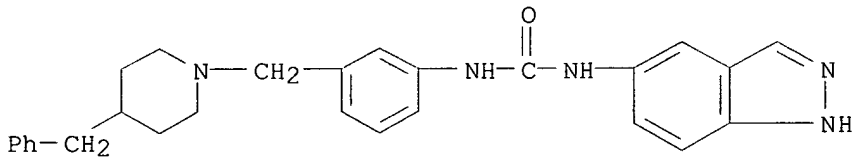




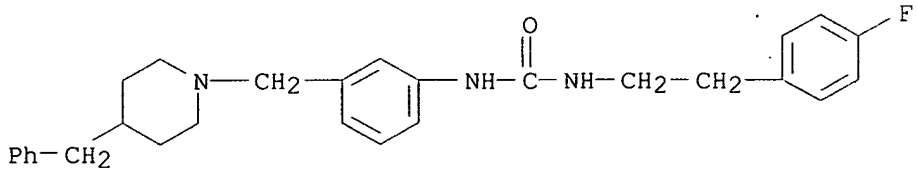
RN 275810-81-6 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



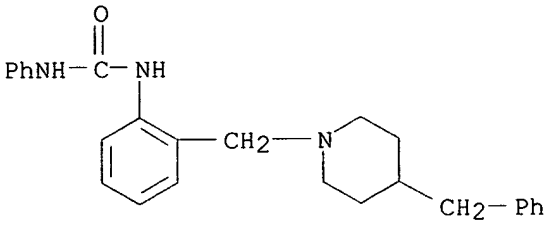
RN 275810-83-8 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



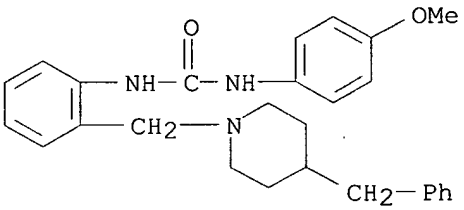
RN 275810-84-9 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



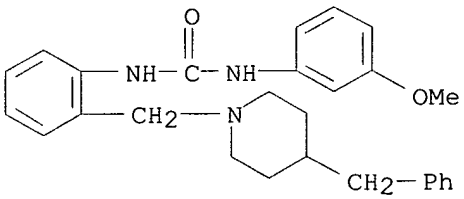
RN 275810-86-1 HCAPLUS
CN Urea, N-phenyl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



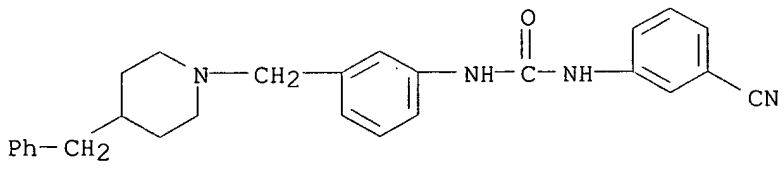
RN 275810-87-2 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



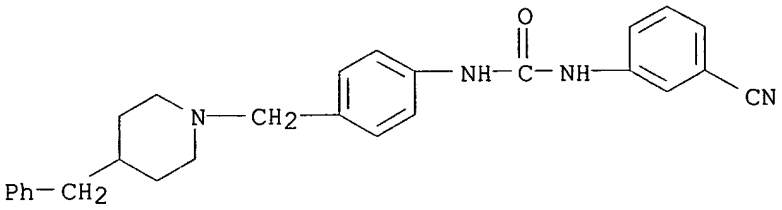
RN 275810-88-3 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



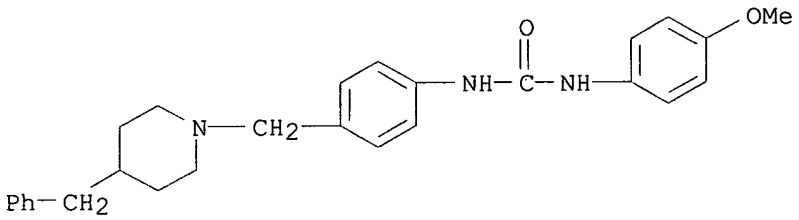
RN 275810-92-9 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



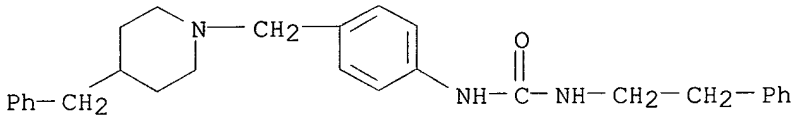
RN 275810-93-0 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



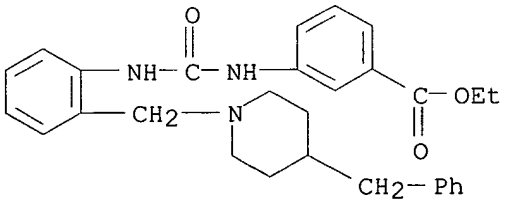
RN 275810-94-1 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



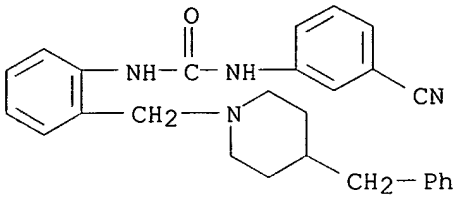
RN 275810-95-2 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



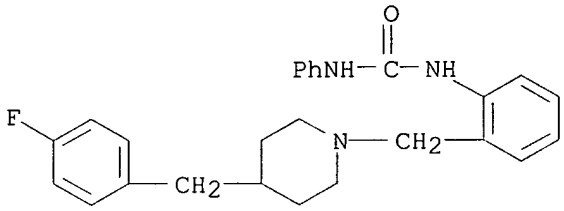
RN 275810-96-3 HCAPLUS
CN Benzoic acid, 3-[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



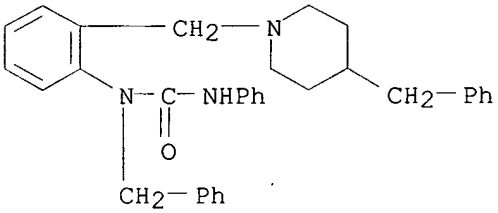
RN 275810-97-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



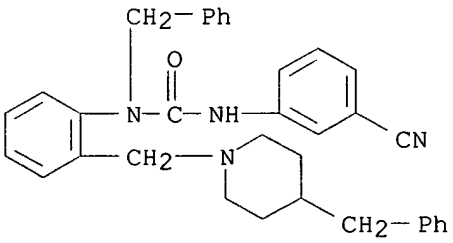
RN 275810-98-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



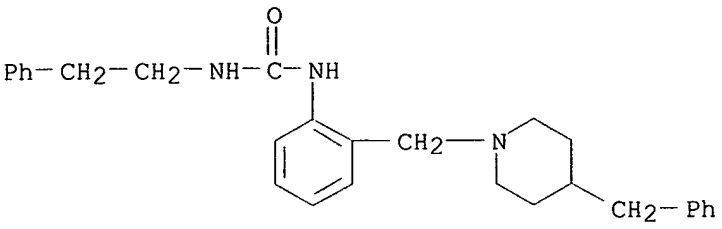
RN 275810-99-6 HCAPLUS
CN Urea, N'-phenyl-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



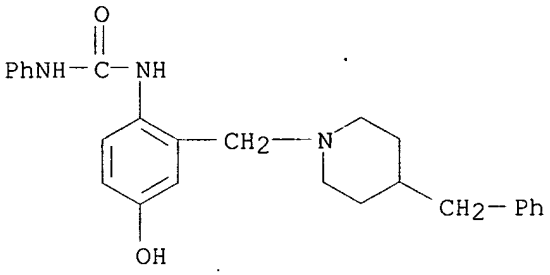
RN 275811-00-2 HCAPLUS
CN Urea, N'-(3-cyanophenyl)-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



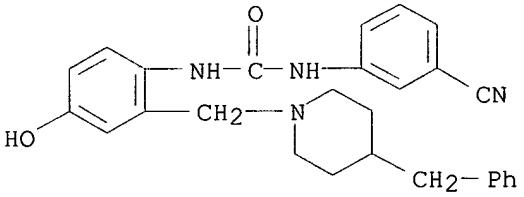
RN 275811-01-3 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



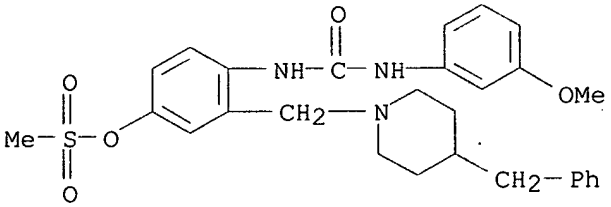
RN 275811-05-7 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 275811-06-8 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



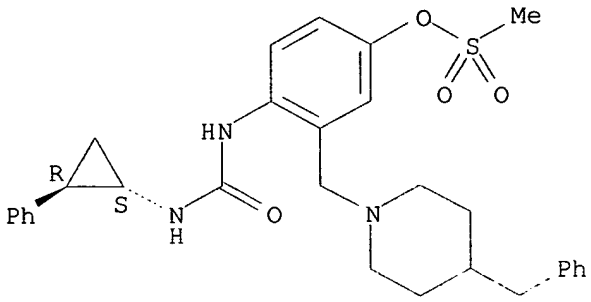
RN 275811-07-9 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



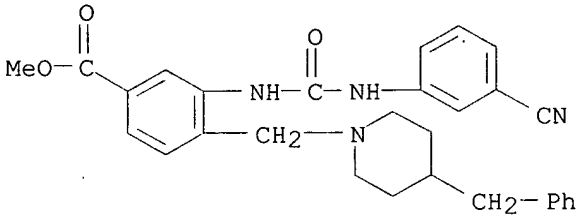
RN 275811-08-0 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI)

(CA INDEX NAME)

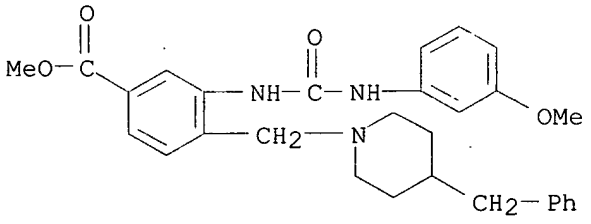
Relative stereochemistry.



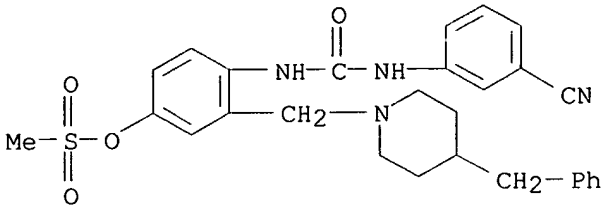
RN 275811-09-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



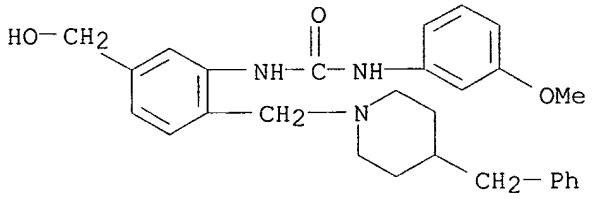
RN 275811-10-4 HCAPLUS
CN Benzoic acid, 3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



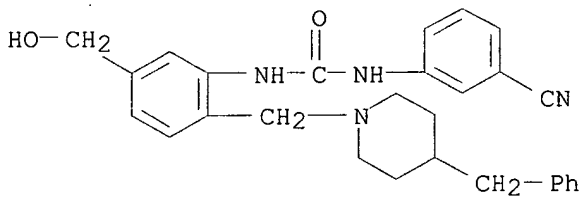
RN 275811-11-5 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



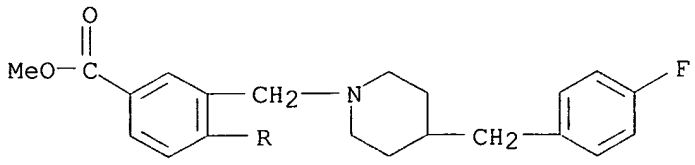
RN 275811-12-6 HCAPLUS
CN Urea, N-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

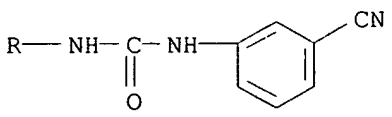


RN 275811-13-7 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

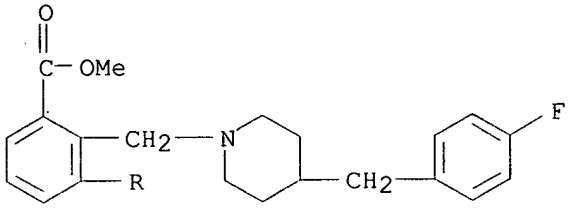


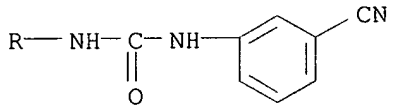
RN 275811-14-8 HCAPLUS
CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



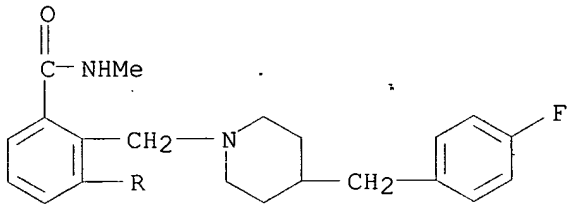


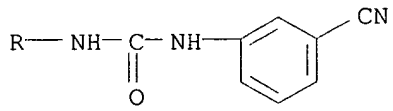
RN 275811-15-9 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



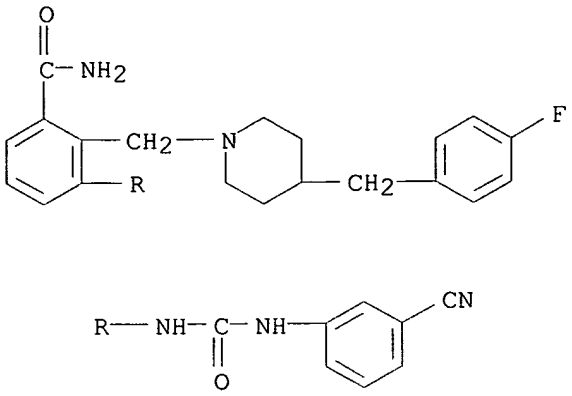


RN 275811-16-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

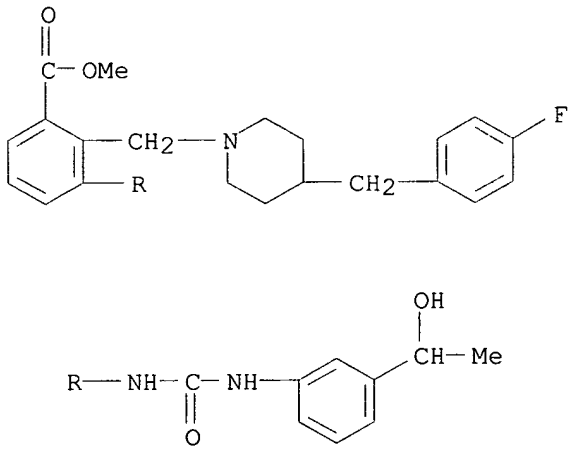




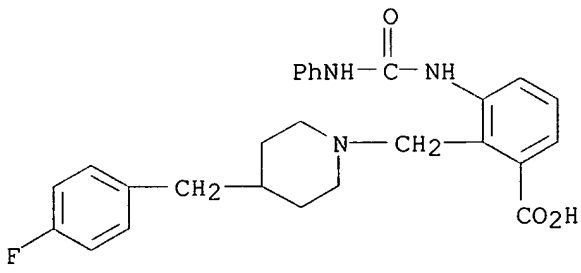
RN 275811-17-1 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 275811-18-2 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[[3-(1-hydroxyethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

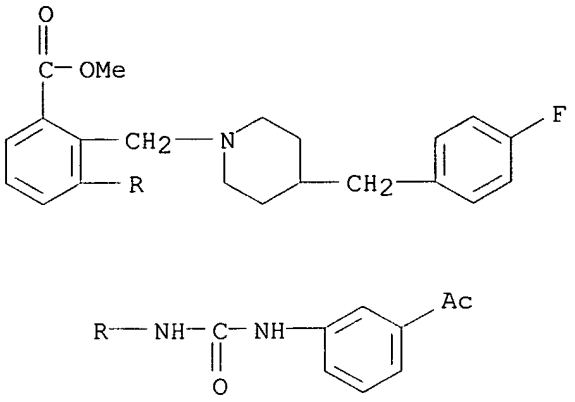


RN 275811-20-6 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



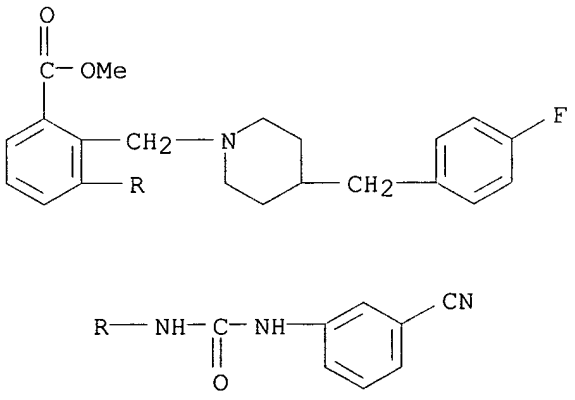
RN 275811-24-0 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-

fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



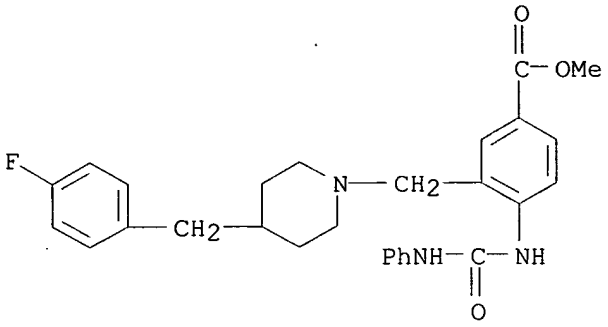
● HCl

RN 275811-25-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

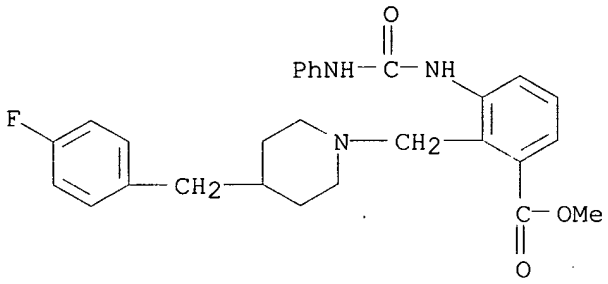


● HCl

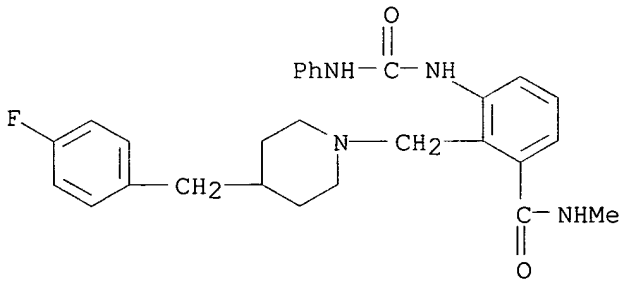
RN 275811-26-2 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



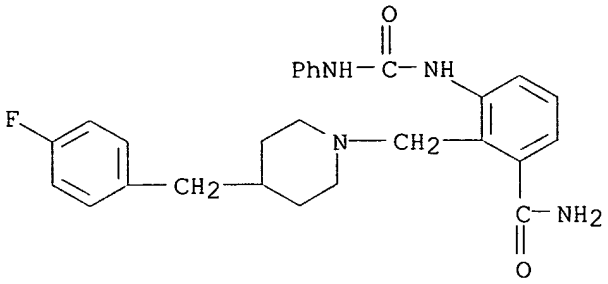
RN 275811-27-3 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



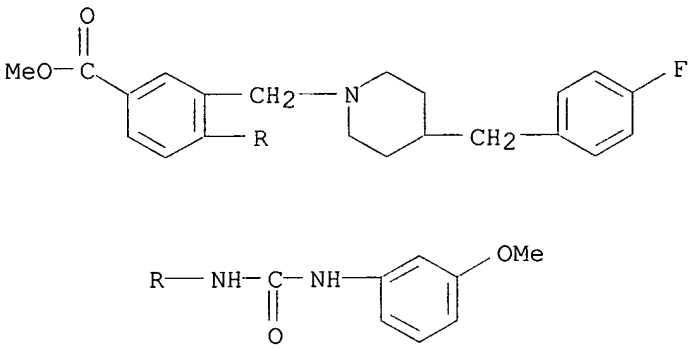
RN 275811-28-4 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



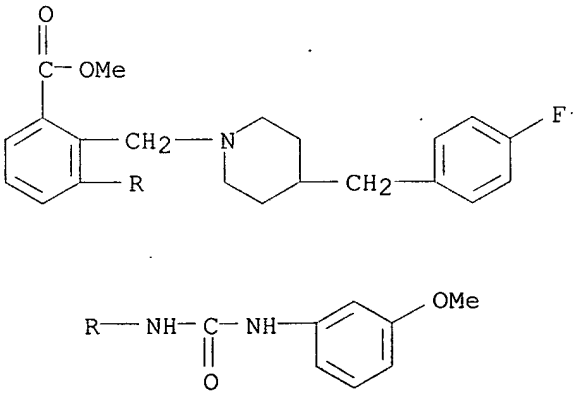
RN 275811-29-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



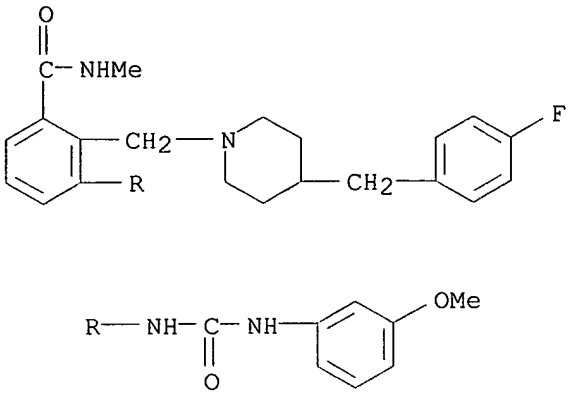
RN 275811-30-8 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



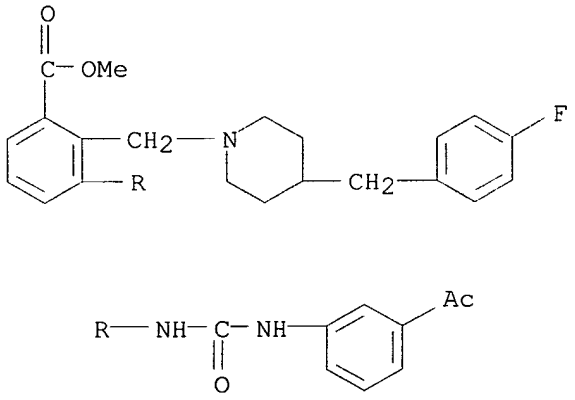
RN 275811-31-9 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



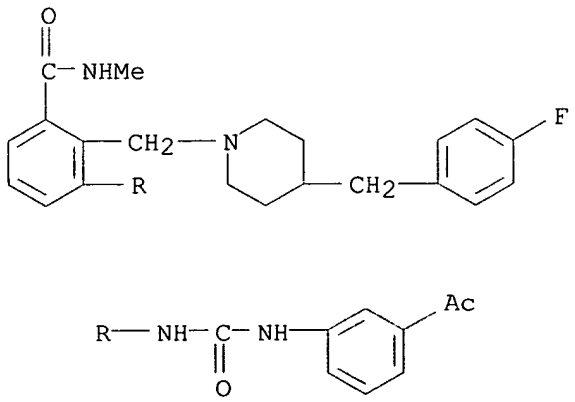
RN 275811-32-0 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



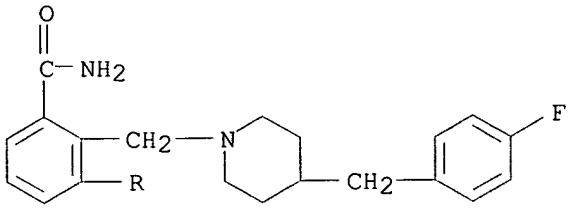
RN 275811-33-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

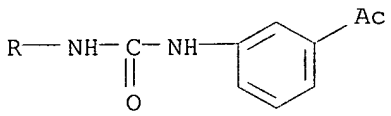


RN 275811-34-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

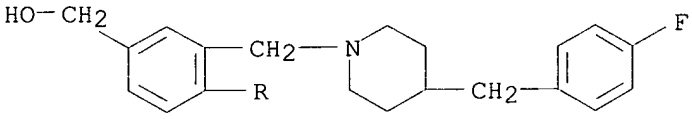


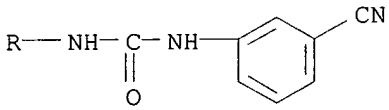
RN 275811-35-3 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



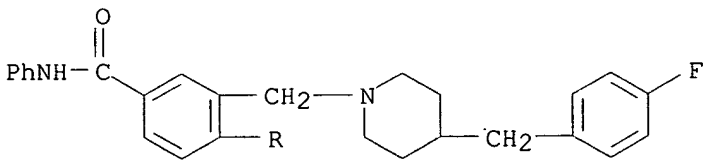


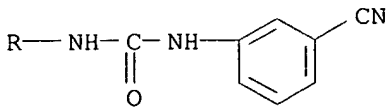
RN 275811-36-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



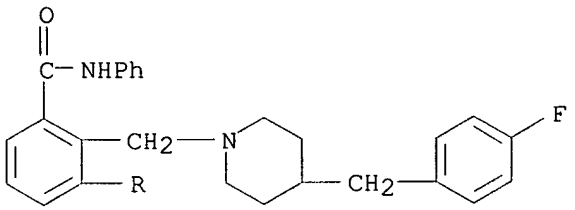


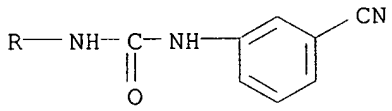
RN 275811-39-7 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



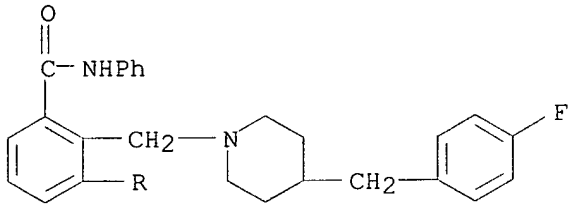


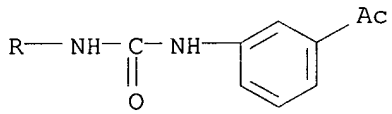
RN 275811-40-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



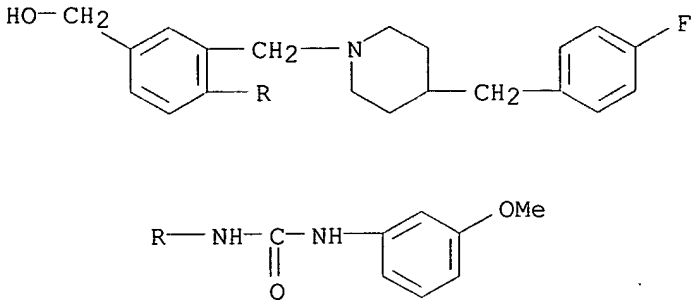


RN 275811-41-1 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)

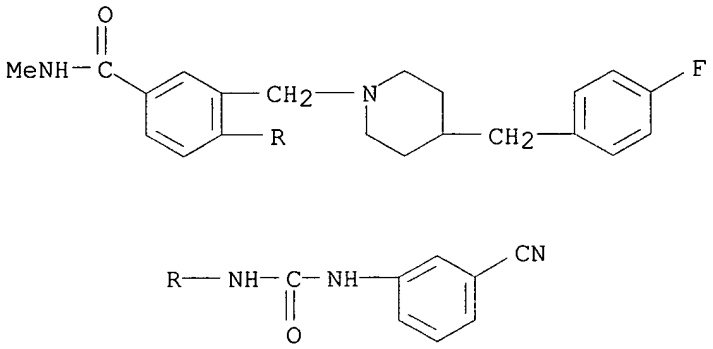




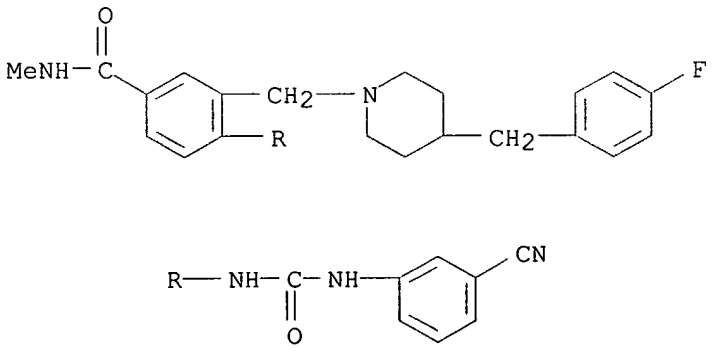
RN 275811-42-2 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 275811-43-3 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

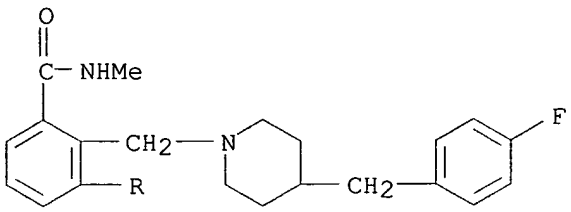


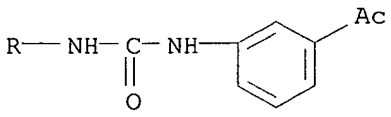
RN 275811-44-4 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

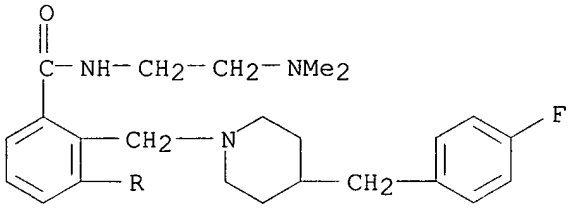
RN 275811-45-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

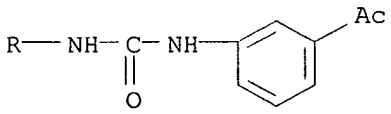




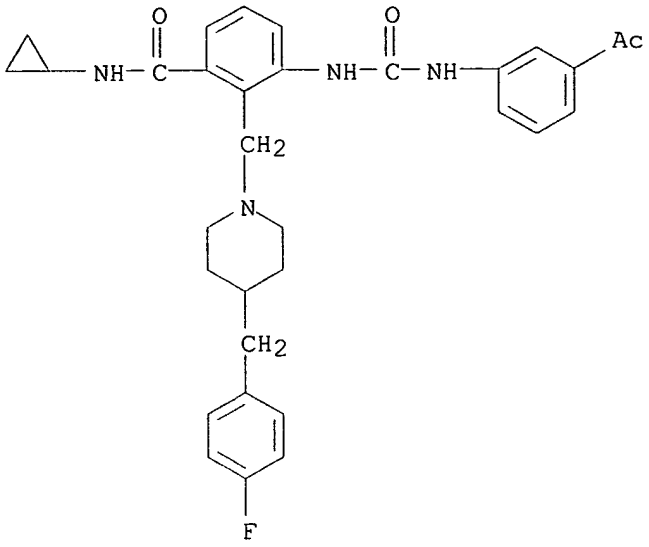
● HCl

RN 275811-46-6 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

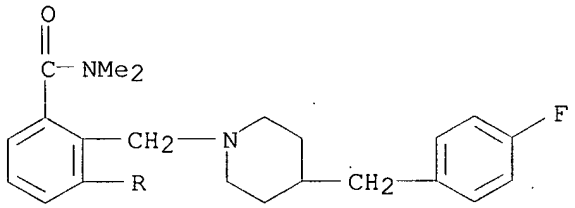


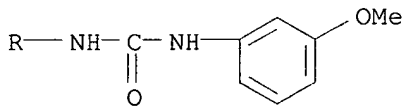


RN 275811-47-7 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

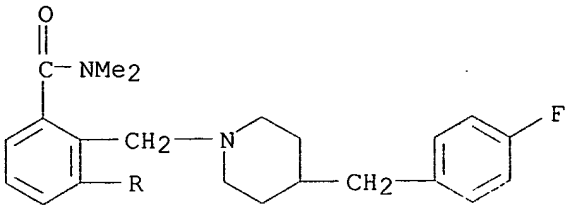


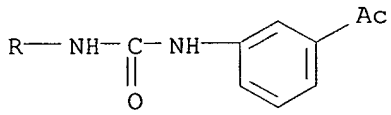
RN 275811-48-8 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



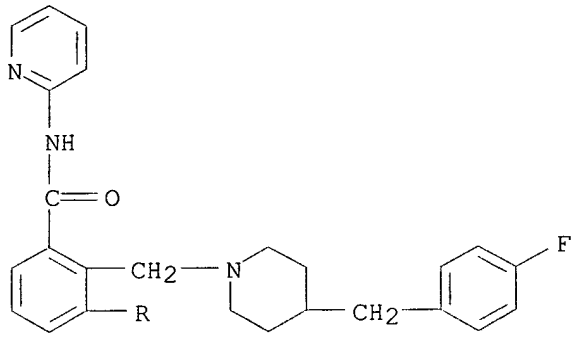


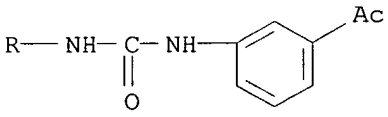
RN 275811-49-9 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



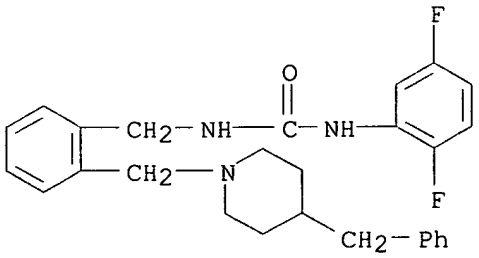


RN 275811-50-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

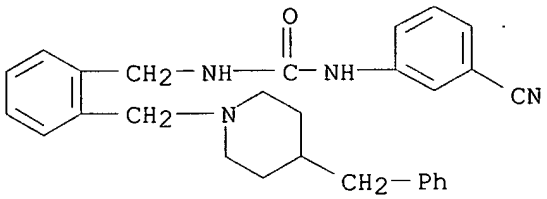




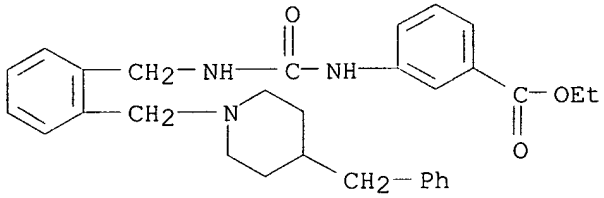
RN 275811-51-3 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



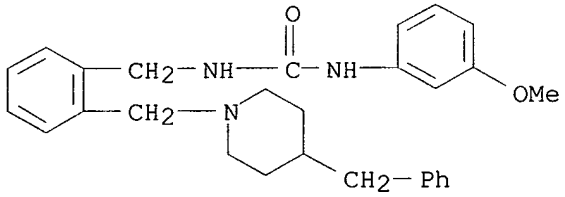
RN 275811-52-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



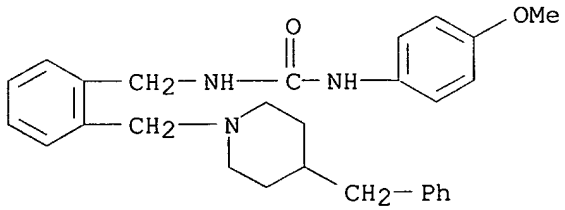
RN 275811-53-5 HCAPLUS
CN Benzoic acid, 3-[[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



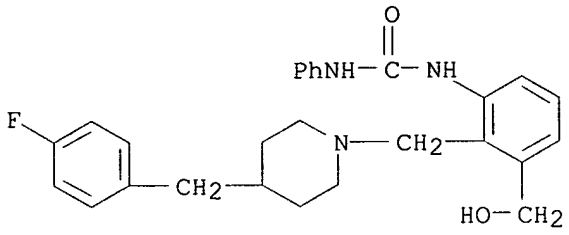
RN 275811-54-6 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



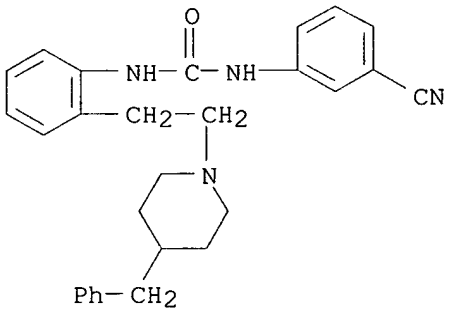
RN 275811-55-7 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



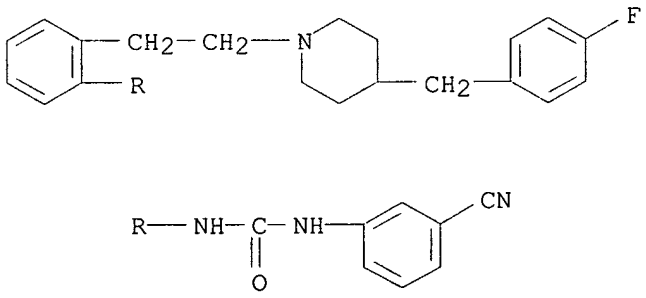
RN 275811-61-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-(hydroxymethyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



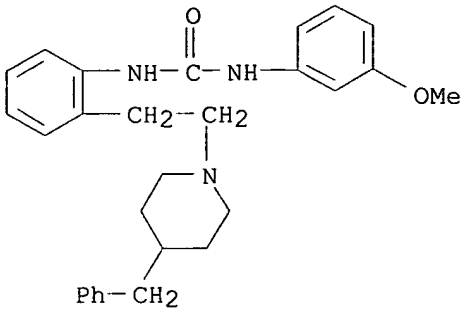
RN 275811-69-3 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



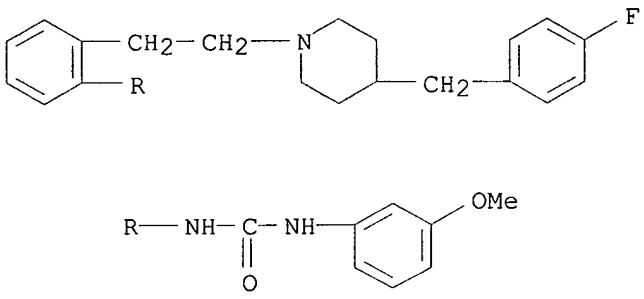
RN 275811-70-6 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



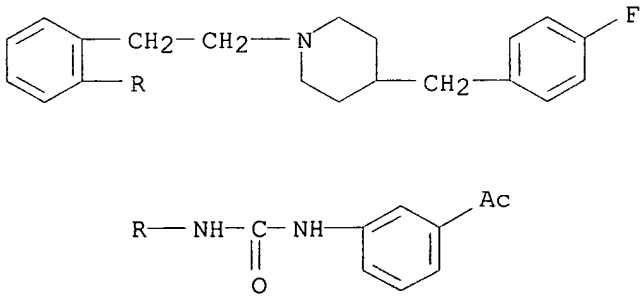
RN 275811-71-7 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



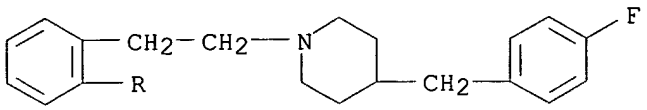
RN 275811-72-8 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

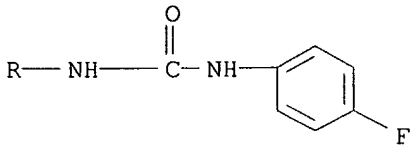


RN 275811-74-0 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

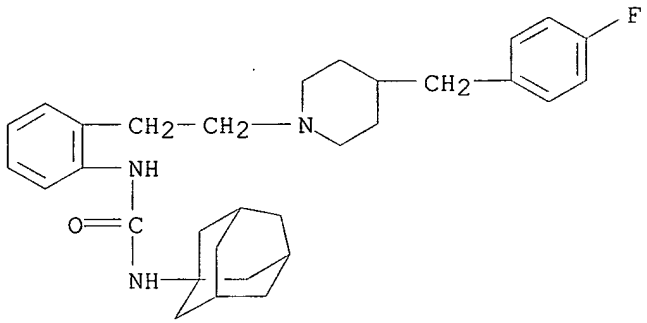


RN 275811-75-1 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

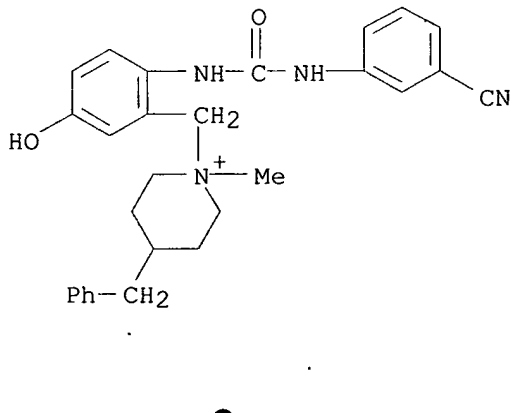




RN 275811-76-2 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

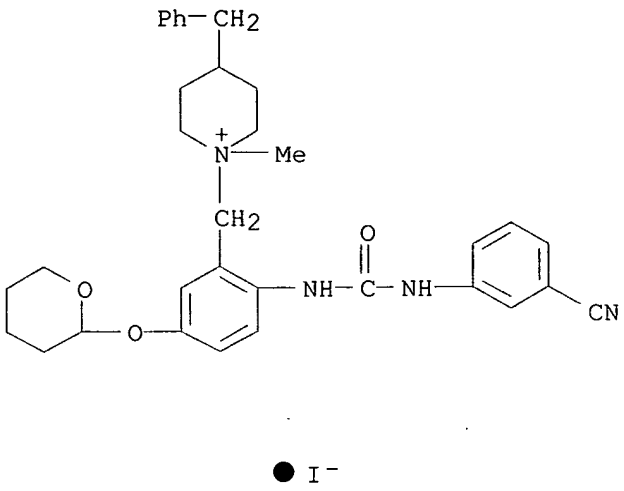


RN 275811-78-4 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-hydroxyphenyl]methyl]-1-methyl-4-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)

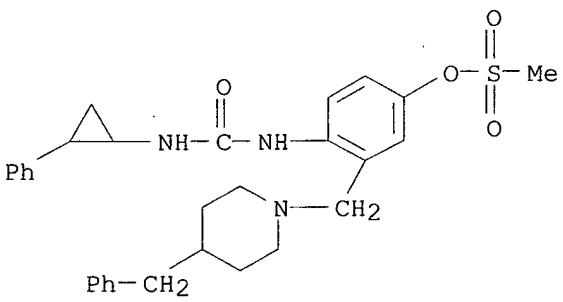


RN 275811-79-5 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-[(tetrahydro-

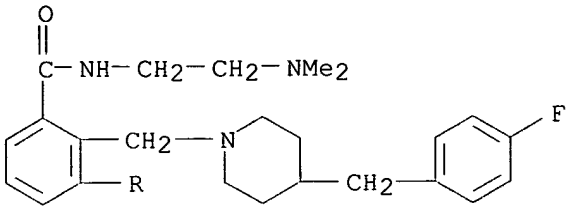
2H-pyran-2-yl)oxy]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)

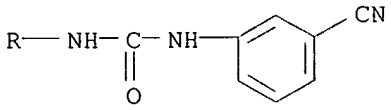


RN 275815-82-2 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

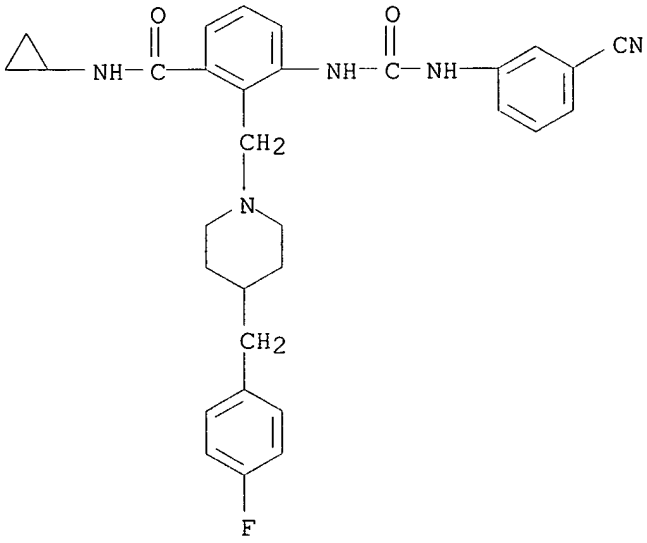


RN 275815-83-3 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

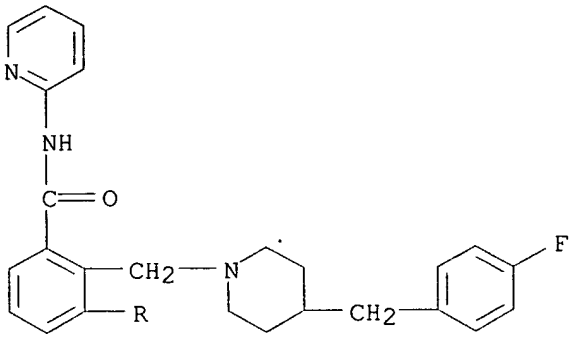


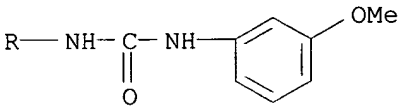


RN 275815-84-4 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 275815-85-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)





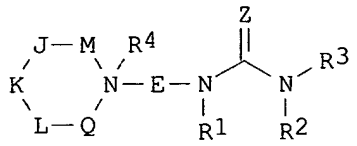
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 11 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420963 HCAPLUS
DOCUMENT NUMBER: 133:43444
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity
INVENTOR(S): Ko, Soo; Clark, Cheryl Mcardle; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000035453	A1	20000622	WO 1999-US30335	19991217	<--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 1158980	A1	20011205	EP 1999-965321	19991217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6331541	B1	20011218	US 1999-465288	19991217	<--

PRIORITY APPLN. INFO.:
US 1998-112717P P 19981218 <--
US 1999-161137P P 19991022
US 1999-161222P P 19991022
WO 1999-US30335 W 19991217

OTHER SOURCE(S): MARPAT 133:43444
GI



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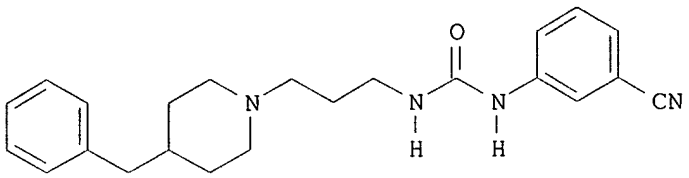

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT **275810-47-4P 275810-48-5P 275810-49-6P**
275810-58-7P 275810-59-8P 275810-60-1P
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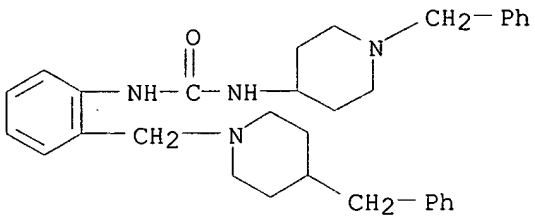
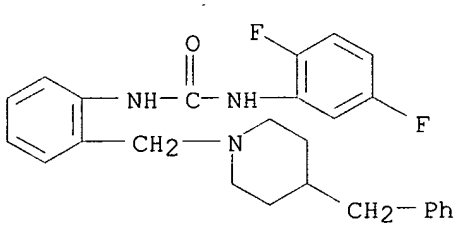
275811-74-0P 275811-75-1P 275811-76-2P
275811-78-4P 275811-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity)

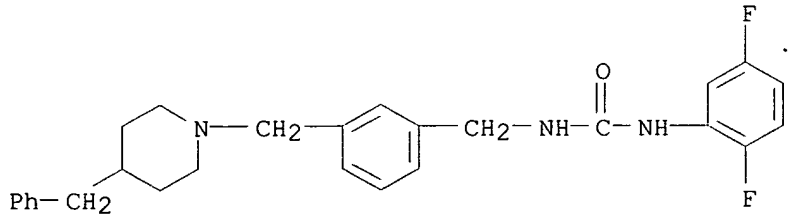
RN 275810-47-4 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



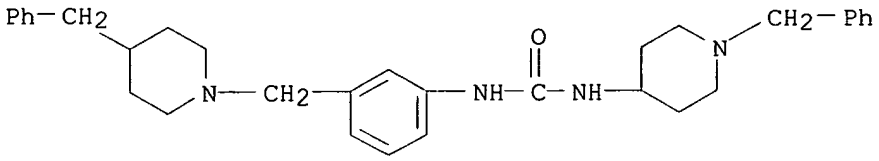
RN 275810-48-5 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



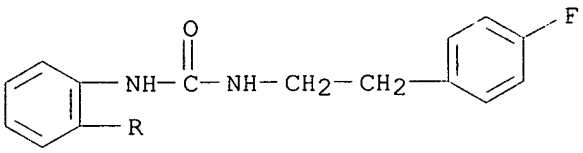
RN 275810-49-6 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

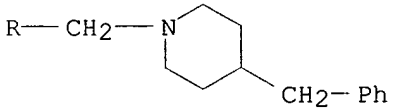


RN 275810-58-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

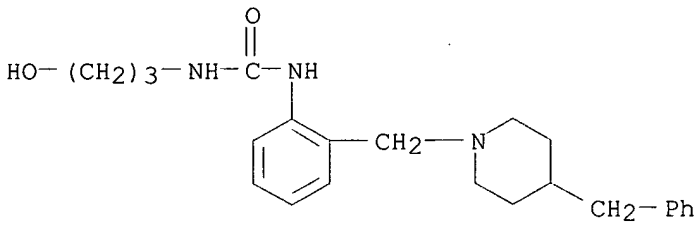


RN 275810-59-8 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

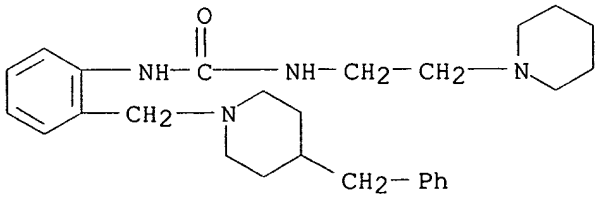




RN 275810-60-1 HCAPLUS
CN Urea, N-(3-hydroxypropyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

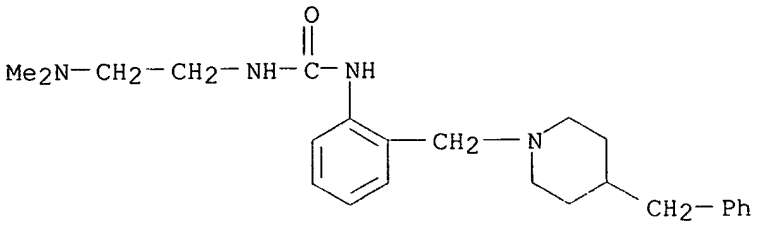


RN 275810-61-2 HCAPLUS
CN Urea, N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

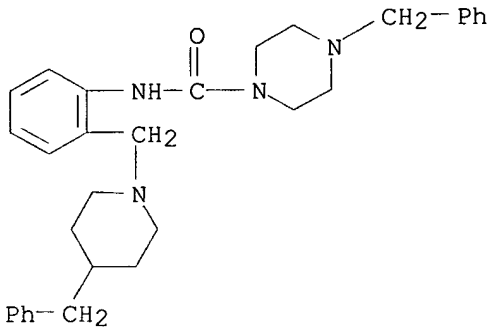


RN 275810-62-3 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[[4-(phenylmethyl)-1-

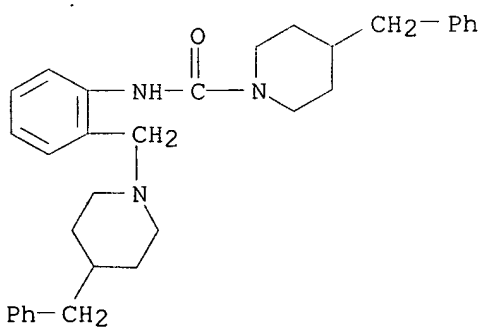
piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



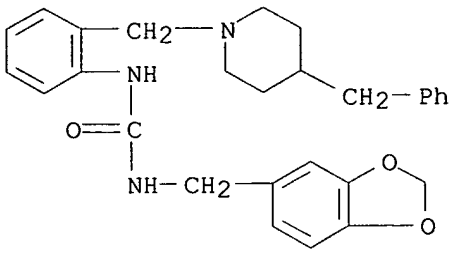
RN 275810-63-4 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



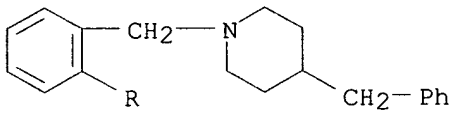
RN 275810-64-5 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

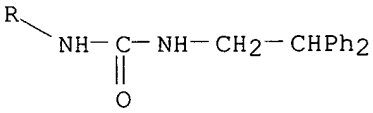


RN 275810-65-6 HCAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

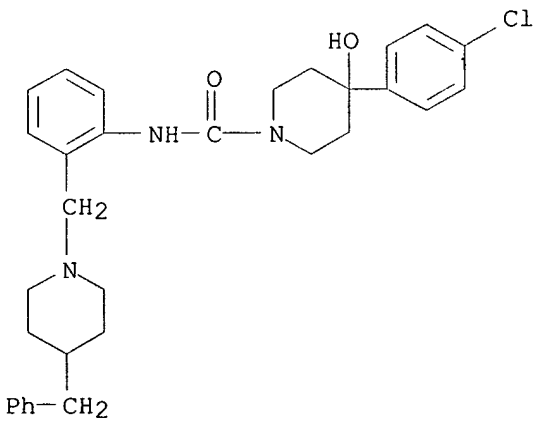


RN 275810-66-7 HCAPLUS
CN Urea, N-(2,2-diphenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

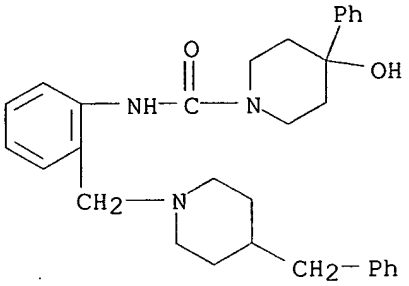




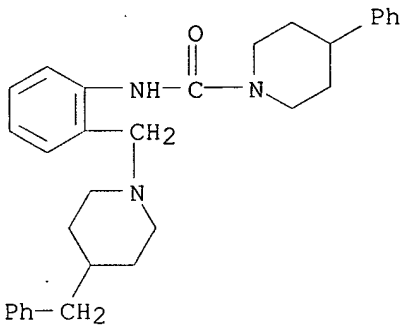
RN 275810-67-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



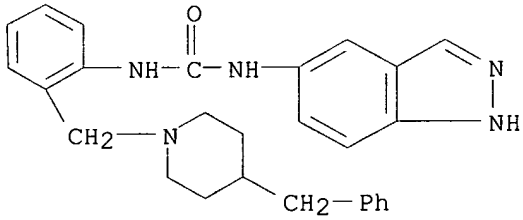
RN 275810-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



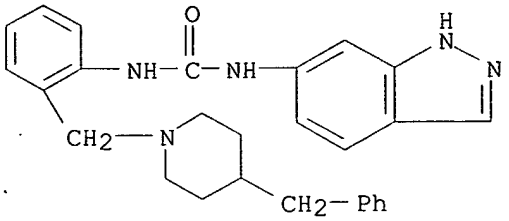
RN 275810-69-0 HCAPLUS
CN 1-Piperidinecarboxamide, 4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



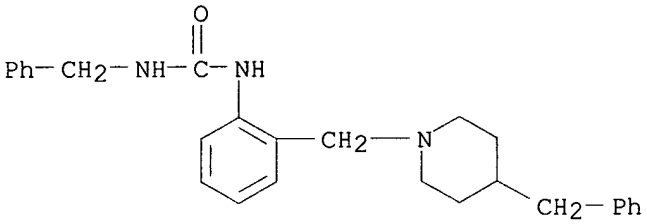
RN 275810-70-3 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



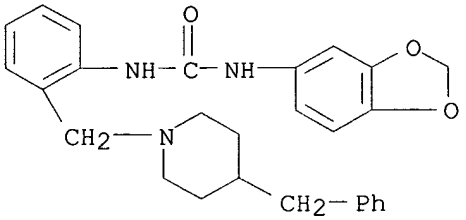
RN 275810-71-4 HCAPLUS
CN Urea, N-1H-indazol-6-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



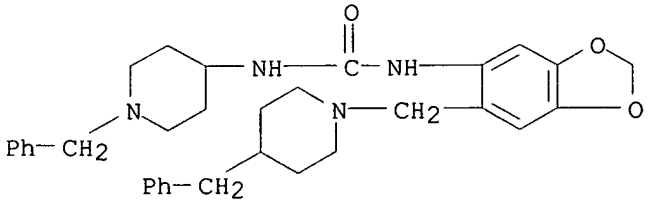
RN 275810-72-5 HCAPLUS
CN Urea, N-(phenylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



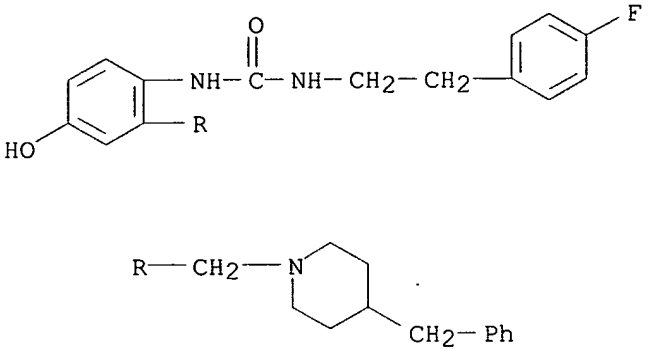
RN 275810-73-6 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



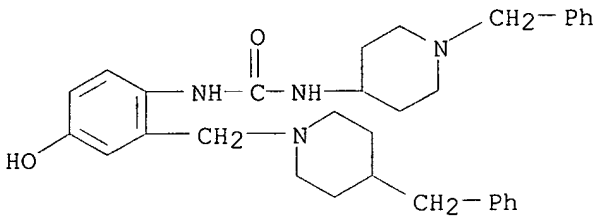
RN 275810-74-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[6-[[4-(phenylmethyl)-1-piperidinyl]methyl]-1,3-benzodioxol-5-yl]- (9CI) (CA INDEX NAME)



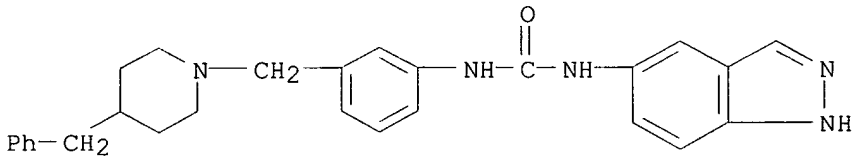
RN 275810-80-5 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



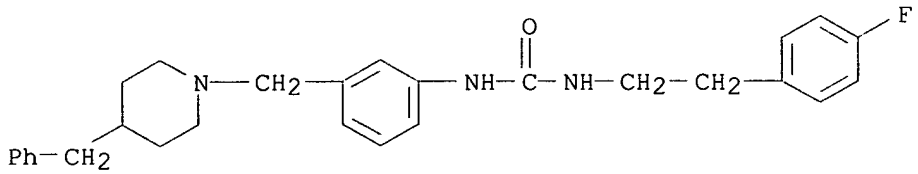
RN 275810-81-6 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



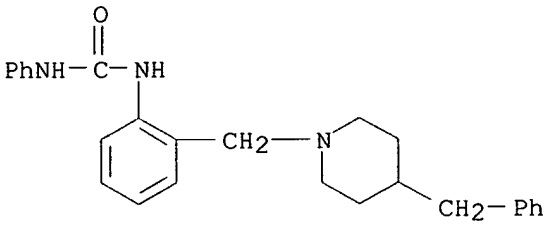
RN 275810-83-8 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



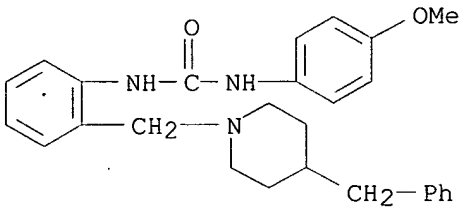
RN 275810-84-9 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



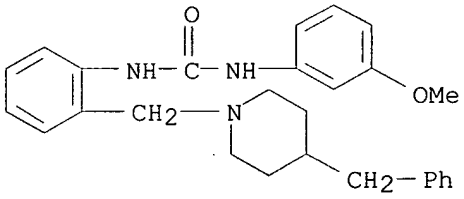
RN 275810-86-1 HCAPLUS
CN Urea, N-phenyl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



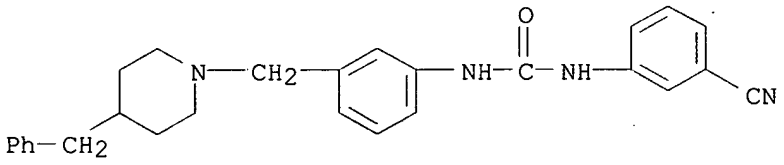
RN 275810-87-2 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



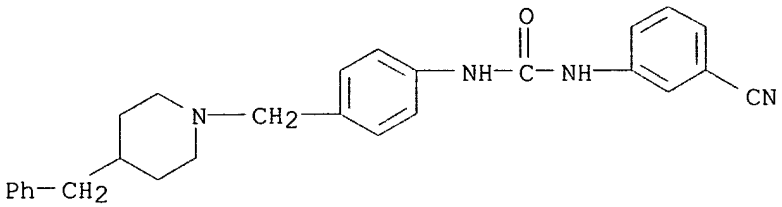
RN 275810-88-3 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



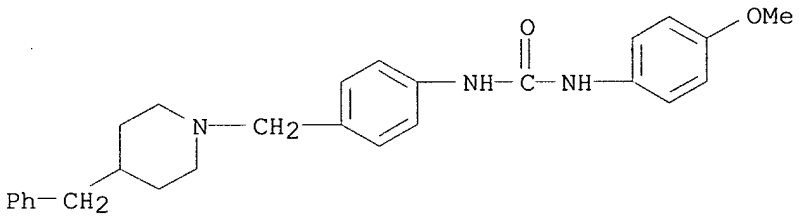
RN 275810-92-9 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



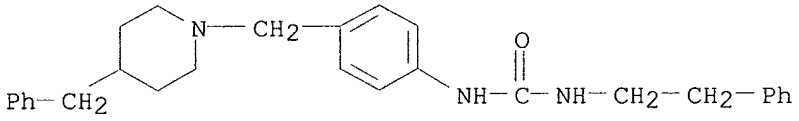
RN 275810-93-0 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



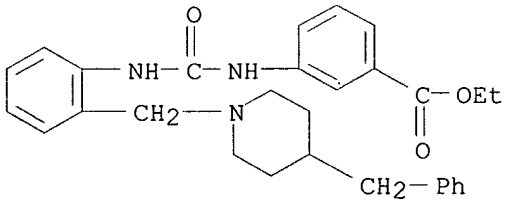
RN 275810-94-1 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



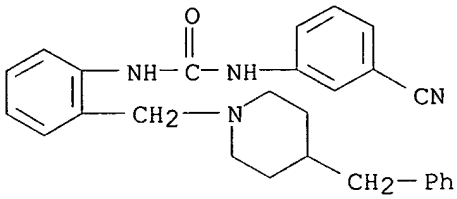
RN 275810-95-2 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



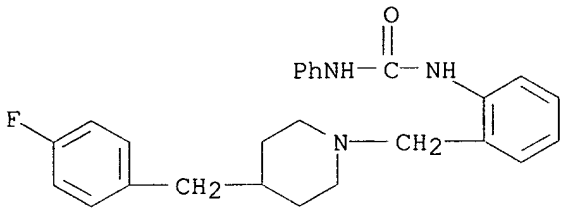
RN 275810-96-3 HCAPLUS
CN Benzoic acid, 3-[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



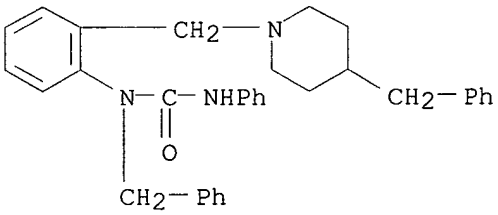
RN 275810-97-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



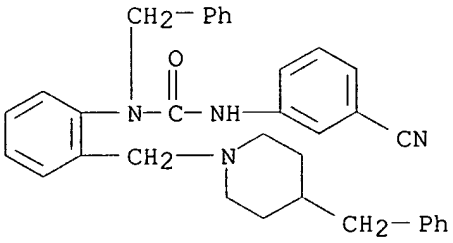
RN 275810-98-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



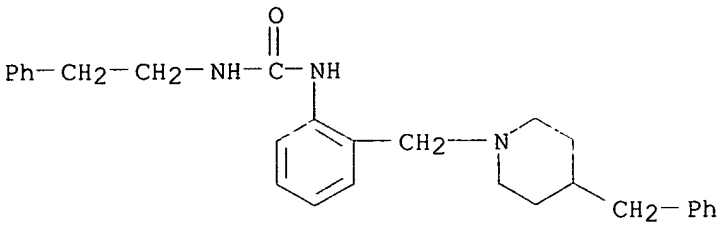
RN 275810-99-6 HCAPLUS
CN Urea, N'-phenyl-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



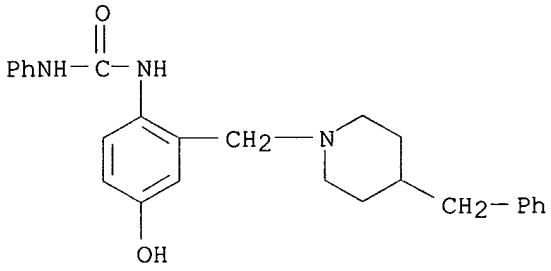
RN 275811-00-2 HCAPLUS
CN Urea, N'-(3-cyanophenyl)-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



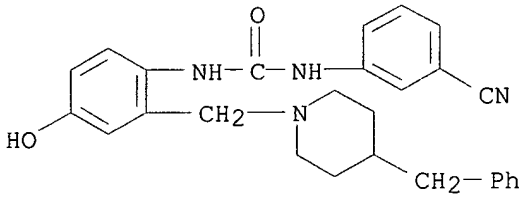
RN 275811-01-3 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



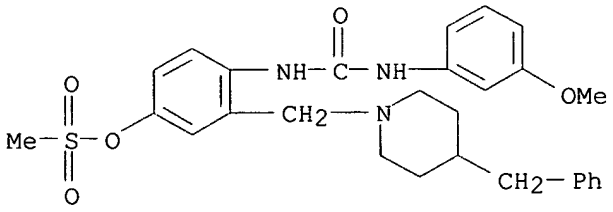
RN 275811-05-7 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 275811-06-8 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



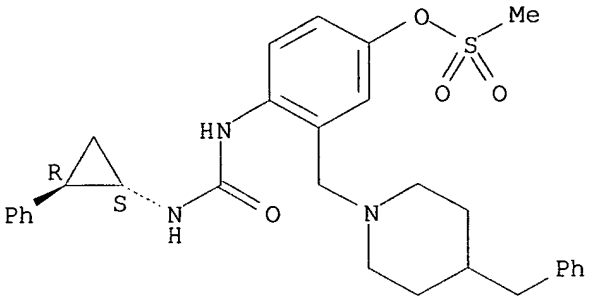
RN 275811-07-9 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



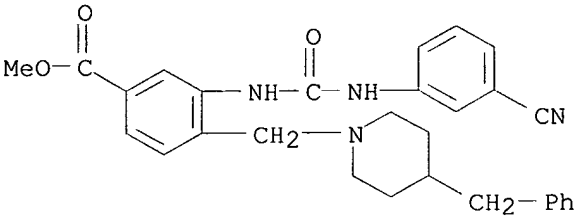
RN 275811-08-0 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI)

(CA INDEX NAME)

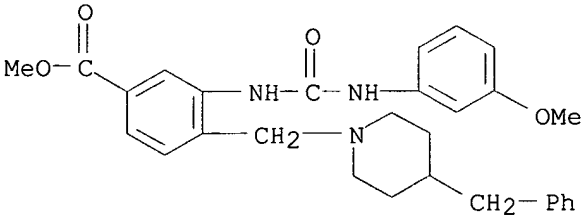
Relative stereochemistry.



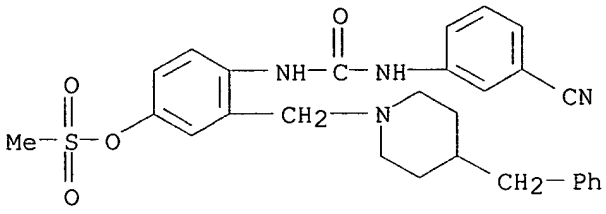
RN 275811-09-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



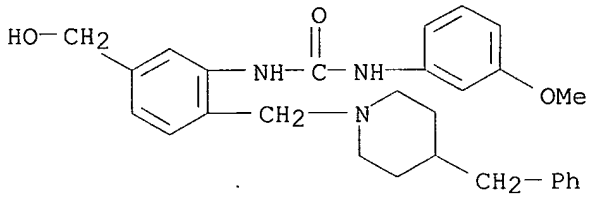
RN 275811-10-4 HCAPLUS
CN Benzoic acid, 3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



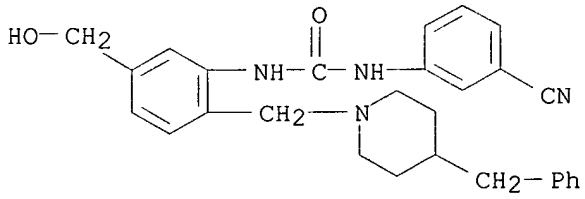
RN 275811-11-5 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



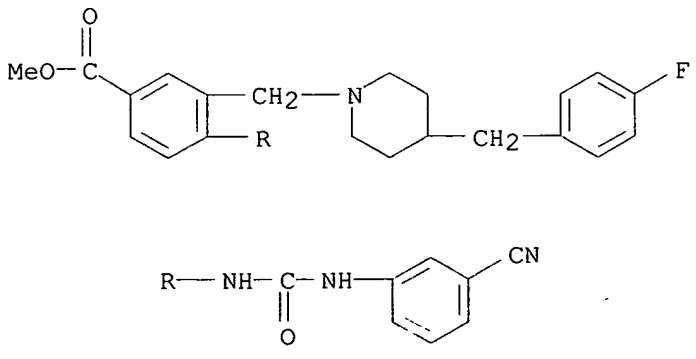
RN 275811-12-6 HCAPLUS
CN Urea, N-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



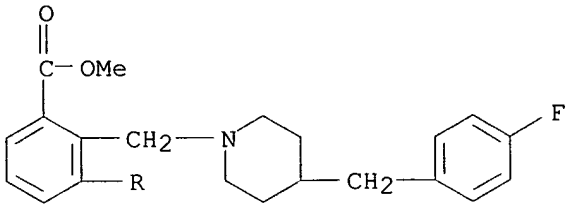
RN 275811-13-7 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

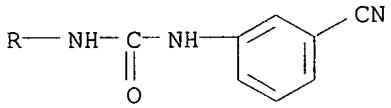


RN 275811-14-8 HCAPLUS
CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

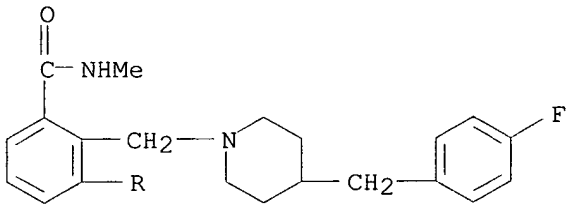


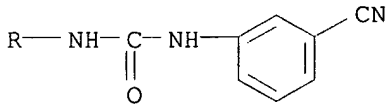
RN 275811-15-9 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



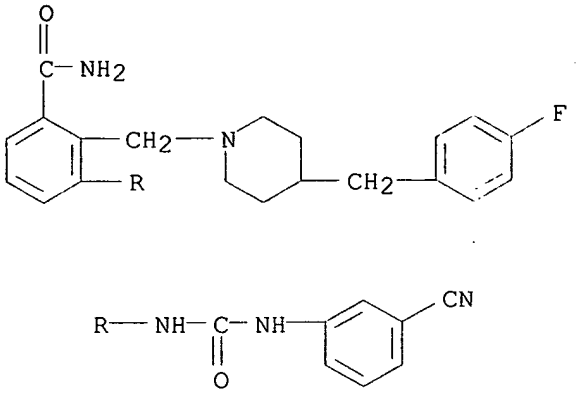


RN 275811-16-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

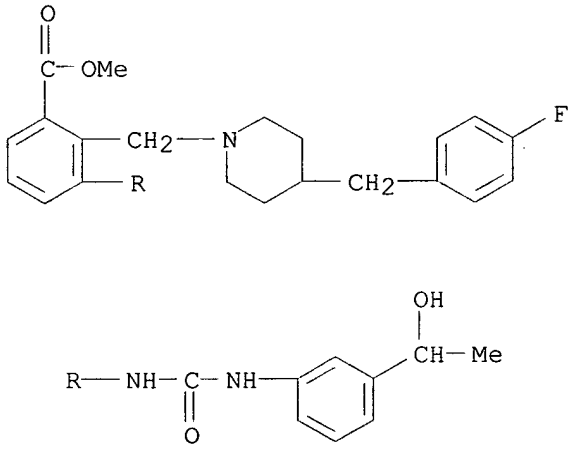




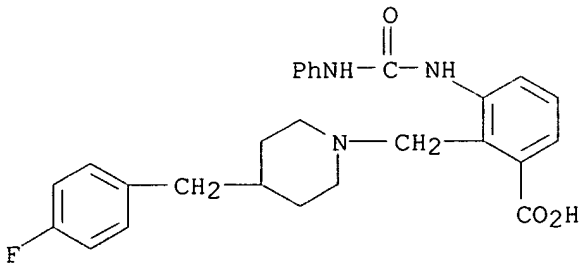
RN 275811-17-1 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 275811-18-2 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[[3-(1-hydroxyethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

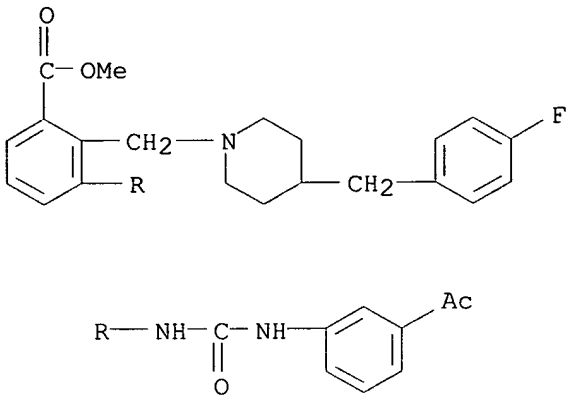


RN 275811-20-6 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



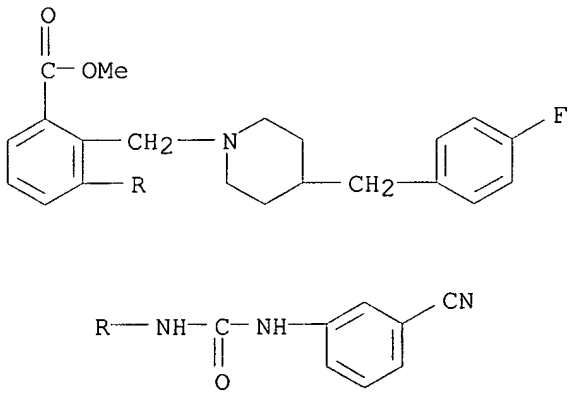
RN 275811-24-0 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-

fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



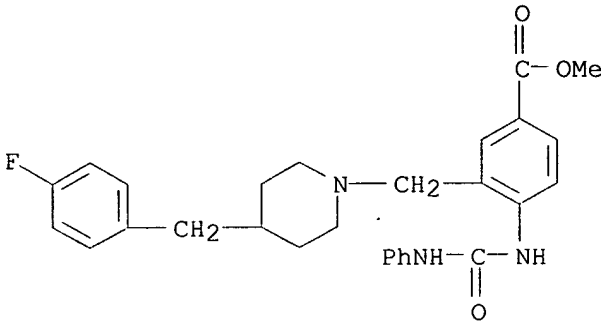
● HCl

RN 275811-25-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

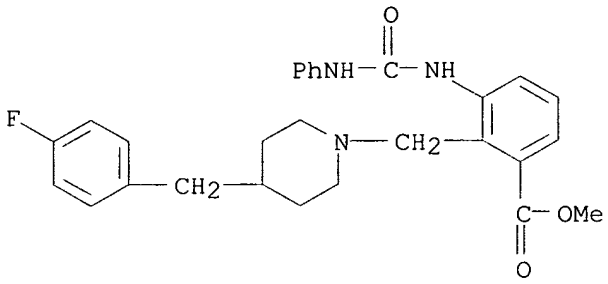


● HCl

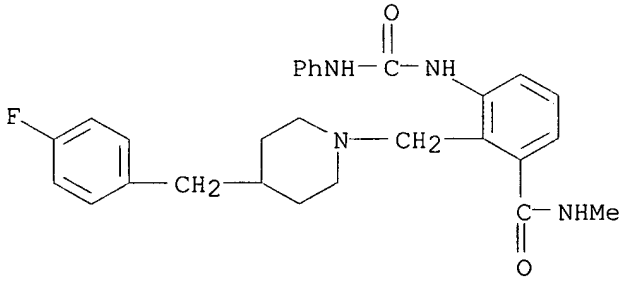
RN 275811-26-2 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



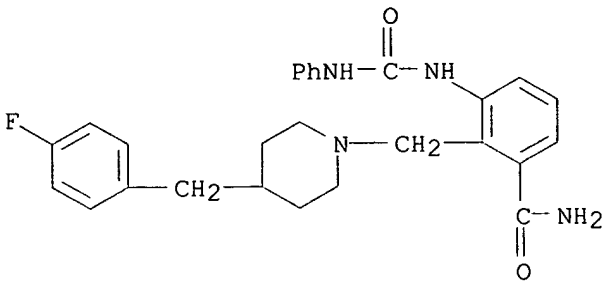
RN 275811-27-3 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



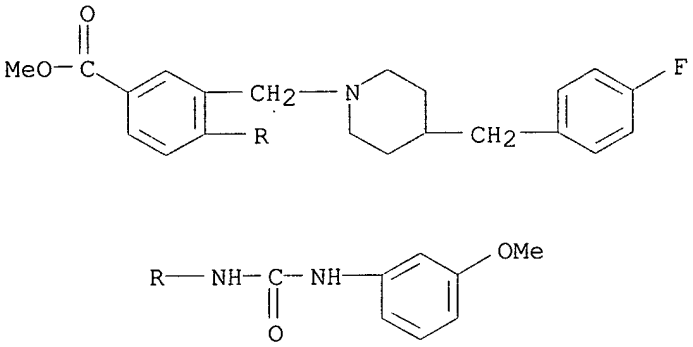
RN 275811-28-4 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



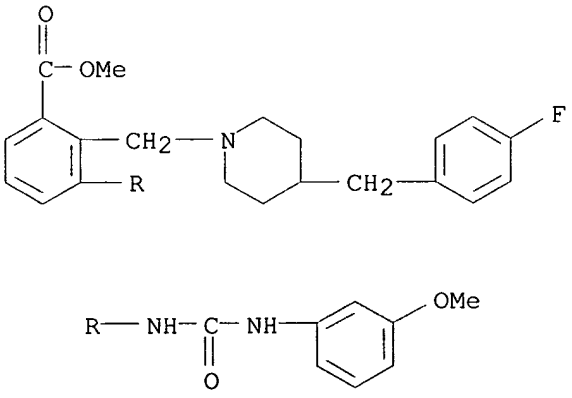
RN 275811-29-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



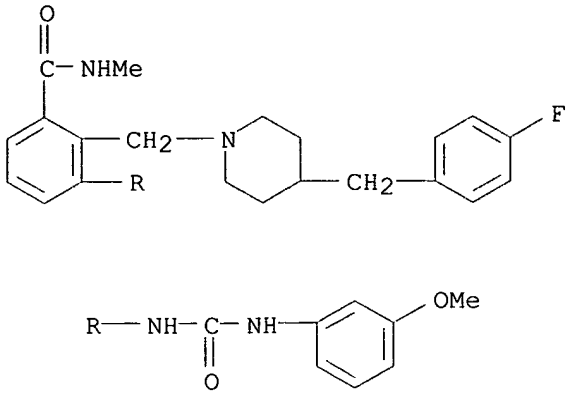
RN 275811-30-8 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



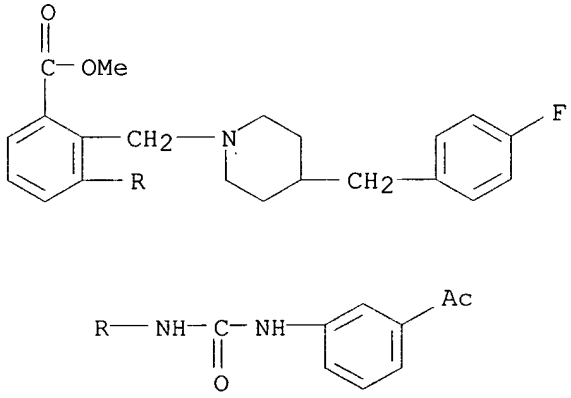
RN 275811-31-9 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



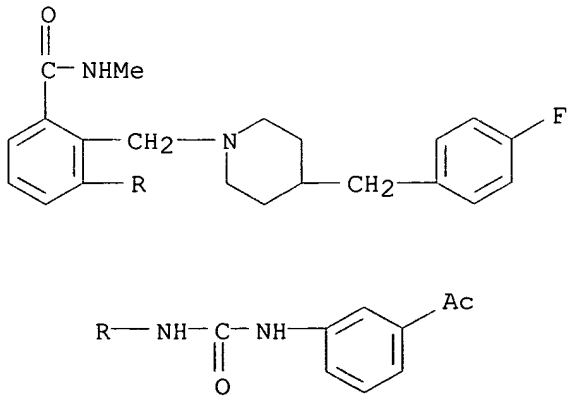
RN 275811-32-0 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



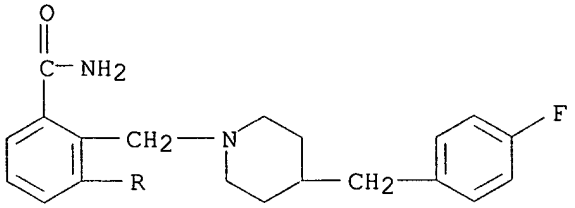
RN 275811-33-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

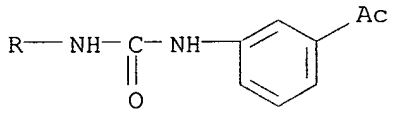


RN 275811-34-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

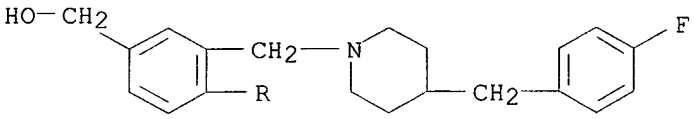


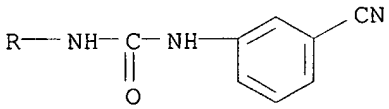
RN 275811-35-3 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



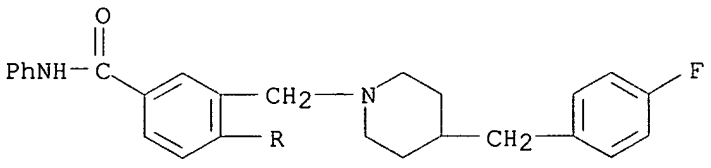


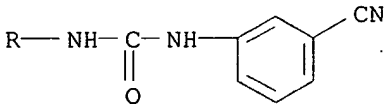
RN 275811-36-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



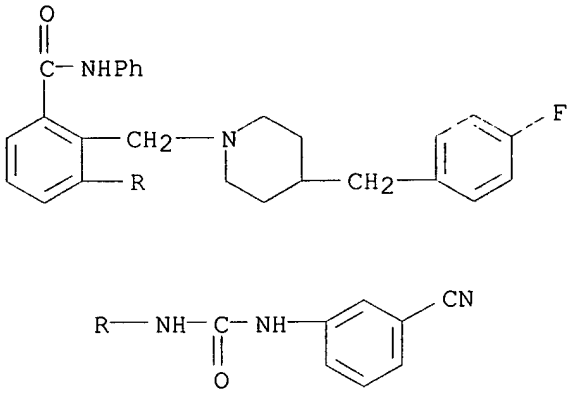


RN 275811-39-7 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)

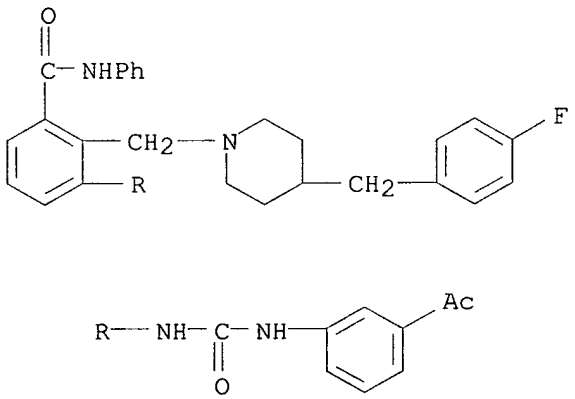




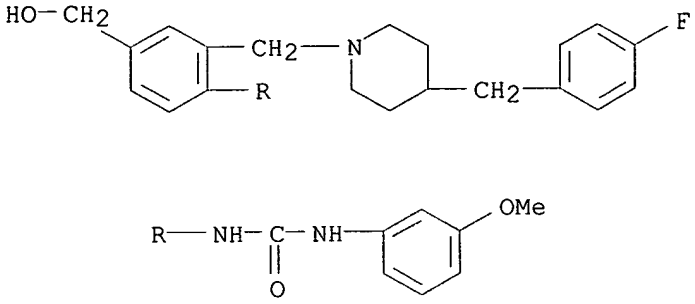
RN 275811-40-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



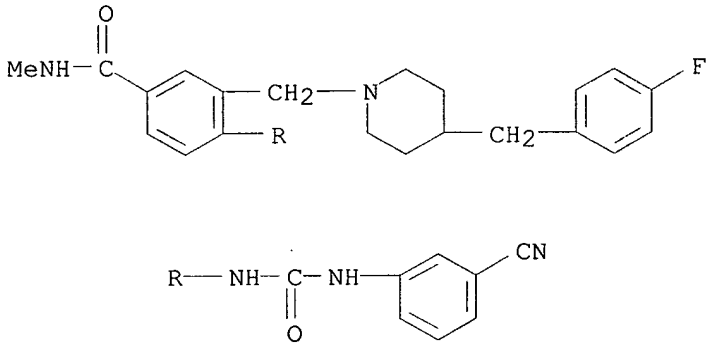
RN 275811-41-1 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



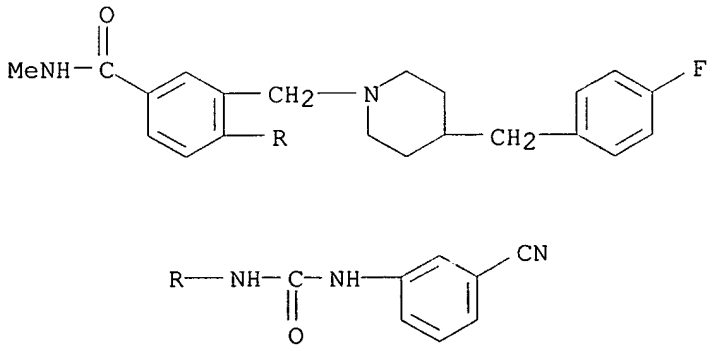
RN 275811-42-2 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 275811-43-3 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

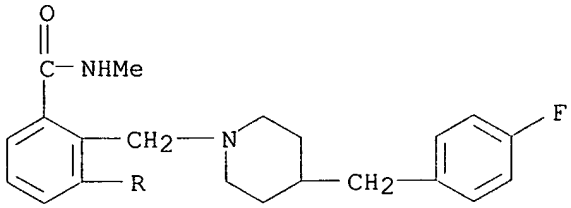


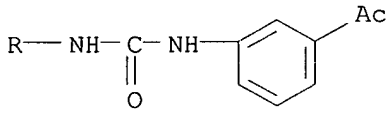
RN 275811-44-4 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

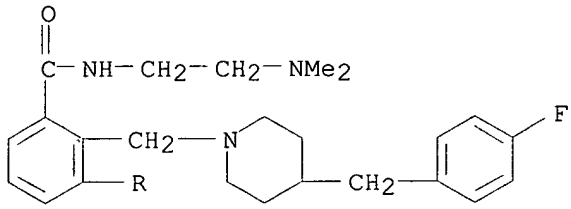
RN 275811-45-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

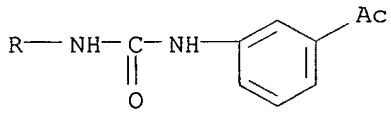




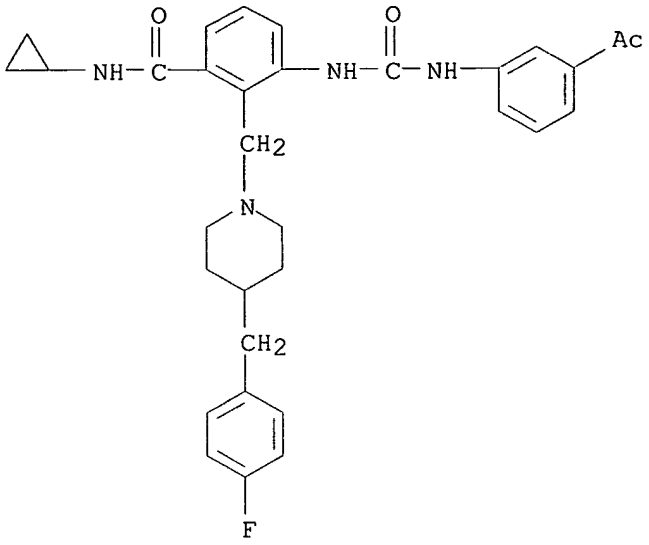
● HCl

RN 275811-46-6 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

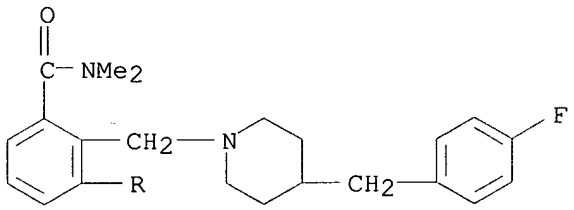


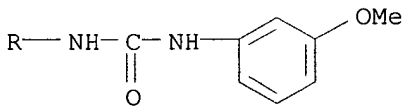


RN 275811-47-7 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

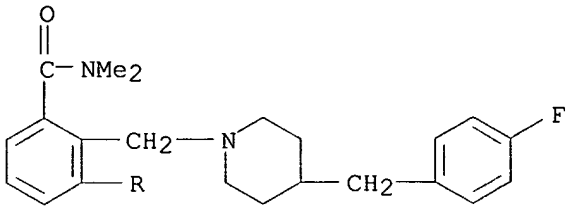


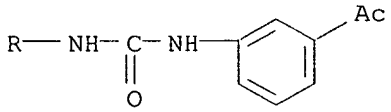
RN 275811-48-8 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



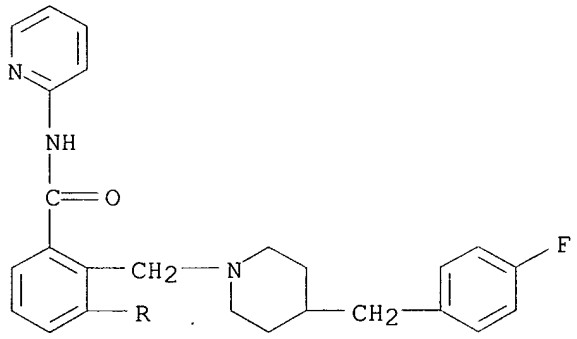


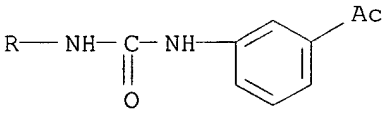
RN 275811-49-9 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



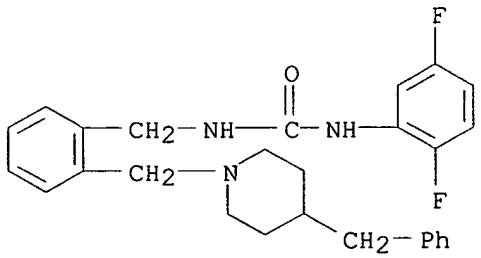


RN 275811-50-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

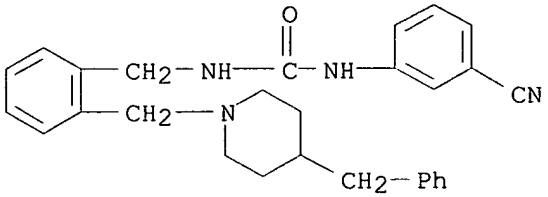




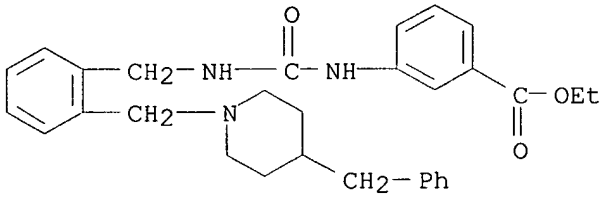
RN 275811-51-3 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



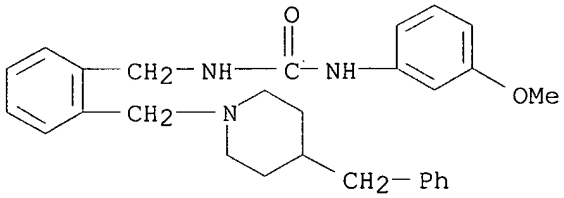
RN 275811-52-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



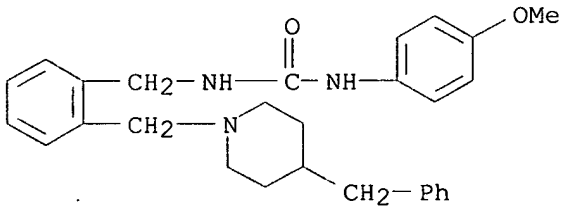
RN 275811-53-5 HCAPLUS
CN Benzoic acid, 3-[[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



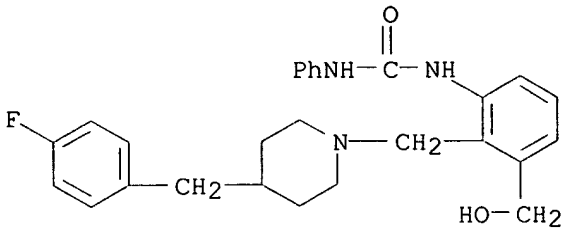
RN 275811-54-6 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



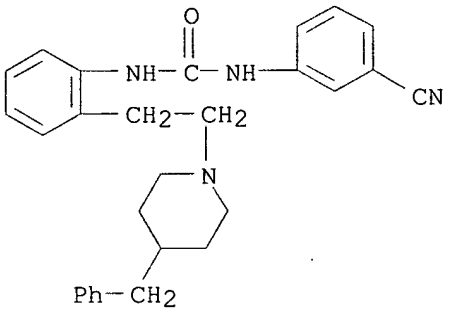
RN 275811-55-7 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



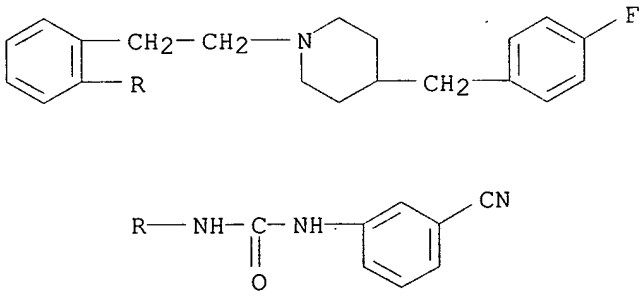
RN 275811-61-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-(hydroxymethyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



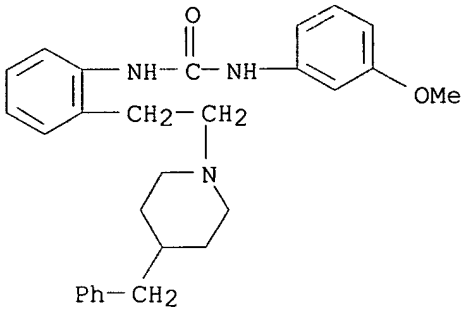
RN 275811-69-3 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



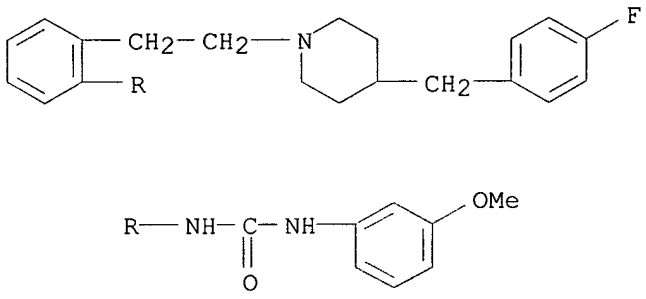
RN 275811-70-6 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



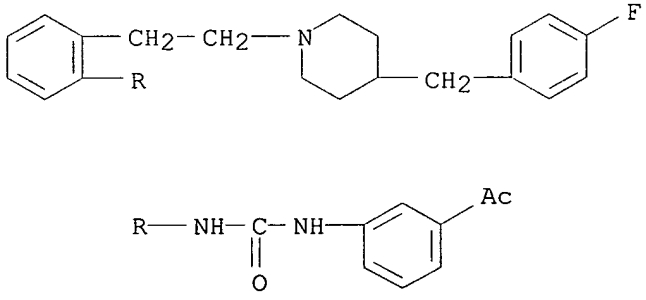
RN 275811-71-7 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



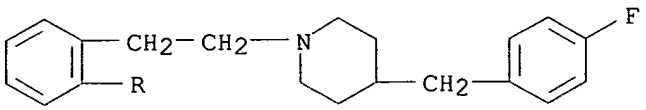
RN 275811-72-8 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

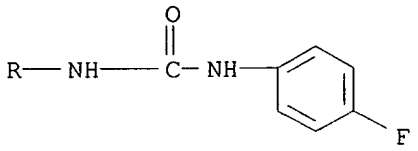


RN 275811-74-0 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

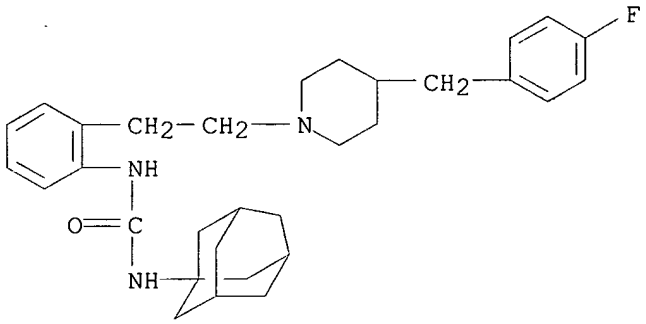


RN 275811-75-1 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

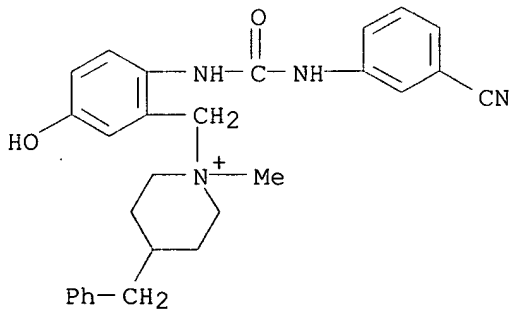




RN 275811-76-2 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



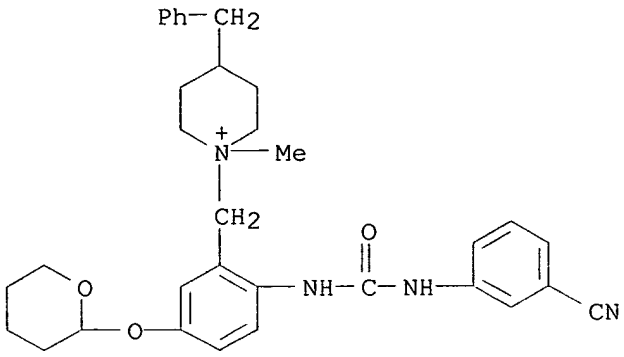
RN 275811-78-4 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-hydroxyphenyl]methyl]-1-methyl-4-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

RN 275811-79-5 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-[(tetrahydro-

2H-pyran-2-yl)oxy]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



● I^-

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

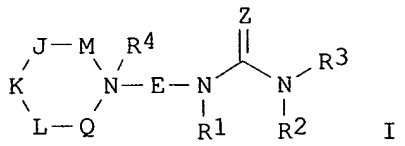
L38 ANSWER 12 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420962 HCAPLUS
DOCUMENT NUMBER: 133:43443
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean A. K.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000035452	A1	20000622	WO 1999-US30334	19991217	<--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 1161240	A1	20011212	EP 1999-963107	19991217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6331541	B1	20011218	US 1999-465288	19991217	<--
BR 9917038	A	20020402	BR 1999-17038	19991217	<--
US 6444686	B1	20020903	US 1999-466442	19991217	<--
JP 2002532427	T2	20021002	JP 2000-587772	19991217	<--
NO 2001002977	A	20010820	NO 2001-2977	20010615	<--
PRIORITY APPLN. INFO.:			US 1998-112717P P	19981218	<--
			US 1999-161221P P	19991022	
			US 1999-161222P P	19991022	

WO 1999-US30334 W 19991217

OTHER SOURCE(S): MARPAT 133:43443

GI



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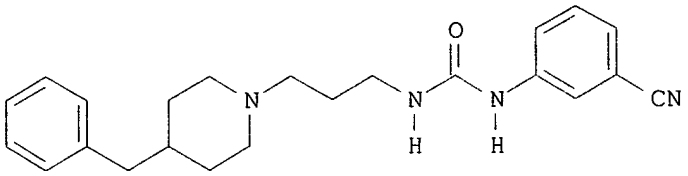

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT **275810-47-4P 275810-48-5P 275810-49-6P**
275810-58-7P 275810-59-8P 275810-60-1P
275810-61-2P 275810-62-3P 275810-63-4P
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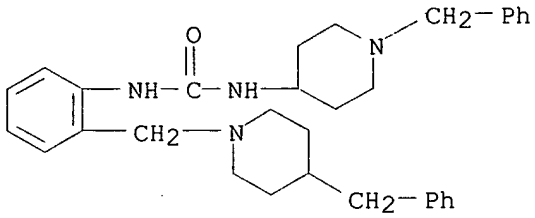
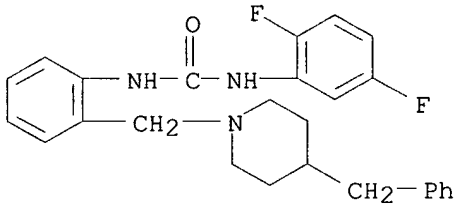
275811-74-0P 275811-75-1P 275811-76-2P
275811-78-4P 275811-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity)

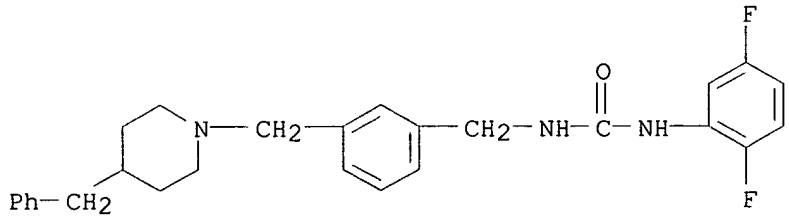
RN 275810-47-4 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



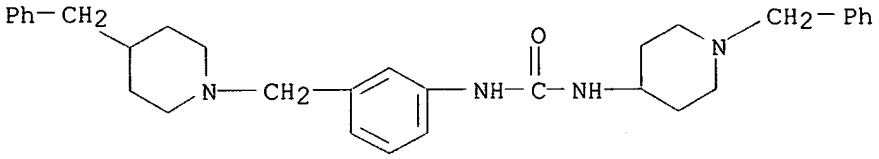
RN 275810-48-5 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



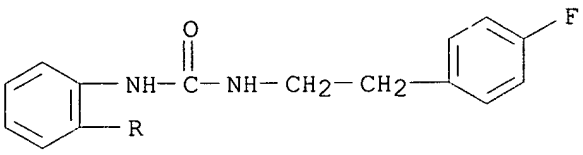
RN 275810-49-6 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

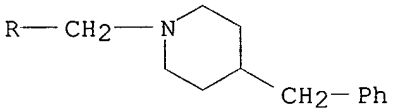


RN 275810-58-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

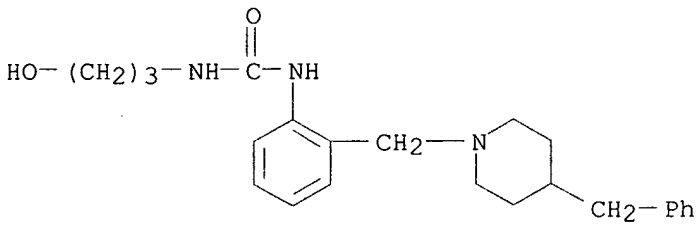


RN 275810-59-8 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

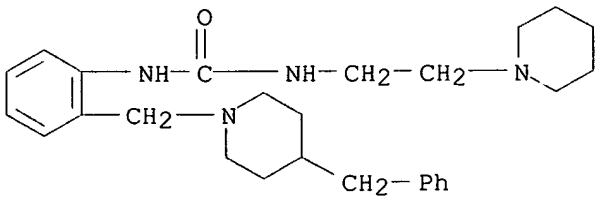




RN 275810-60-1 HCAPLUS
CN Urea, N-(3-hydroxypropyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

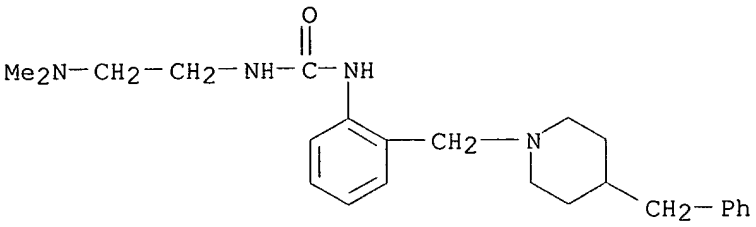


RN 275810-61-2 HCAPLUS
CN Urea, N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

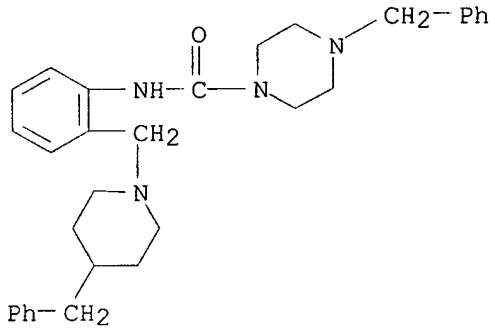


RN 275810-62-3 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[[4-(phenylmethyl)-1-

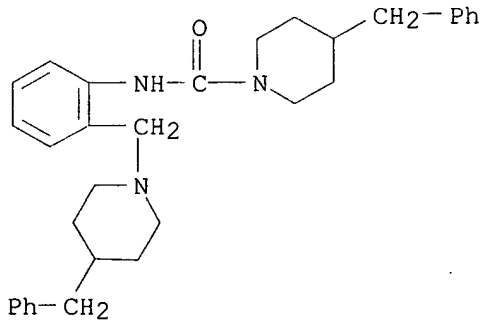
piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



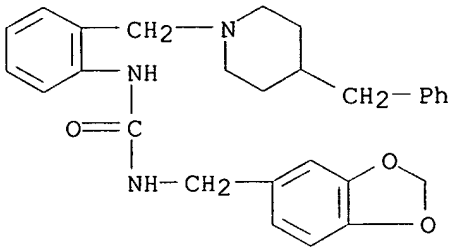
RN 275810-63-4 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



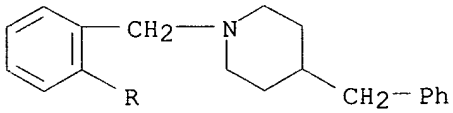
RN 275810-64-5 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

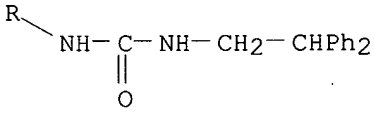


RN 275810-65-6 HCAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

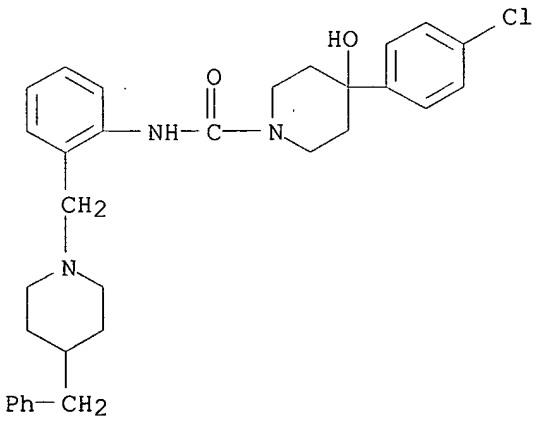


RN 275810-66-7 HCAPLUS
CN Urea, N-(2,2-diphenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

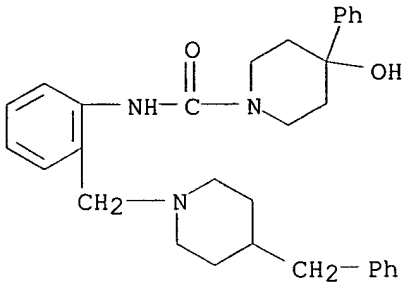




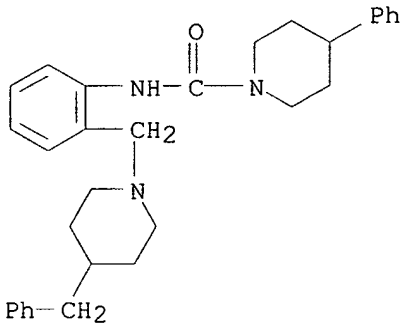
RN 275810-67-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



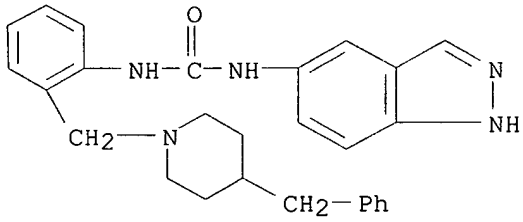
RN 275810-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



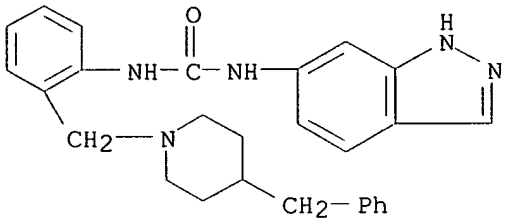
RN 275810-69-0 HCAPLUS
CN 1-Piperidinecarboxamide, 4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



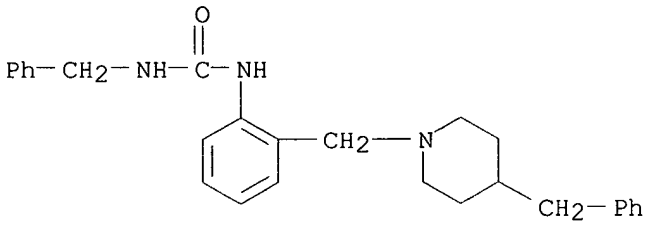
RN 275810-70-3 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



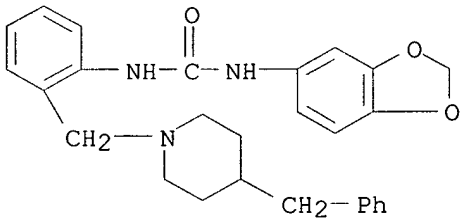
RN 275810-71-4 HCAPLUS
CN Urea, N-1H-indazol-6-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



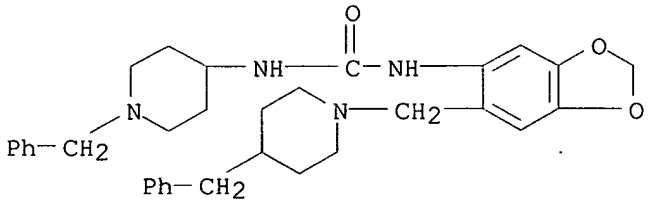
RN 275810-72-5 HCAPLUS
CN Urea, N-(phenylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



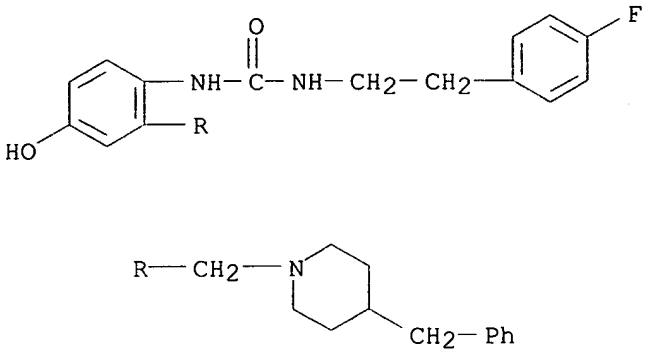
RN 275810-73-6 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



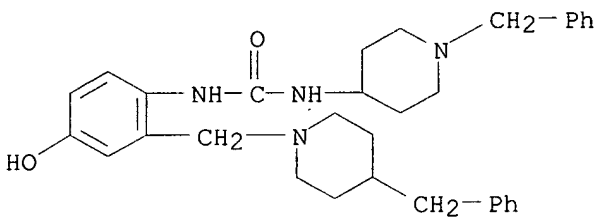
RN 275810-74-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[6-[[4-(phenylmethyl)-1-piperidinyl]methyl]-1,3-benzodioxol-5-yl]- (9CI) (CA INDEX NAME)



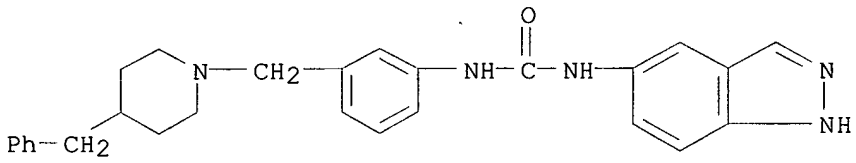
RN 275810-80-5 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



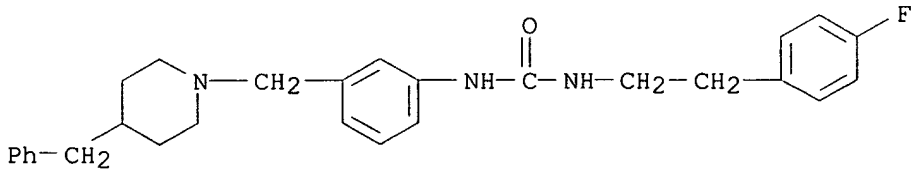
RN 275810-81-6 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



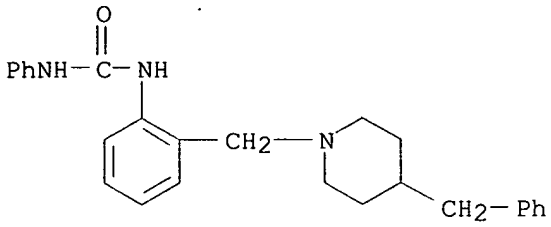
RN 275810-83-8 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



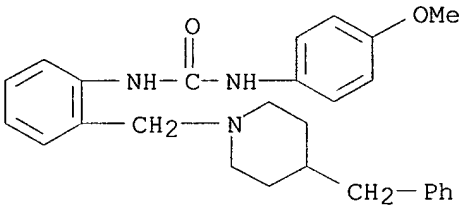
RN 275810-84-9 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



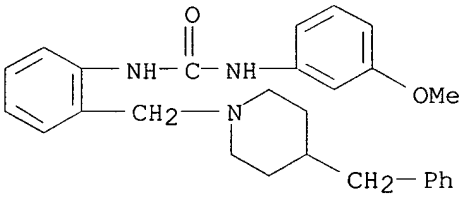
RN 275810-86-1 HCAPLUS
CN Urea, N-phenyl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



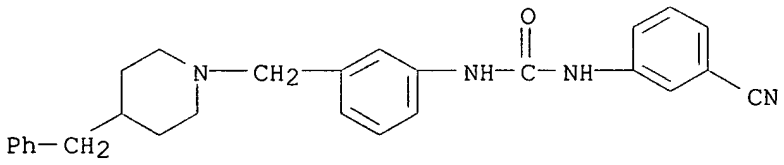
RN 275810-87-2 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



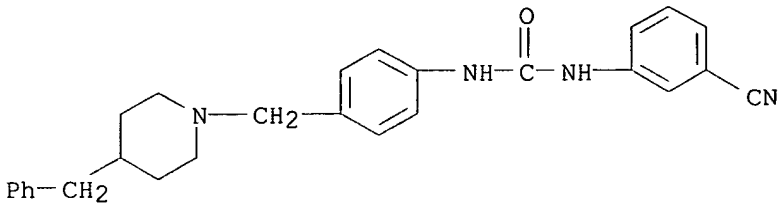
RN 275810-88-3 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



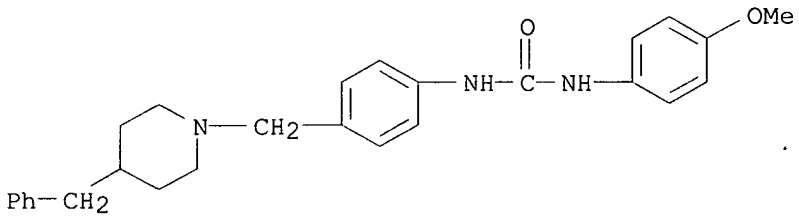
RN 275810-92-9 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



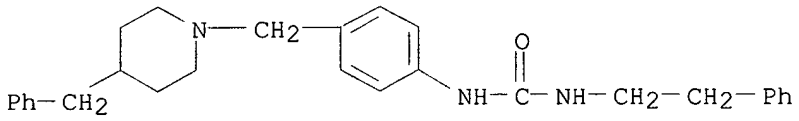
RN 275810-93-0 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



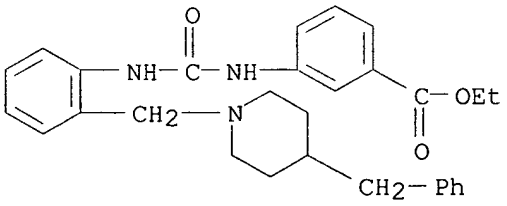
RN 275810-94-1 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



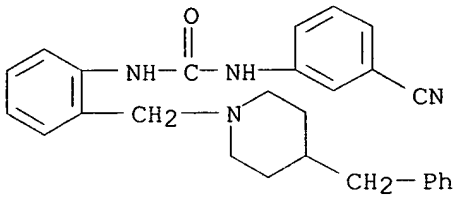
RN 275810-95-2 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



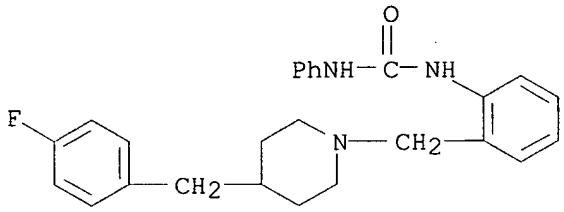
RN 275810-96-3 HCAPLUS
CN Benzoic acid, 3-[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



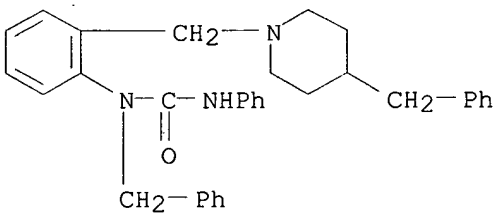
RN 275810-97-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



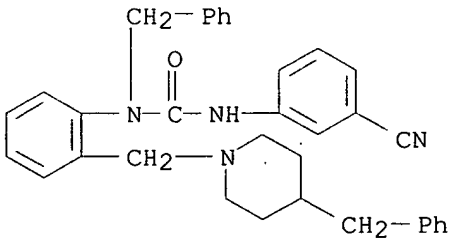
RN 275810-98-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



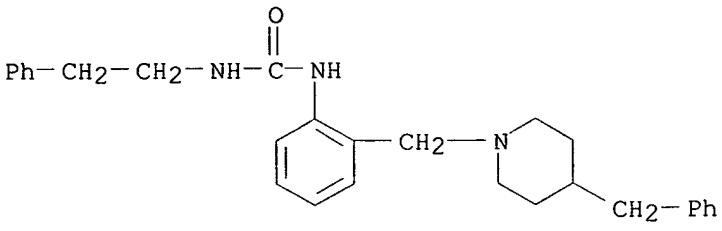
RN 275810-99-6 HCAPLUS
CN Urea, N'-phenyl-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



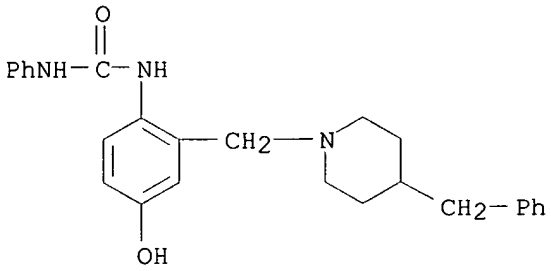
RN 275811-00-2 HCAPLUS
CN Urea, N'-(3-cyanophenyl)-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



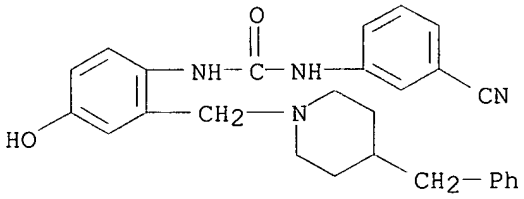
RN 275811-01-3 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



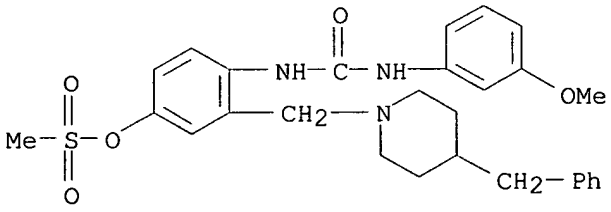
RN 275811-05-7 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 275811-06-8 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



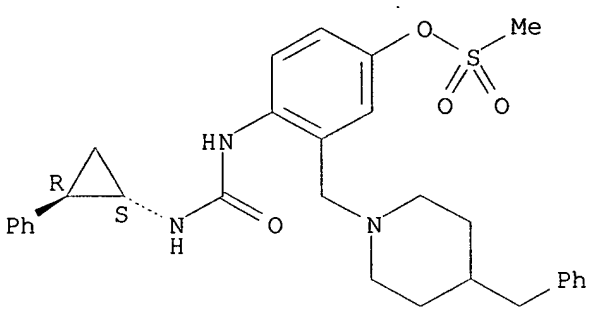
RN 275811-07-9 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



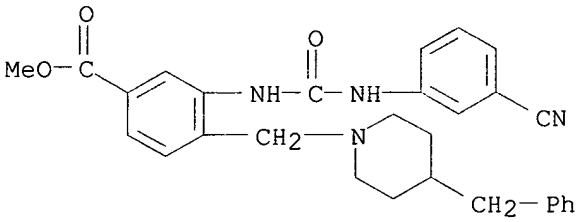
RN 275811-08-0 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI)

(CA INDEX NAME)

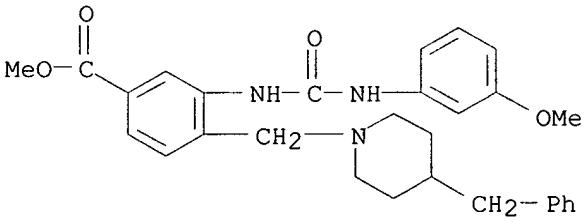
Relative stereochemistry.



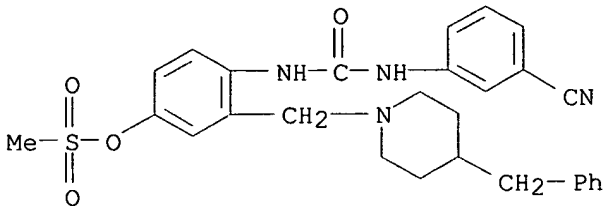
RN 275811-09-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



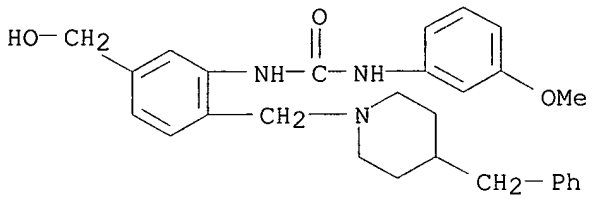
RN 275811-10-4 HCAPLUS
CN Benzoic acid, 3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



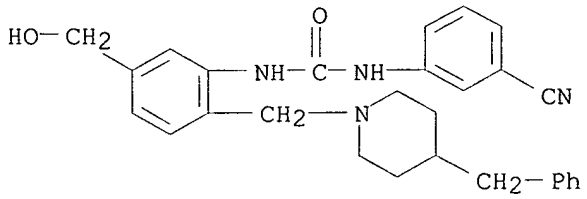
RN 275811-11-5 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



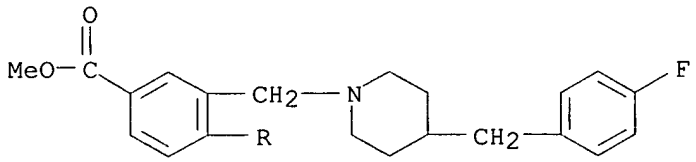
RN 275811-12-6 HCAPLUS
CN Urea, N-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

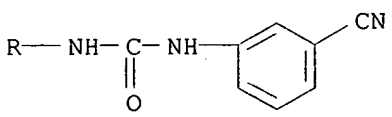


RN 275811-13-7 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

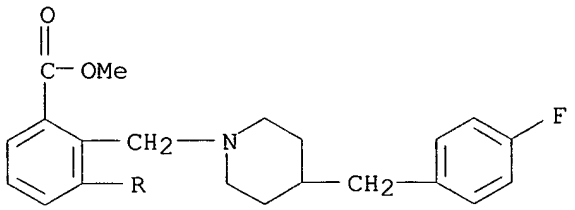


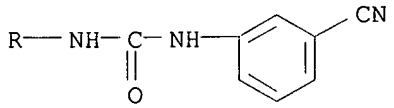
RN 275811-14-8 HCAPLUS
CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



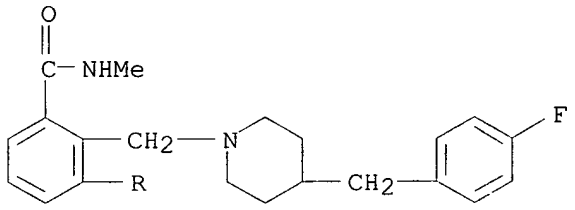


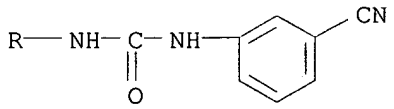
RN 275811-15-9 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



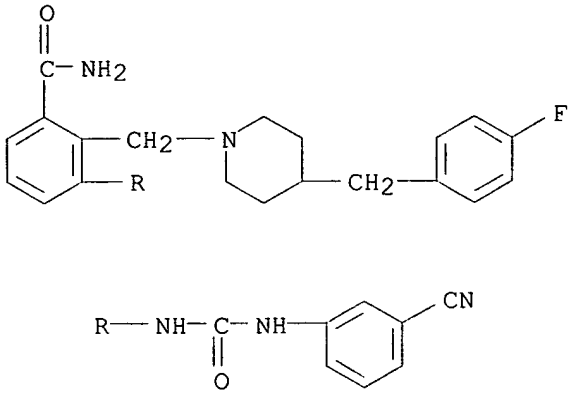


RN 275811-16-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

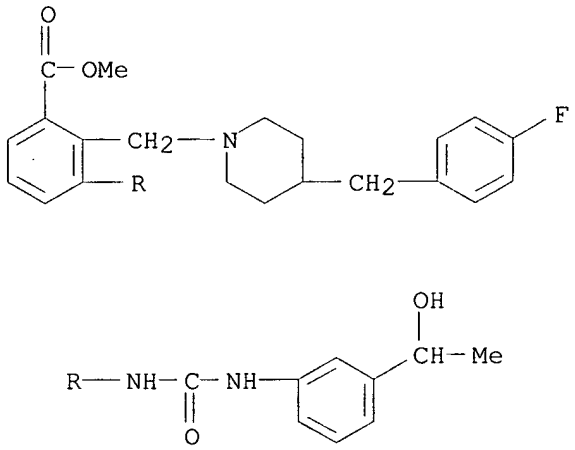




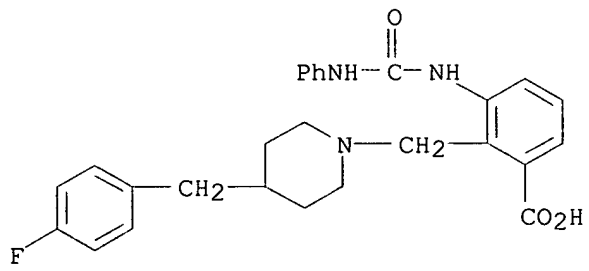
RN 275811-17-1 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 275811-18-2 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[[3-(1-hydroxyethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

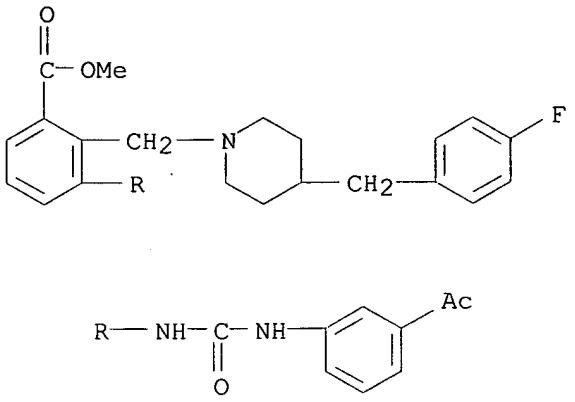


RN 275811-20-6 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



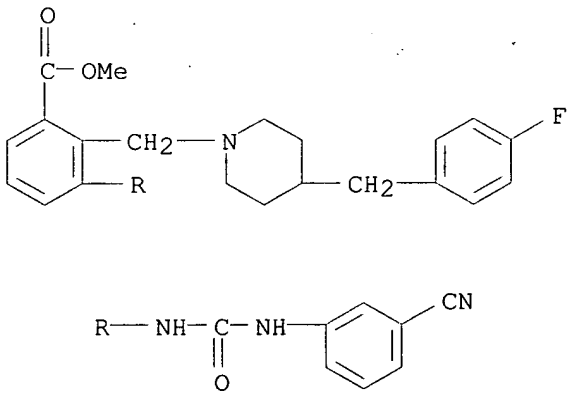
RN 275811-24-0 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-

fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



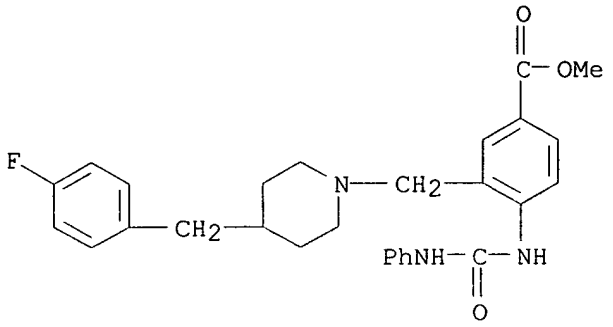
● HCl

RN 275811-25-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

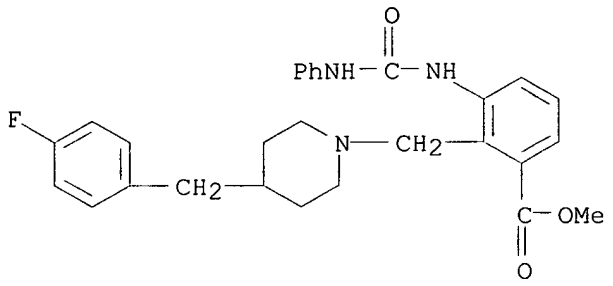


● HCl

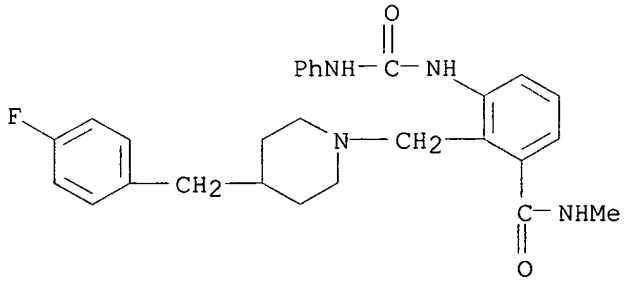
RN 275811-26-2 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



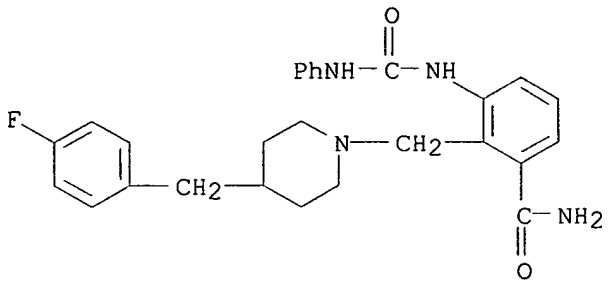
RN 275811-27-3 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



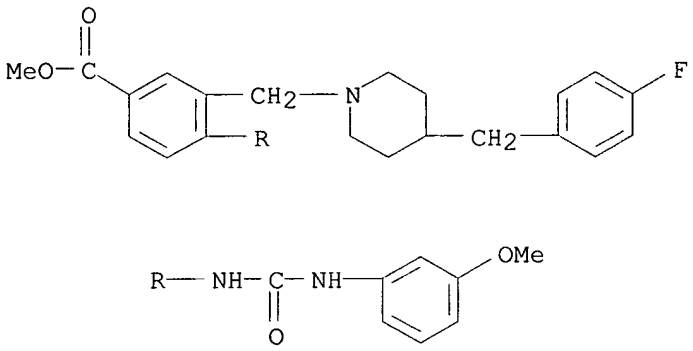
RN 275811-28-4 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



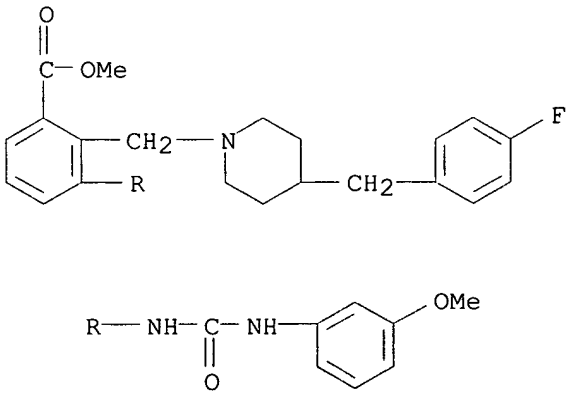
RN 275811-29-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



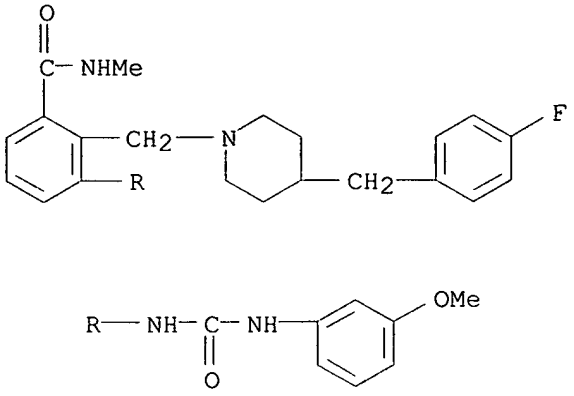
RN 275811-30-8 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



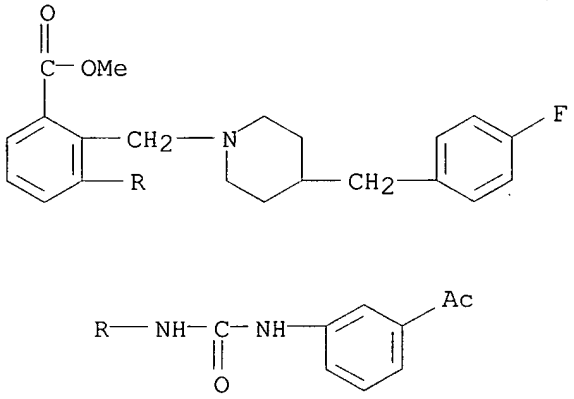
RN 275811-31-9 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



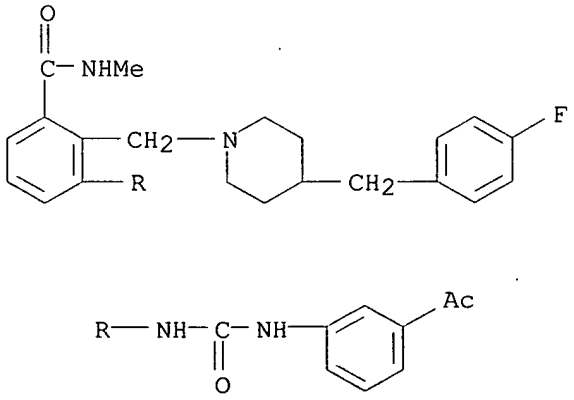
RN 275811-32-0 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



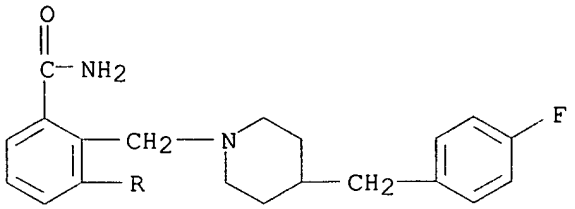
RN 275811-33-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

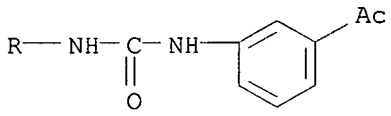


RN 275811-34-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

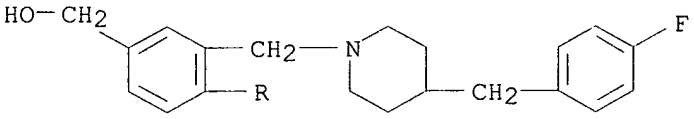


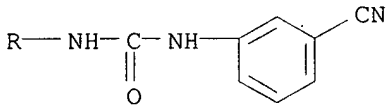
RN 275811-35-3 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



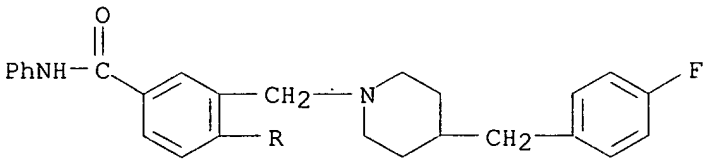


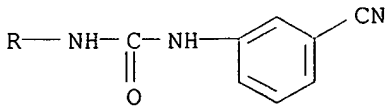
RN 275811-36-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



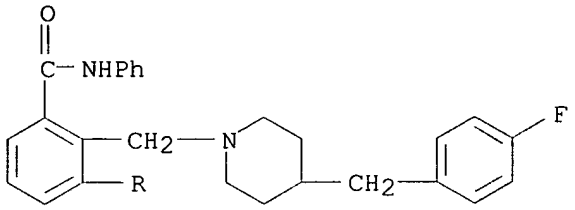


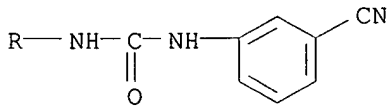
RN 275811-39-7 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



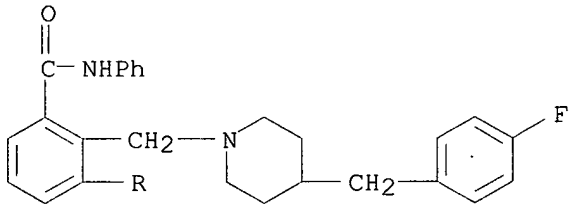


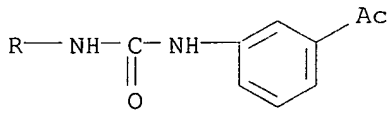
RN 275811-40-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



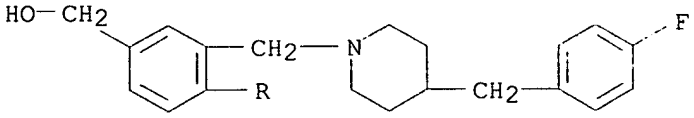


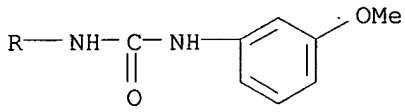
RN 275811-41-1 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



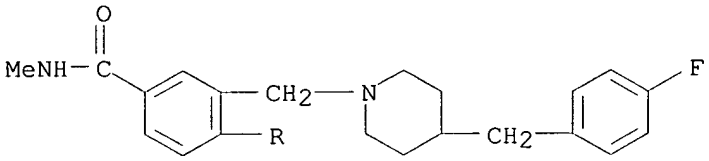


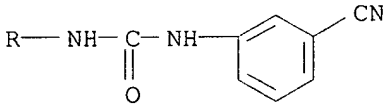
RN 275811-42-2 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



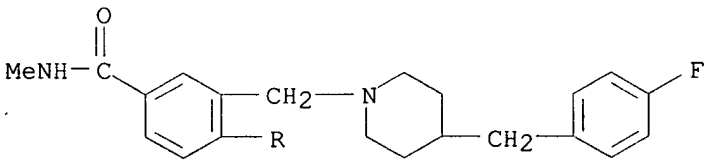


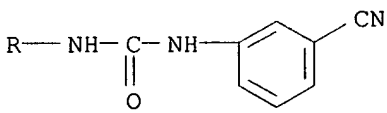
RN 275811-43-3 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)





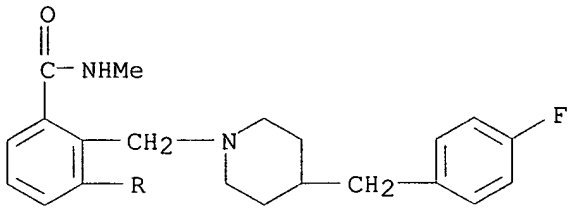
RN 275811-44-4 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

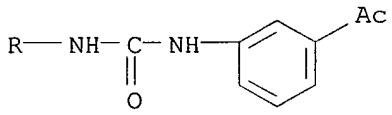




HCl

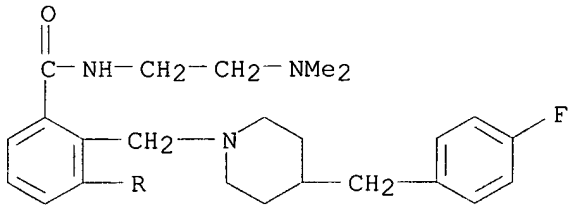
RN 275811-45-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

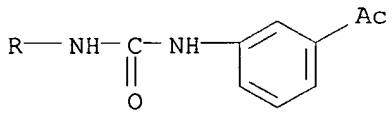




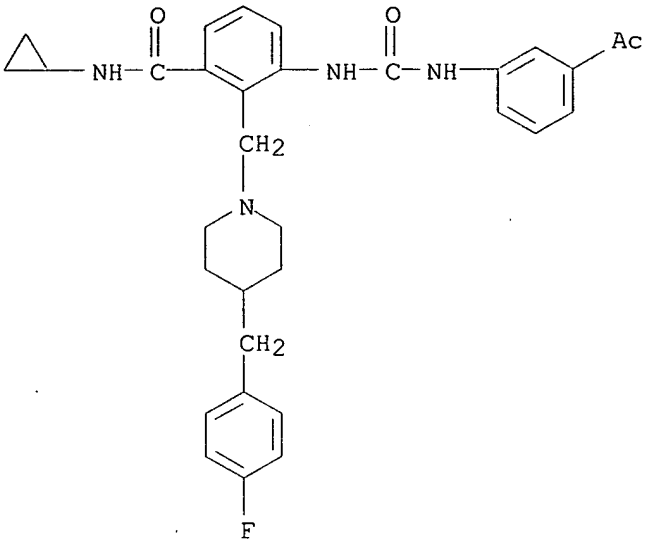
● HCl

RN 275811-46-6 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

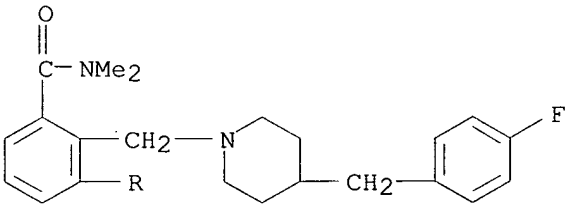


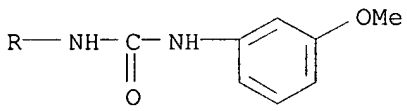


RN 275811-47-7 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

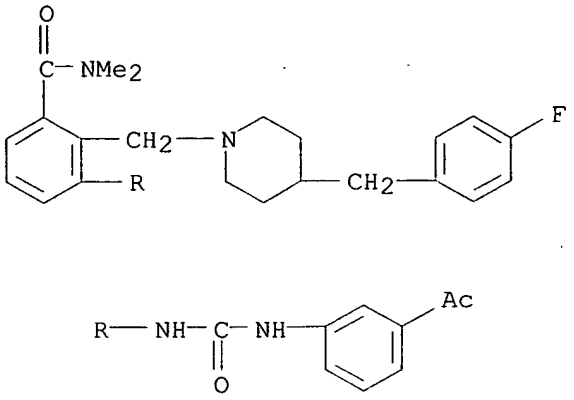


RN 275811-48-8 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

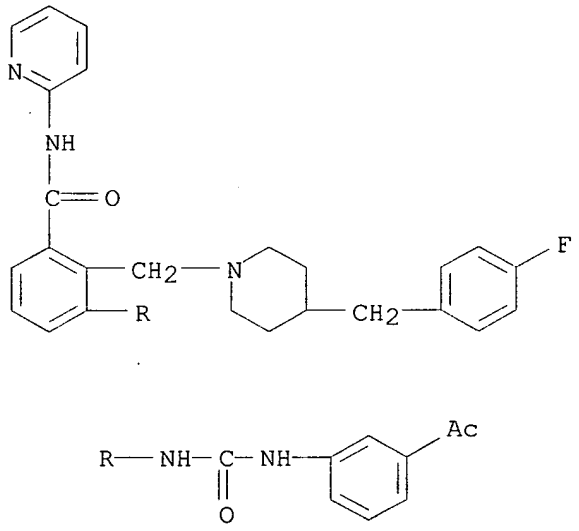




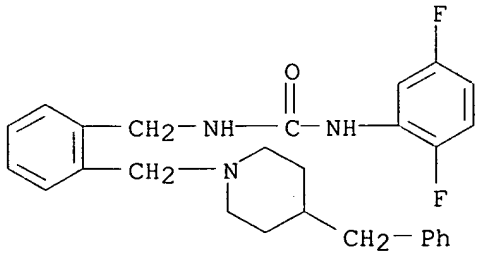
RN 275811-49-9 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



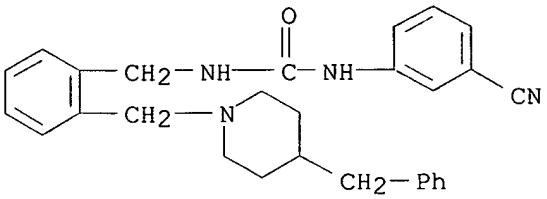
RN 275811-50-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



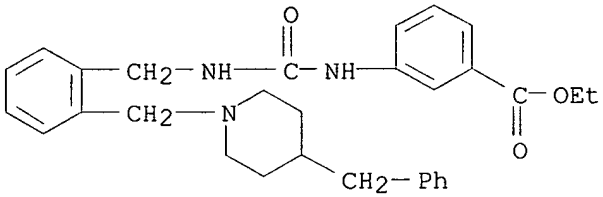
RN 275811-51-3 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



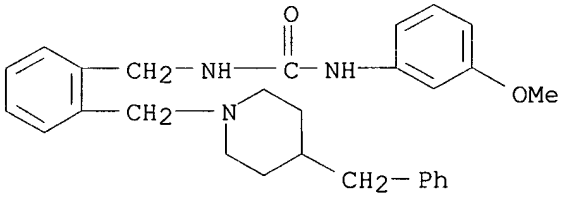
RN 275811-52-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



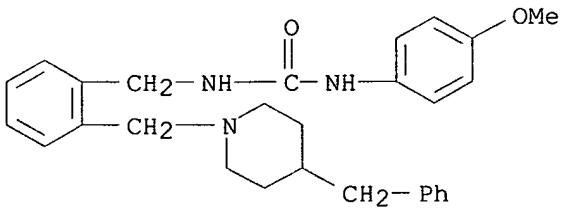
RN 275811-53-5 HCAPLUS
CN Benzoic acid, 3-[[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



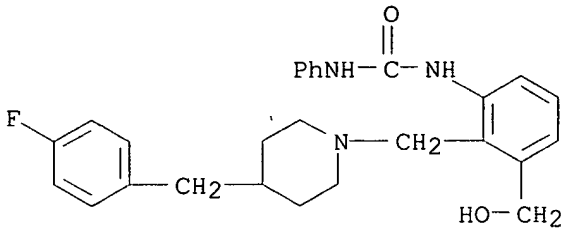
RN 275811-54-6 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



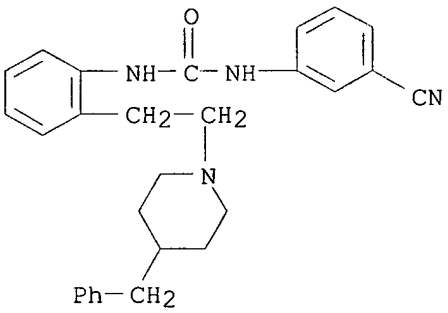
RN 275811-55-7 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



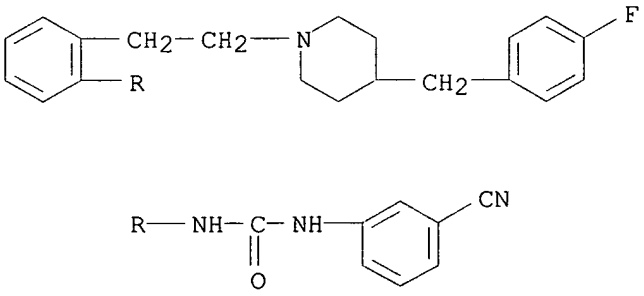
RN 275811-61-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-(hydroxymethyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



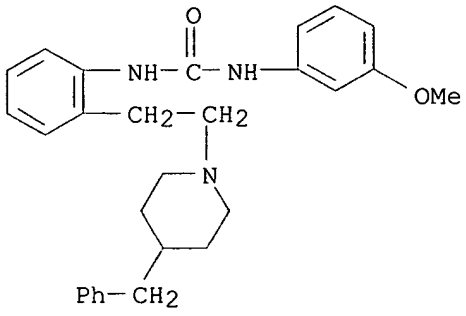
RN 275811-69-3 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



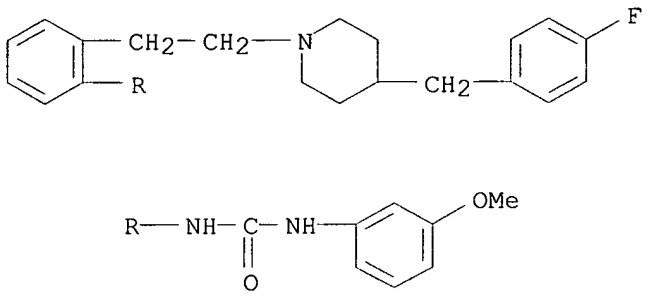
RN 275811-70-6 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



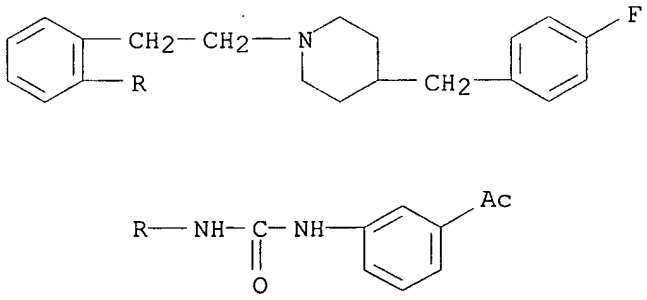
RN 275811-71-7 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



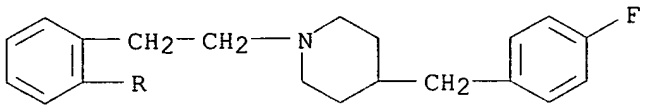
RN 275811-72-8 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-(3-methoxyphenyl)-. (9CI) (CA INDEX NAME)

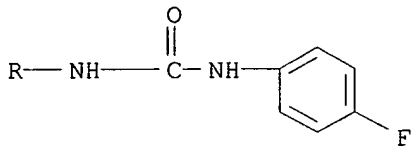


RN 275811-74-0 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

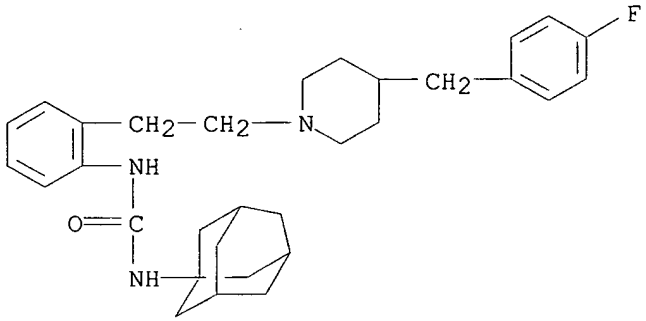


RN 275811-75-1 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

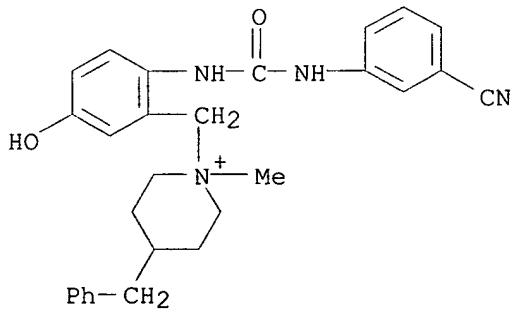




RN 275811-76-2 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



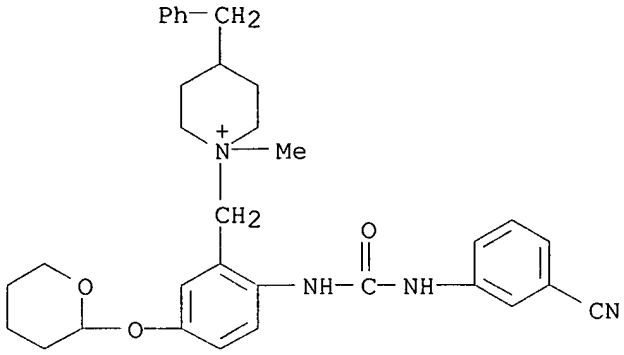
RN 275811-78-4 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-hydroxyphenyl]methyl]-1-methyl-4-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

RN 275811-79-5 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-[(tetrahydro-

2H-pyran-2-yl)oxy]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



● I^-

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 13 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420961 HCAPLUS
DOCUMENT NUMBER: 133:43442
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.; Watson, Paul S.; Varnes, Jeffrey G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 394 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000035451	A1	20000622	WO 1999-US30332	19991217	<--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 1140086	A1	20011010	EP 1999-964297	19991217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6331541	B1	20011218	US 1999-465288	19991217	<--

PRIORITY APPLN. INFO.:
US 1998-112717P P 19981218 <--
US 1999-161243P P 19991022
US 1999-161222P P 19991022
WO 1999-US30332 W 19991217

OTHER SOURCE(S): MARPAT 133:43442
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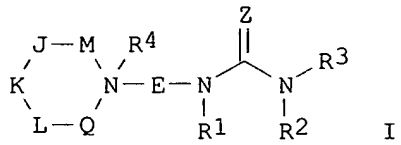

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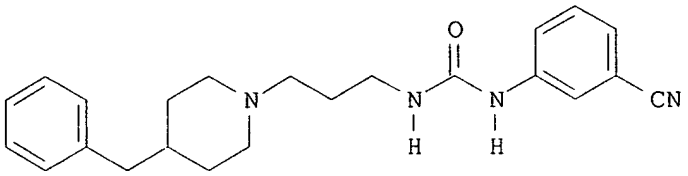


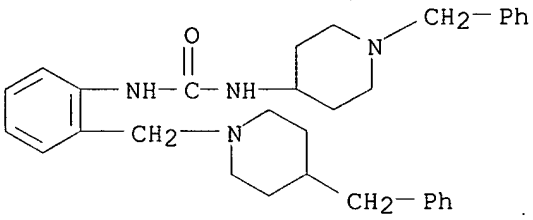
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

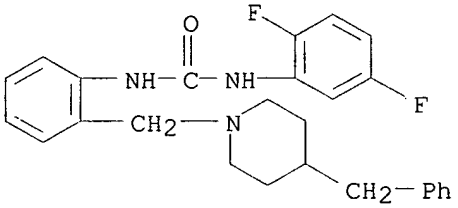
IT **275810-47-4P 275810-48-5P 275810-49-6P**
275810-58-7P 275810-59-8P 275810-60-1P
275810-61-2P 275810-62-3P 275810-63-4P
275810-64-5P 275810-65-6P 275810-66-7P
275810-67-8P 275810-68-9P 275810-69-0P
275810-70-3P 275810-71-4P 275810-72-5P
275810-73-6P 275810-74-7P 275810-80-5P
275810-81-6P 275810-83-8P 275810-84-9P
275810-86-1P 275810-87-2P 275810-88-3P
275810-92-9P 275810-93-0P 275810-94-1P
275810-95-2P 275810-96-3P 275810-97-4P
275810-98-5P 275810-99-6P 275811-00-2P
275811-01-3P 275811-05-7P 275811-06-8P
275811-07-9P 275811-08-0P 275811-09-1P
275811-10-4P 275811-11-5P 275811-12-6P
275811-13-7P 275811-14-8P 275811-15-9P
275811-16-0P 275811-17-1P 275811-18-2P
275811-20-6P 275811-24-0P 275811-25-1P
275811-26-2P 275811-27-3P 275811-28-4P
275811-29-5P 275811-30-8P 275811-31-9P
275811-32-0P 275811-33-1P 275811-34-2P
275811-35-3P 275811-36-4P 275811-39-7P
275811-40-0P 275811-41-1P 275811-42-2P
275811-43-3P 275811-44-4P 275811-45-5P
275811-46-6P 275811-47-7P 275811-48-8P
275811-49-9P 275811-50-2P 275811-51-3P
275811-52-4P 275811-53-5P 275811-54-6P
275811-55-7P 275811-61-5P 275811-69-3P
275811-70-6P 275811-71-7P 275811-72-8P
275811-74-0P 275811-75-1P 275811-76-2P
275811-78-4P 275811-79-5P 276243-13-1P

276243-14-2P 276243-18-6P 276243-81-3P
276243-82-4P 276243-83-5P 276243-84-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity)

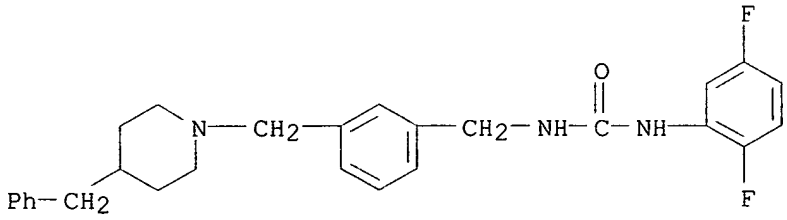
RN 275810-47-4 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



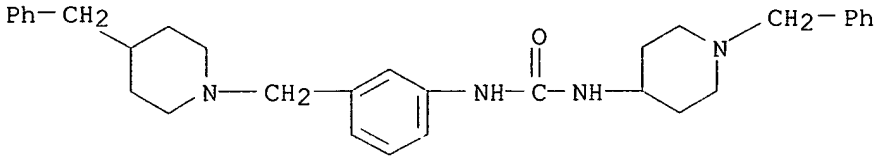
RN 275810-48-5 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



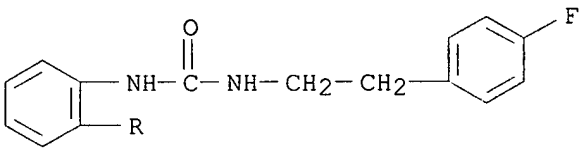
RN 275810-49-6 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

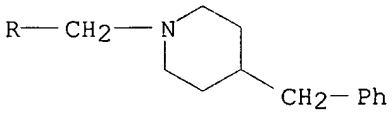


RN 275810-58-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

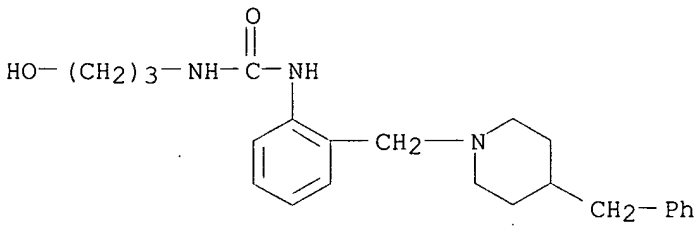


RN 275810-59-8 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

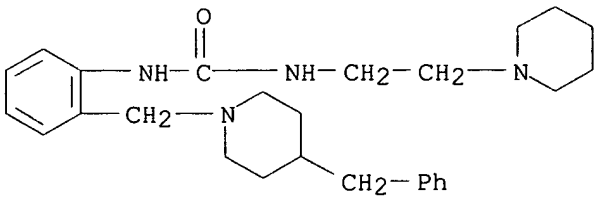




RN 275810-60-1 HCAPLUS
CN Urea, N-(3-hydroxypropyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

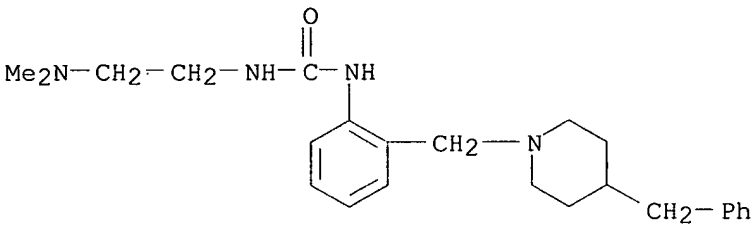


RN 275810-61-2 HCAPLUS
CN Urea, N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

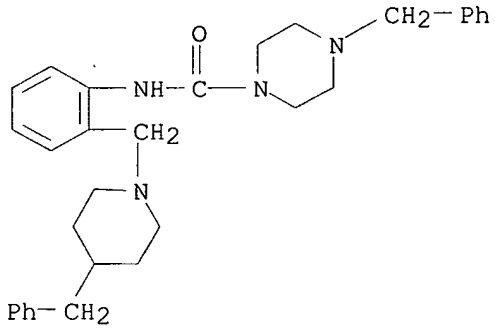


RN 275810-62-3 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[[4-(phenylmethyl)-1-

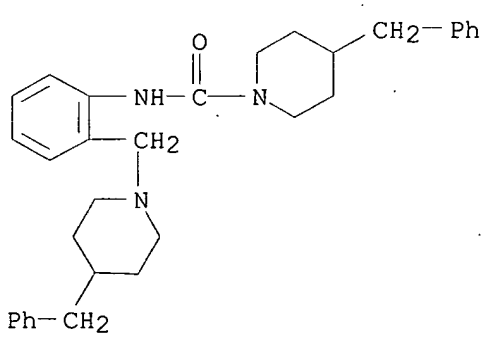
piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



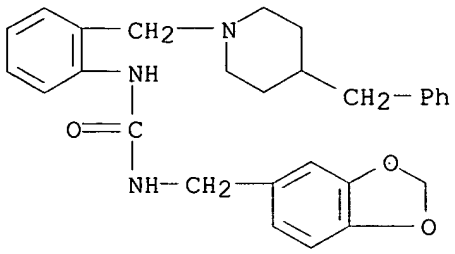
RN 275810-63-4 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



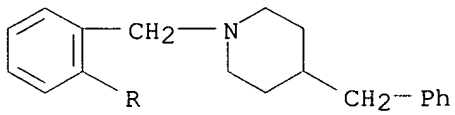
RN 275810-64-5 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

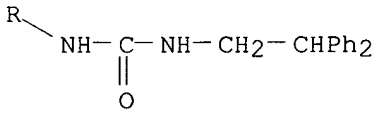


RN 275810-65-6 HCAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

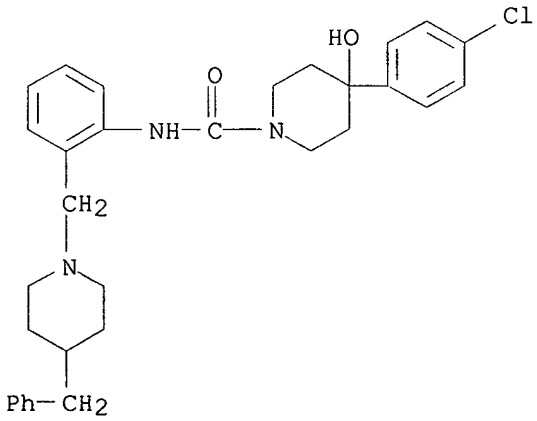


RN 275810-66-7 HCAPLUS
CN Urea, N-(2,2-diphenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

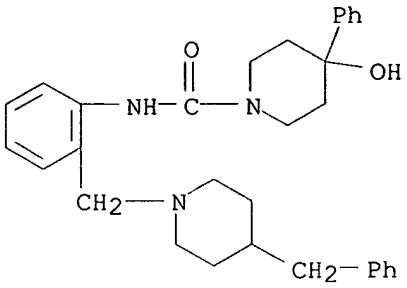




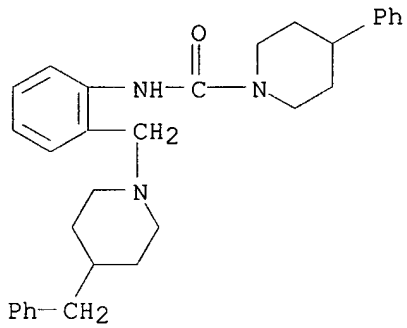
RN 275810-67-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



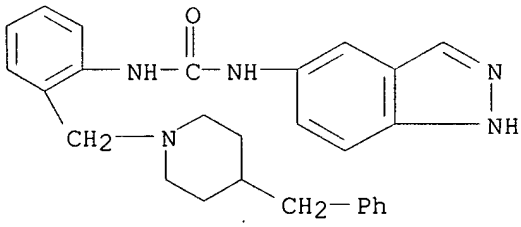
RN 275810-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



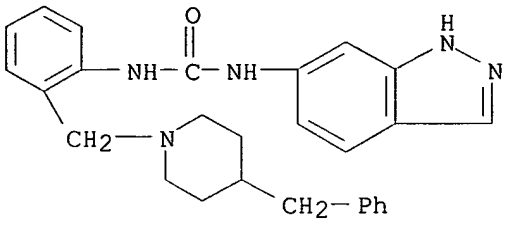
RN 275810-69-0 HCAPLUS
CN 1-Piperidinecarboxamide, 4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



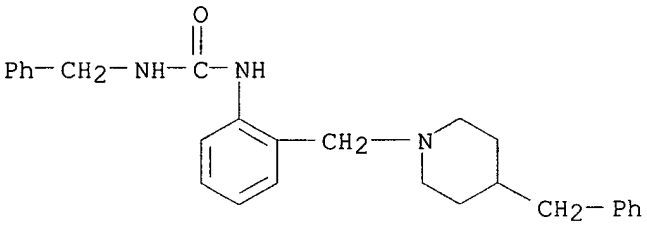
RN 275810-70-3 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



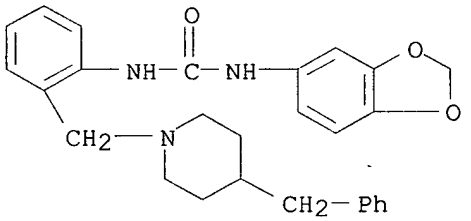
RN 275810-71-4 HCAPLUS
CN Urea, N-1H-indazol-6-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



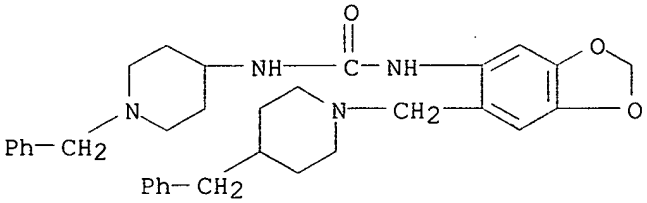
RN 275810-72-5 HCAPLUS
CN Urea, N-(phenylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



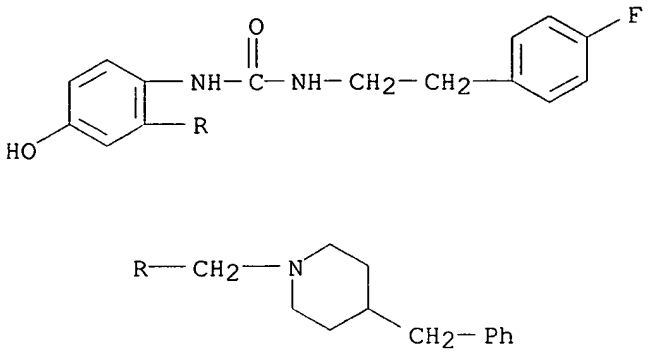
RN 275810-73-6 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



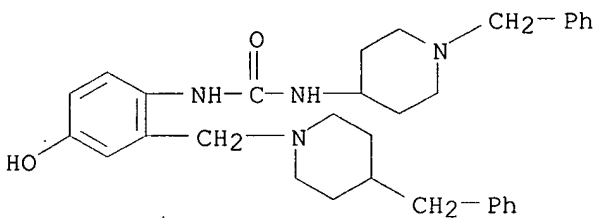
RN 275810-74-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[6-[[4-(phenylmethyl)-1-piperidinyl]methyl]-1,3-benzodioxol-5-yl]- (9CI) (CA INDEX NAME)



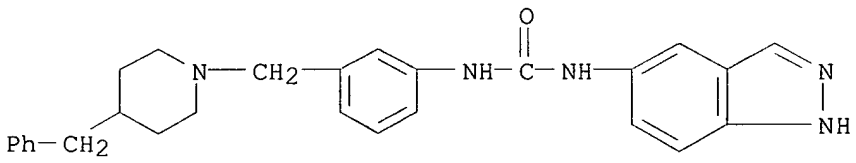
RN 275810-80-5 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



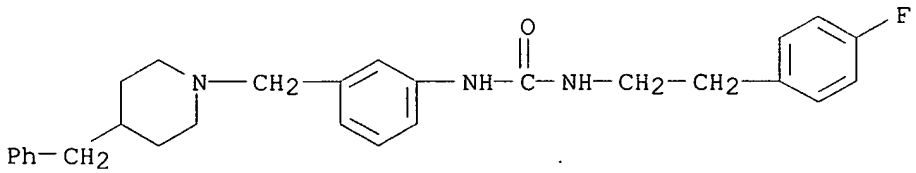
RN 275810-81-6 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



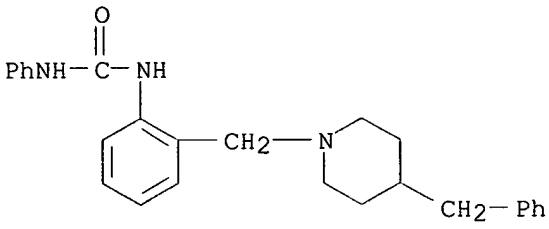
RN 275810-83-8 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



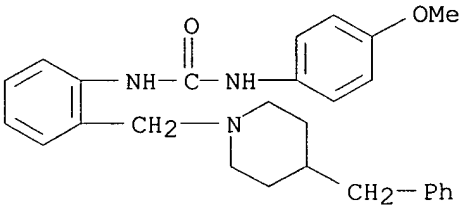
RN 275810-84-9 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



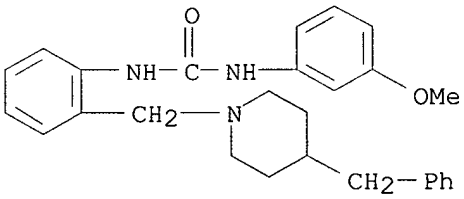
RN 275810-86-1 HCAPLUS
CN Urea, N-phenyl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



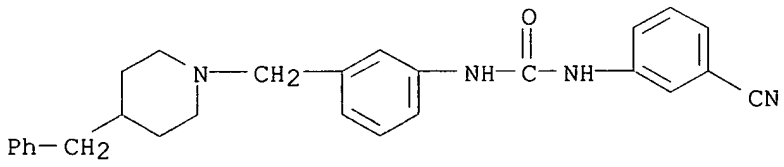
RN 275810-87-2 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



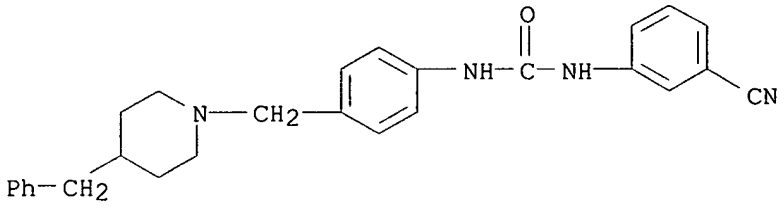
RN 275810-88-3 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



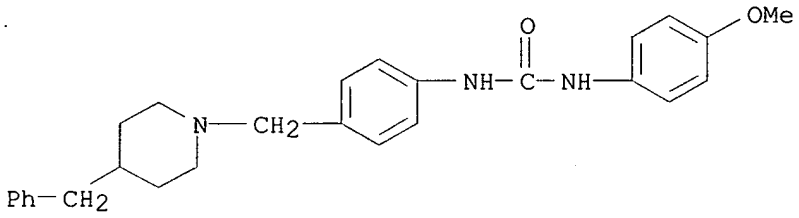
RN 275810-92-9 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



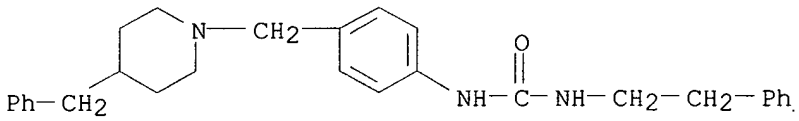
RN 275810-93-0 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



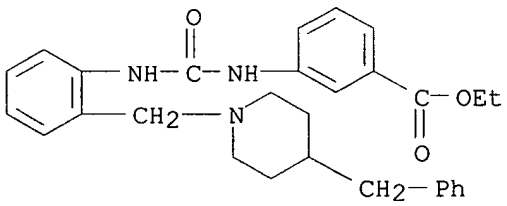
RN 275810-94-1 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



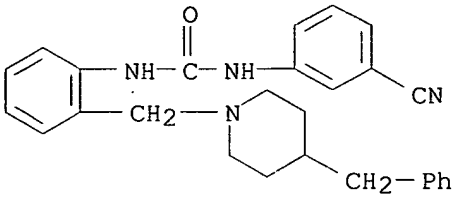
RN 275810-95-2 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



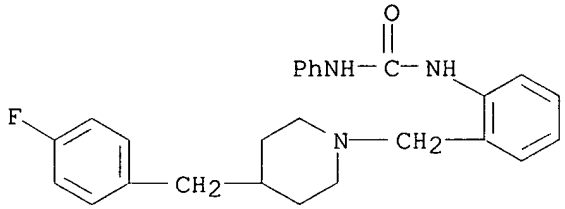
RN 275810-96-3 HCAPLUS
CN Benzoic acid, 3-[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



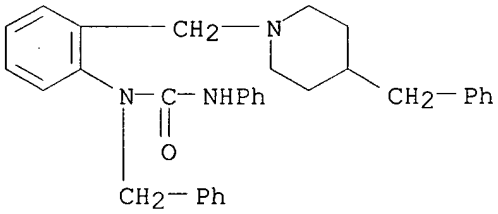
RN 275810-97-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



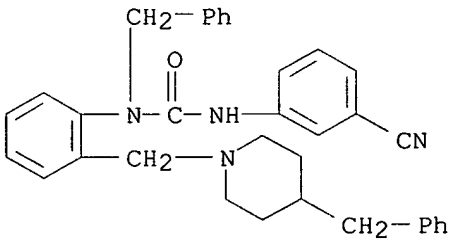
RN 275810-98-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



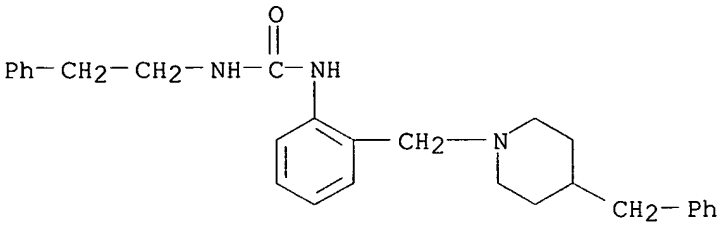
RN 275810-99-6 HCAPLUS
CN Urea, N'-phenyl-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



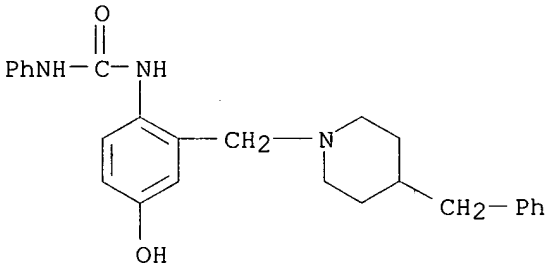
RN 275811-00-2 HCAPLUS
CN Urea, N'-(3-cyanophenyl)-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



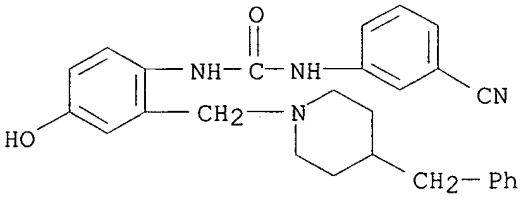
RN 275811-01-3 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



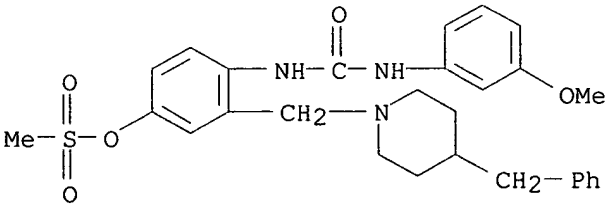
RN 275811-05-7 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 275811-06-8 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



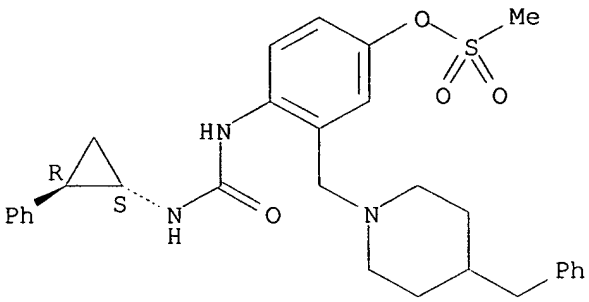
RN 275811-07-9 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



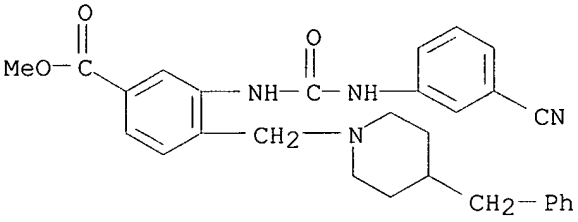
RN 275811-08-0 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI)

(CA INDEX NAME)

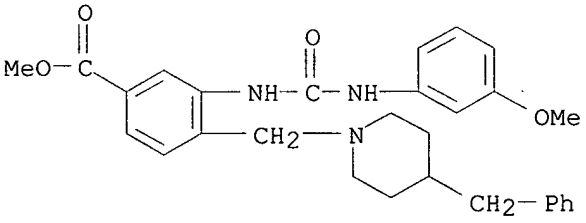
Relative stereochemistry.



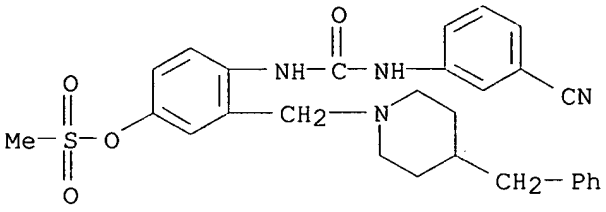
RN 275811-09-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



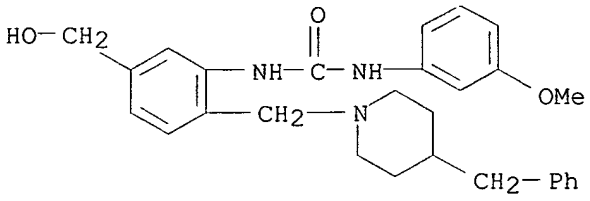
RN 275811-10-4 HCAPLUS
CN Benzoic acid, 3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



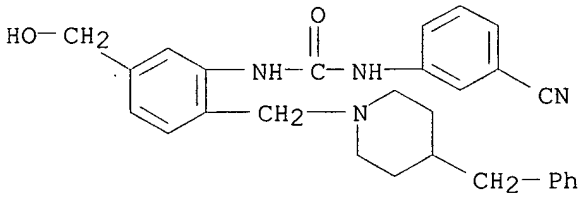
RN 275811-11-5 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



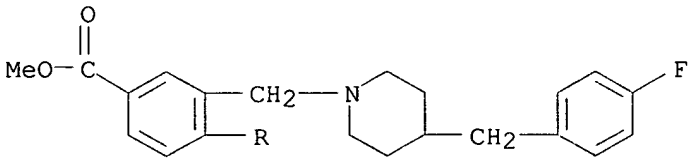
RN 275811-12-6 HCAPLUS
CN Urea, N-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

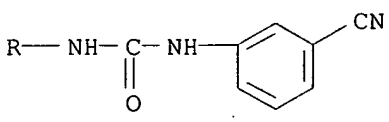


RN 275811-13-7 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

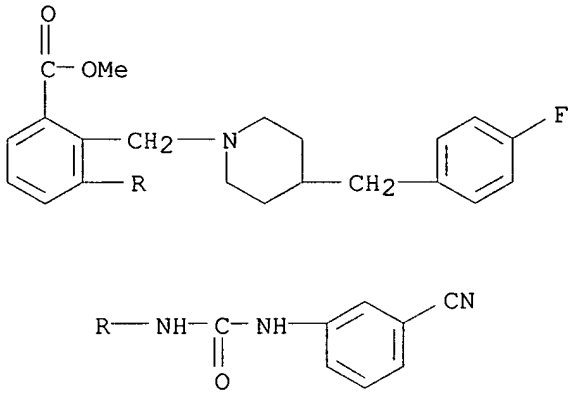


RN 275811-14-8 HCAPLUS
CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

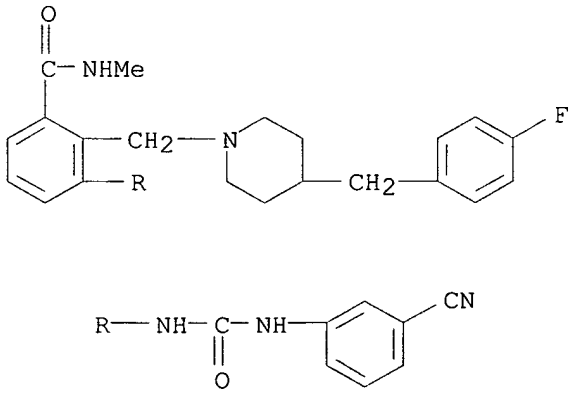




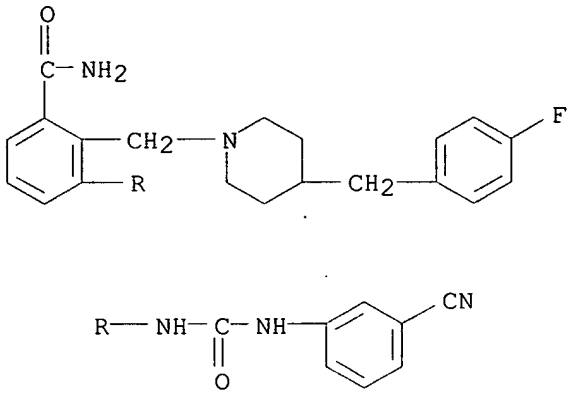
RN 275811-15-9 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



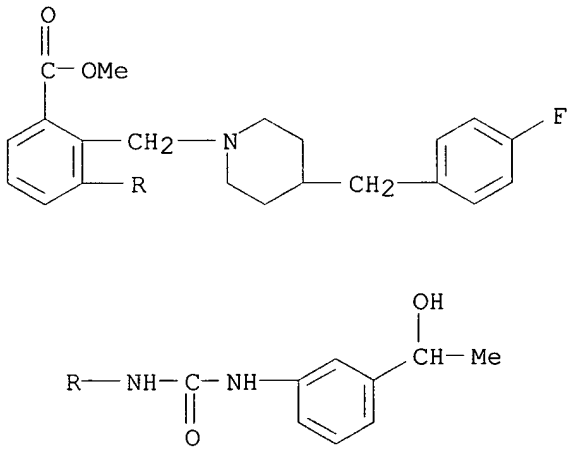
RN 275811-16-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)



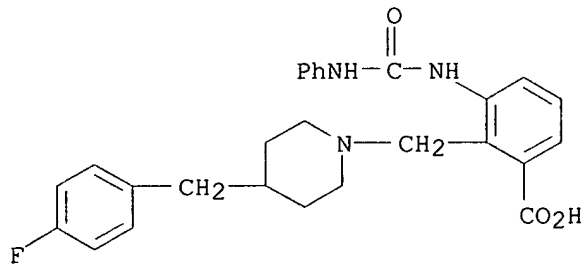
RN 275811-17-1 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 275811-18-2 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[[3-(1-hydroxyethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

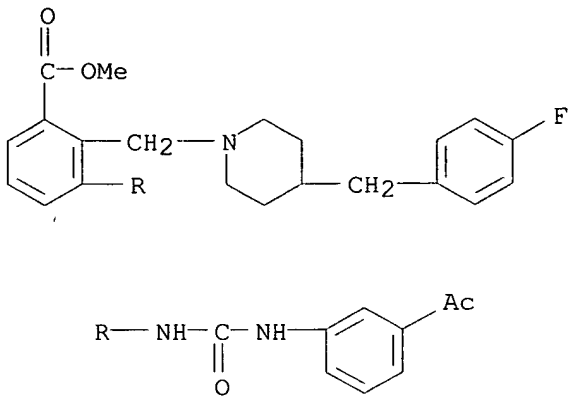


RN 275811-20-6 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



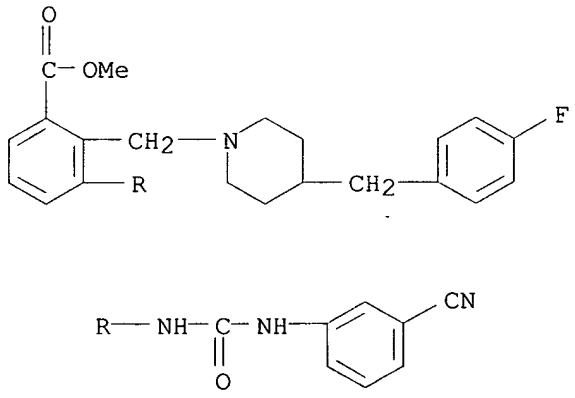
RN 275811-24-0 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-

fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



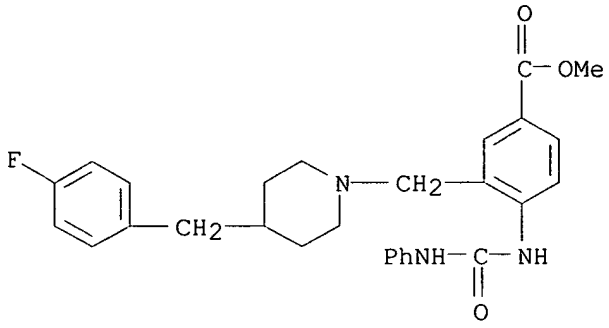
● HCl

RN 275811-25-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

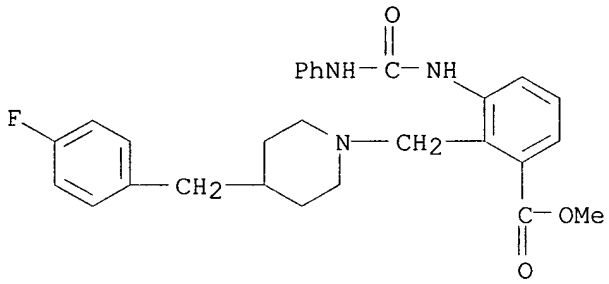


● HCl

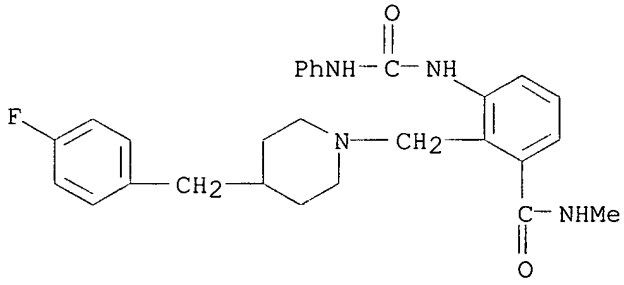
RN 275811-26-2 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



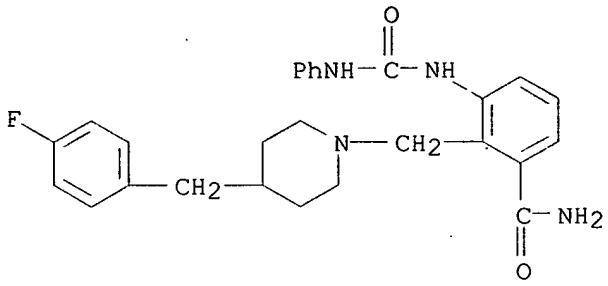
RN 275811-27-3 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



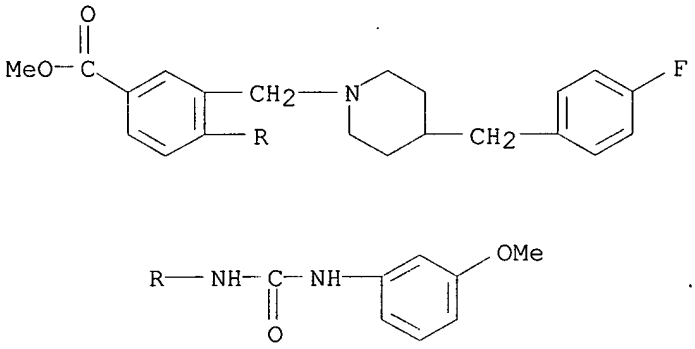
RN 275811-28-4 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



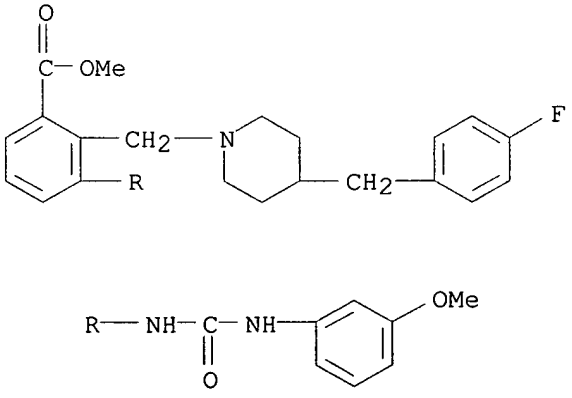
RN 275811-29-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



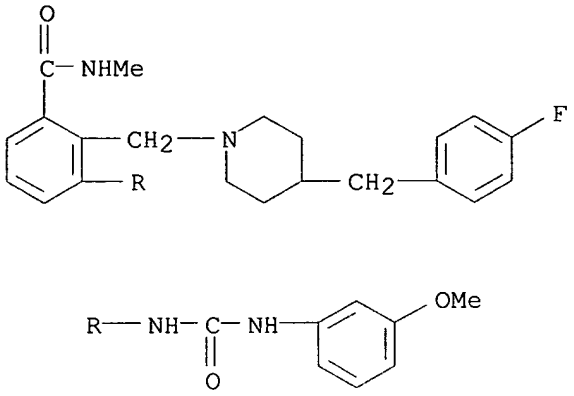
RN 275811-30-8 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



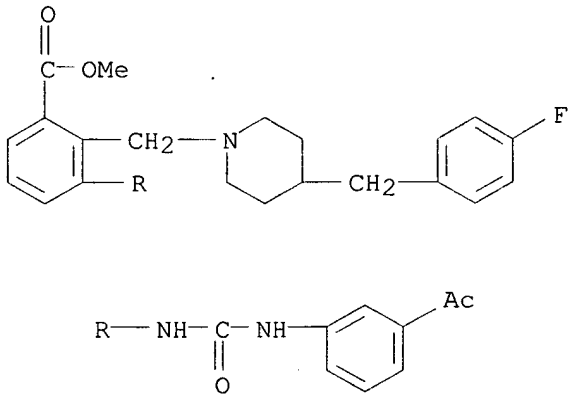
RN 275811-31-9 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



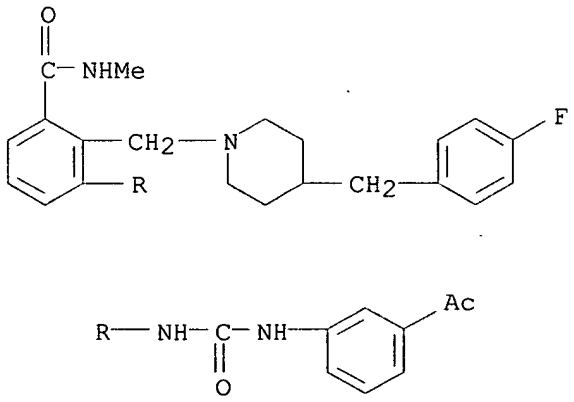
RN 275811-32-0 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



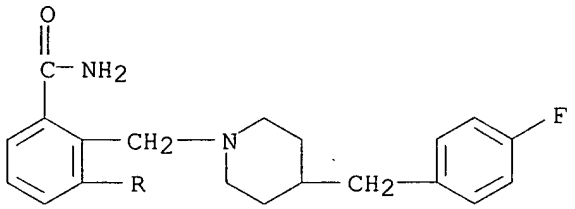
RN 275811-33-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

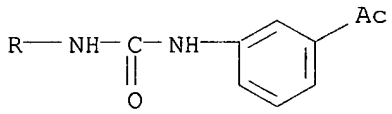


RN 275811-34-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

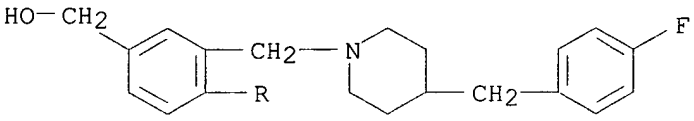


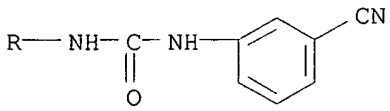
RN 275811-35-3 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



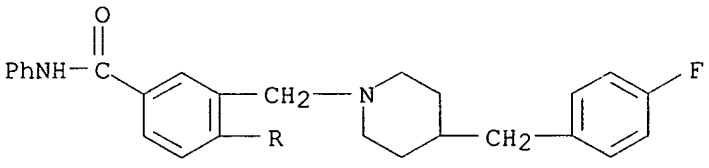


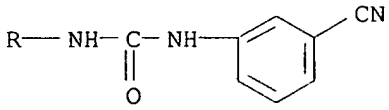
RN 275811-36-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



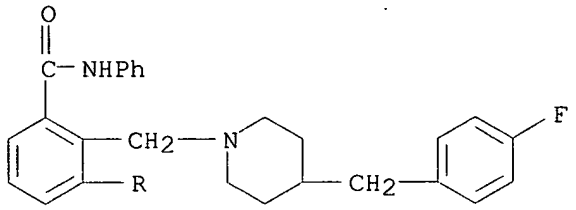


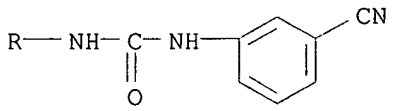
RN 275811-39-7 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



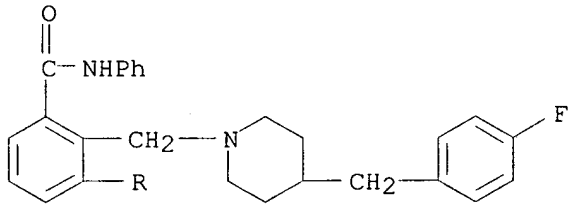


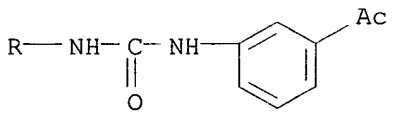
RN 275811-40-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



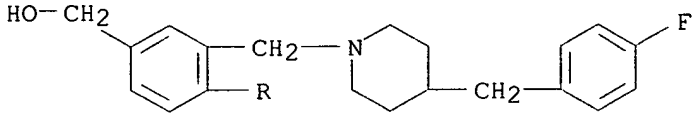


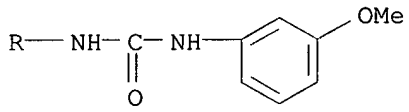
RN 275811-41-1 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



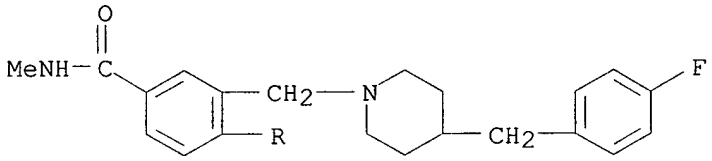


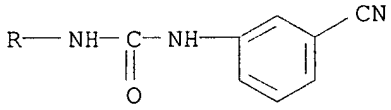
RN 275811-42-2 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



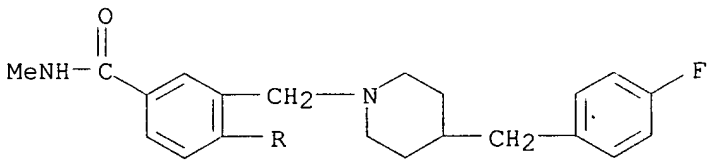


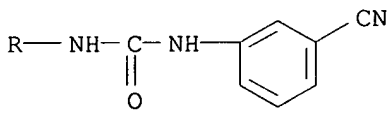
RN 275811-43-3 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)





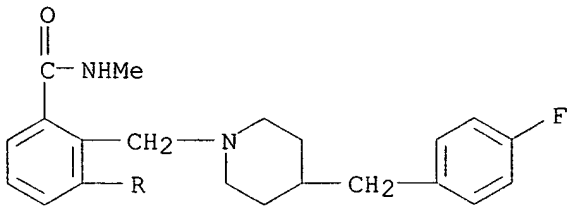
RN 275811-44-4 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

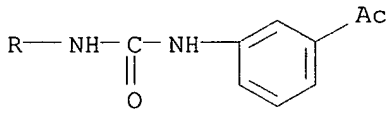




HCl

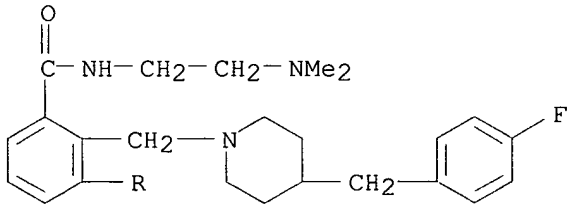
RN 275811-45-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

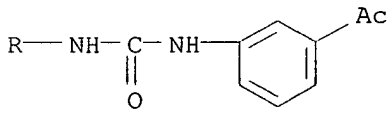




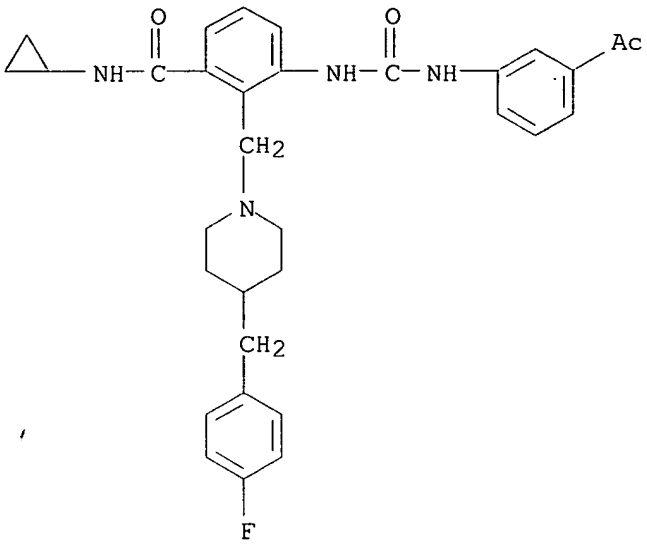
● HCl

RN 275811-46-6 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

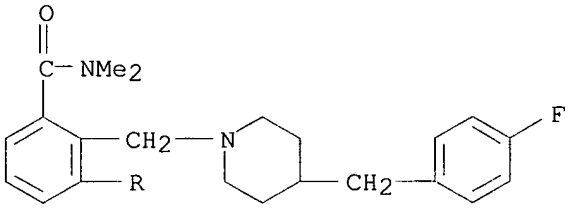


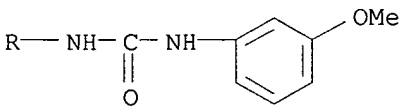


RN 275811-47-7 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

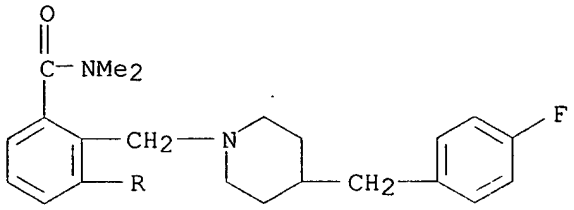


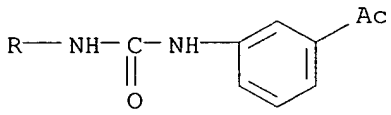
RN 275811-48-8 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



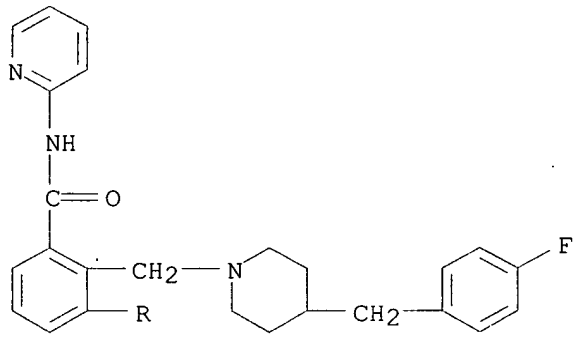


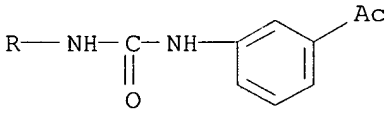
RN 275811-49-9 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



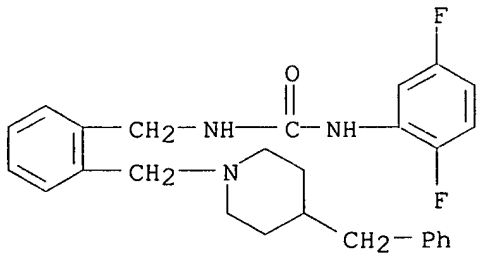


RN 275811-50-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

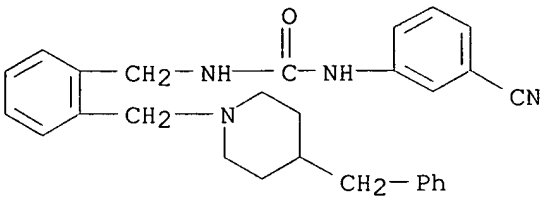




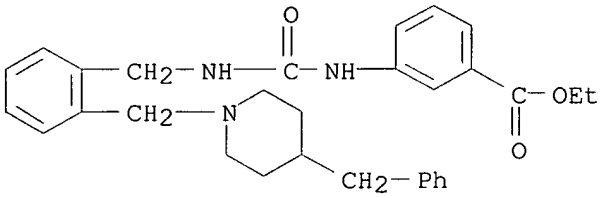
RN 275811-51-3 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



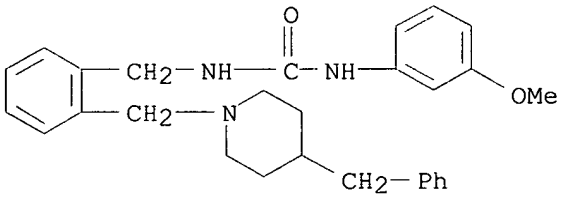
RN 275811-52-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



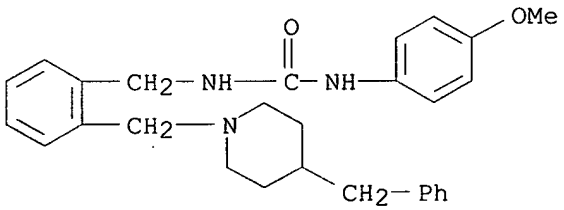
RN 275811-53-5 HCAPLUS
CN Benzoic acid, 3-[[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



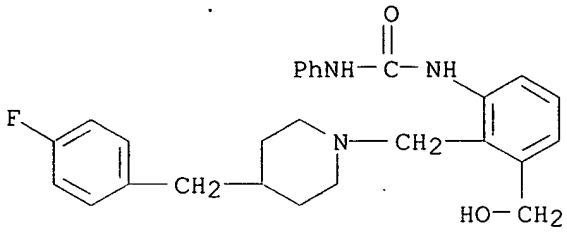
RN 275811-54-6 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



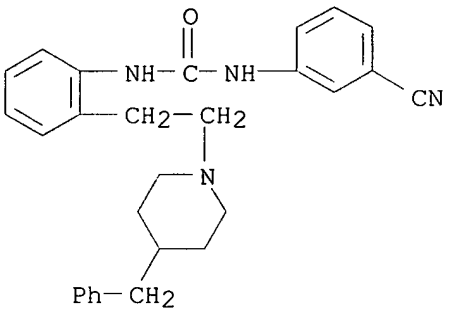
RN 275811-55-7 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



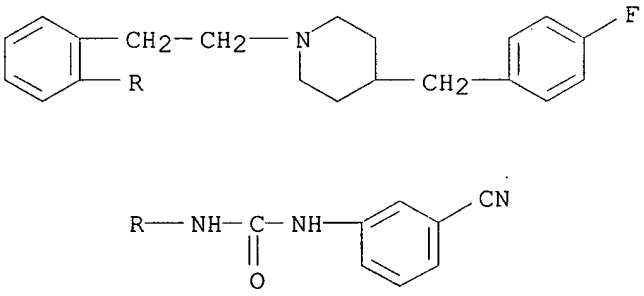
RN 275811-61-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-(hydroxymethyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



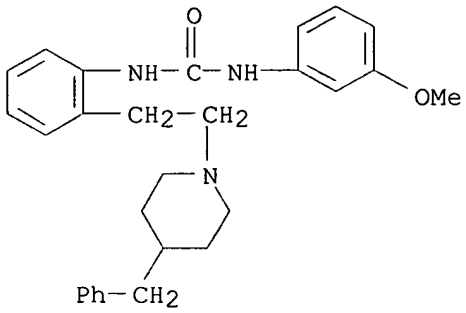
RN 275811-69-3 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



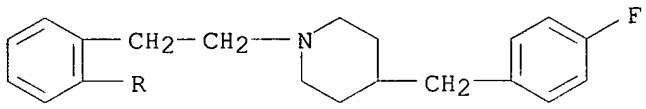
RN 275811-70-6 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

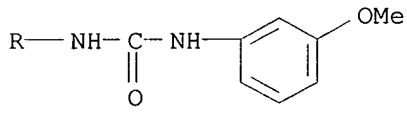


RN 275811-71-7 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

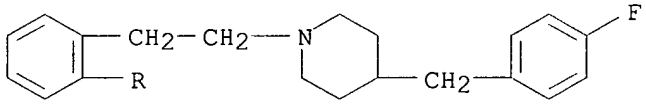


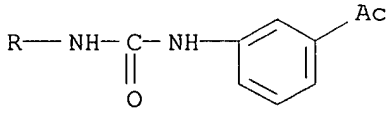
RN 275811-72-8 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



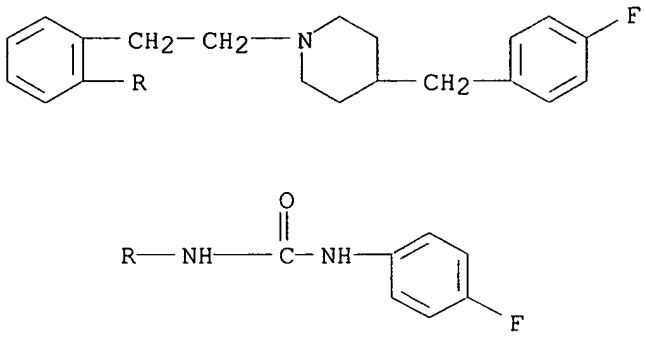


RN 275811-74-0 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

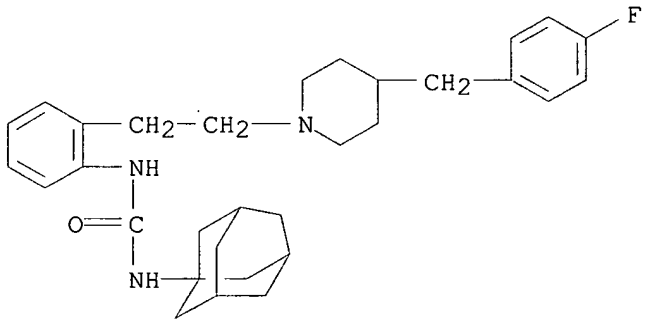




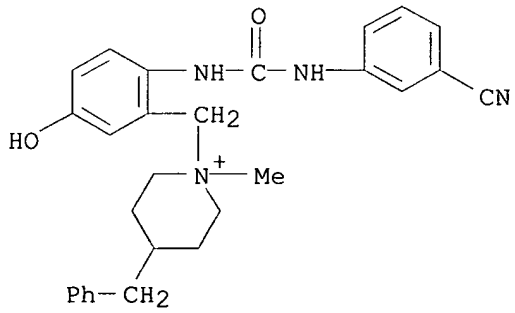
RN 275811-75-1 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275811-76-2 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



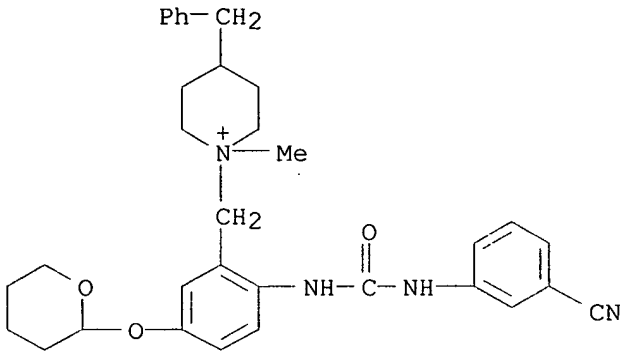
RN 275811-78-4 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-hydroxyphenyl]methyl]-1-methyl-4-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

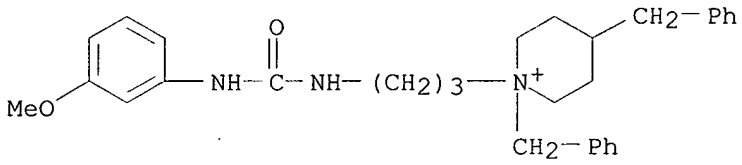
RN 275811-79-5 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-[(tetrahydro-

2H-pyran-2-yl)oxy]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



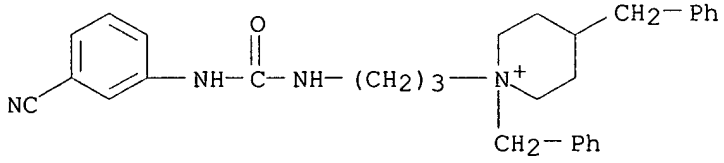
● I^-

RN 276243-13-1 HCAPLUS
CN Piperidinium, 1-[3-[[[(3-methoxyphenyl)amino]carbonyl]amino]propyl]-1,4-bis(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



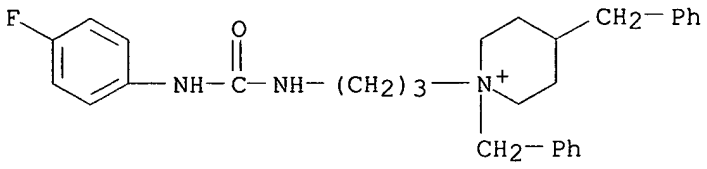
● Br^-

RN 276243-14-2 HCAPLUS
CN Piperidinium, 1-[3-[[[(3-cyanophenyl)amino]carbonyl]amino]propyl]-1,4-bis(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

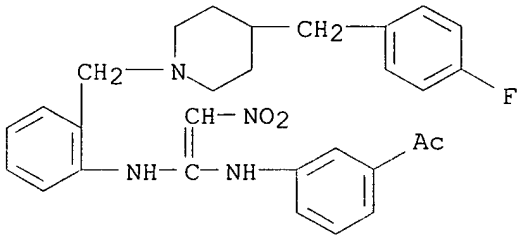


● Br^-

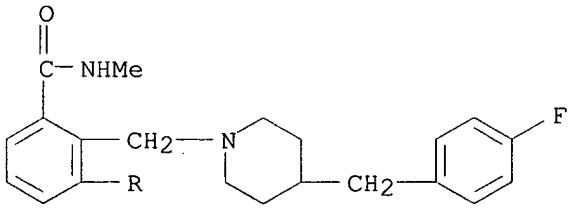
RN 276243-18-6 HCAPLUS
CN Piperidinium, 1-[3-[[[(4-fluorophenyl)amino]carbonyl]amino]propyl]-1,4-bis(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

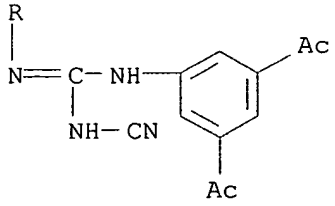


RN 276243-81-3 HCAPLUS
CN Ethanone, 1-[3-[[1-[[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]amino]-2-nitroethenyl]amino]phenyl]- (9CI) (CA INDEX NAME)



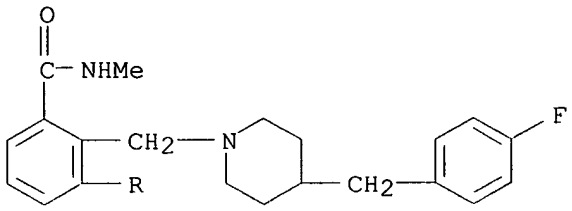
RN 276243-82-4 HCAPLUS
CN Benzamide, 3-[[(cyanoamino)[(3,5-diacetylphenyl)amino]methylene]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

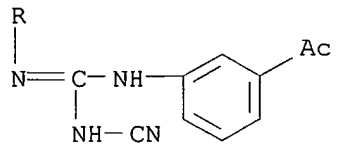




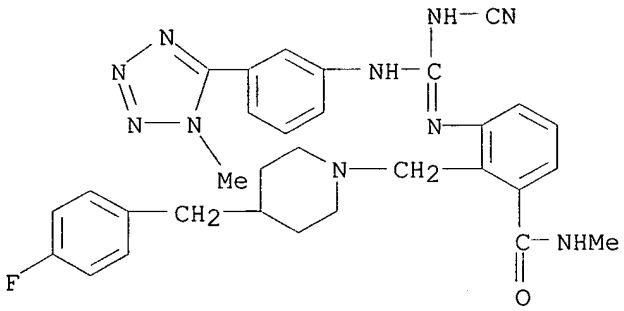
RN 276243-83-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino](cyanoamino)methylene]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX

NAME)





RN 276243-84-6 HCAPLUS
CN Benzamide, 3-[[(cyanoamino)[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]methylene]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

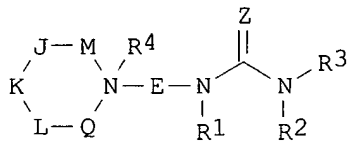


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 14 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420959 HCAPLUS
DOCUMENT NUMBER: 133:43441
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000035449 A1 20000622 WO 1999-US30292 19991217 <--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
EP 1156807 A1 20011128 EP 1999-968144 19991217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
US 6331541 B1 20011218 US 1999-465288 19991217 <--
US 6444686 B1 20020903 US 1999-466442 19991217 <--
PRIORITY APPLN. INFO.: US 1998-112717P P 19981218 <--
US 1999-161221P P 19991022
US 1999-161222P P 19991022
WO 1999-US30292 W 19991217
OTHER SOURCE(S): MARPAT 133:43441
GI



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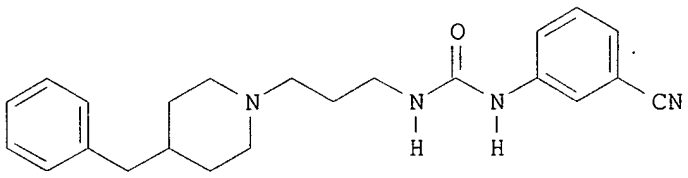

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT **275810-47-4P 275810-48-5P 275810-49-6P**
275810-58-7P 275810-59-8P 275810-60-1P
275810-61-2P 275810-62-3P 275810-63-4P
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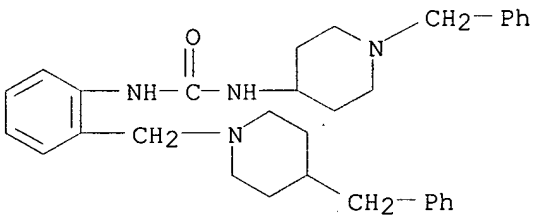
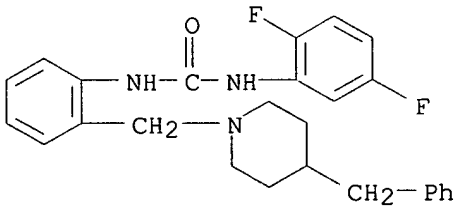
275811-74-0P 275811-75-1P 275811-76-2P
275811-78-4P 275811-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of **chemokine** receptor activity)

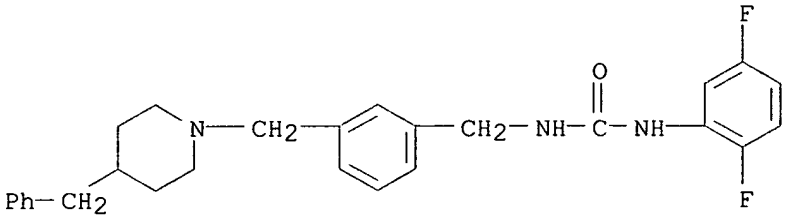
RN 275810-47-4 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



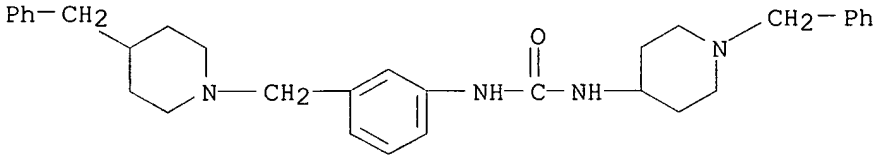
RN 275810-48-5 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



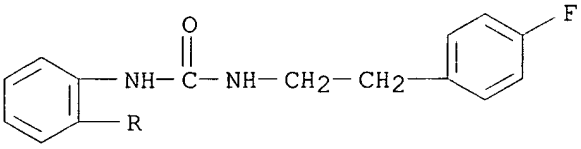
RN 275810-49-6 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

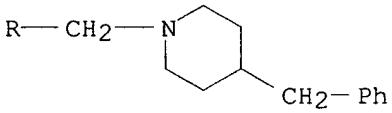


RN 275810-58-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

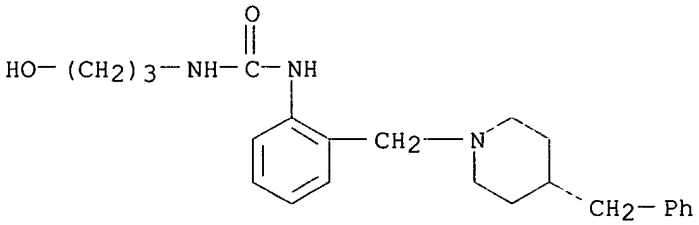


RN 275810-59-8 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



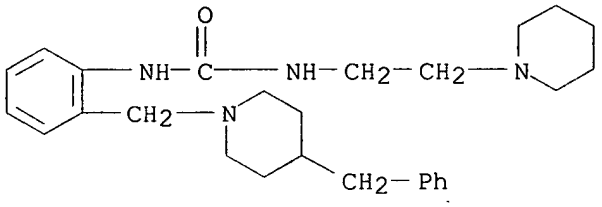


RN 275810-60-1 HCAPLUS
CN Urea, N-(3-hydroxypropyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

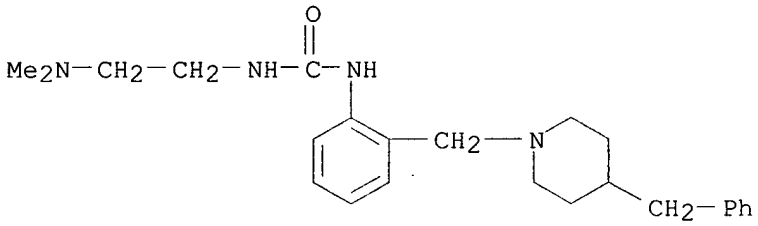


RN 275810-61-2 HCAPLUS

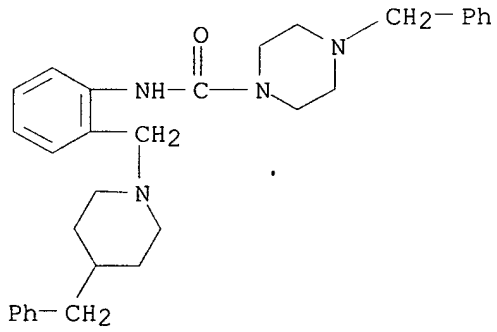
CN Urea, N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



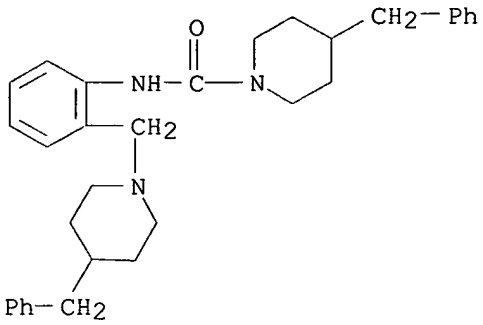
RN 275810-62-3 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



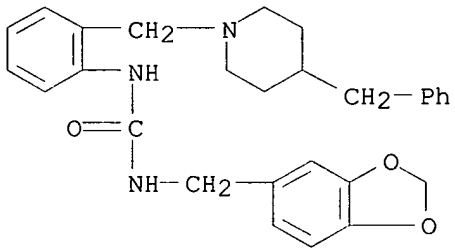
RN 275810-63-4 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



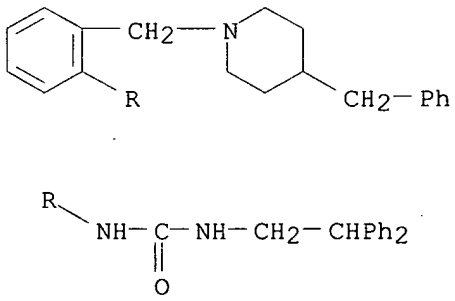
RN 275810-64-5 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



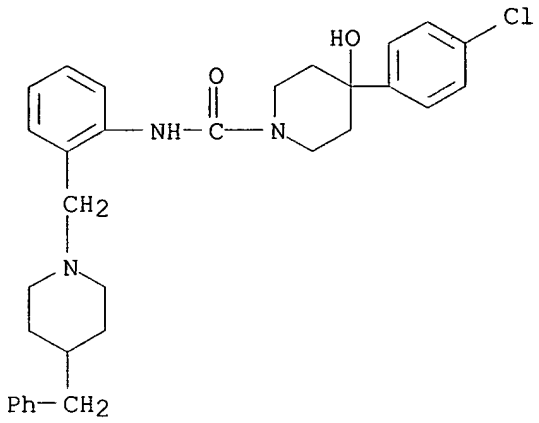
RN 275810-65-6 HCAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



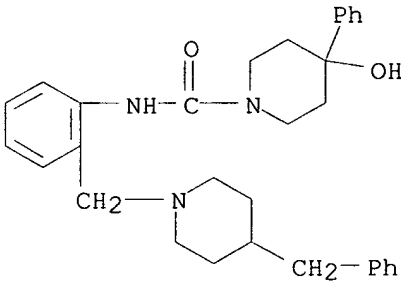
RN 275810-66-7 HCAPLUS
CN Urea, N-(2,2-diphenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-67-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



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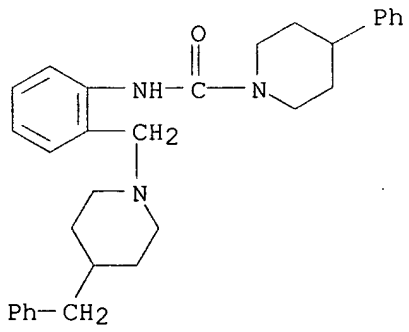
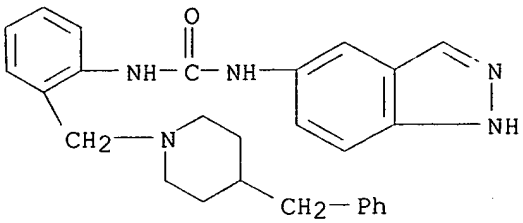
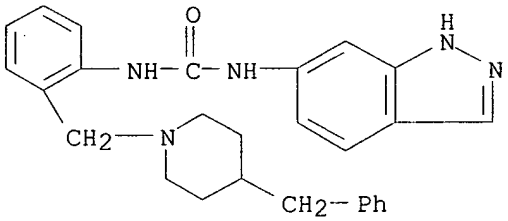
CN 1-Piperidinecarboxamide, 4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-70-3 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-71-4 HCAPLUS
CN Urea, N-1H-indazol-6-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-72-5 HCAPLUS
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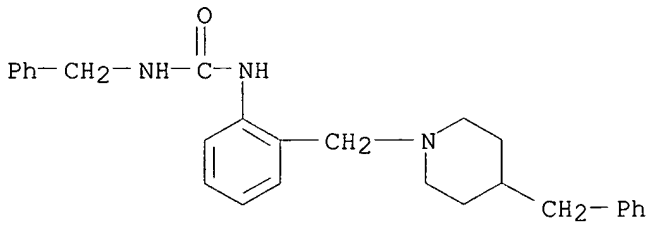
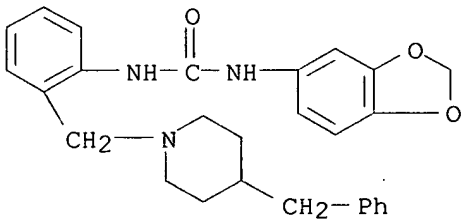
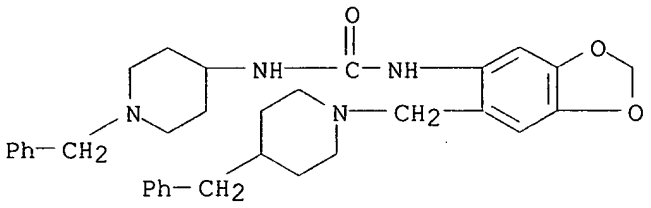


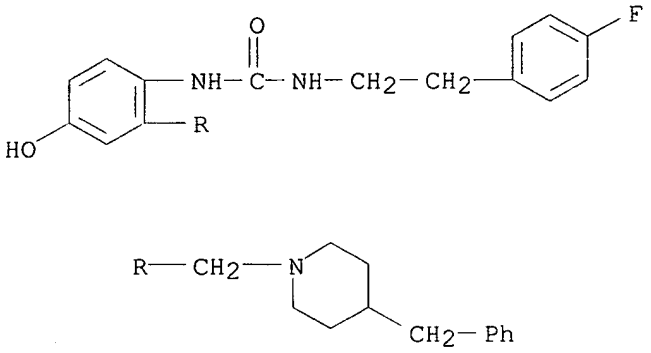
RN 275810-73-6 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



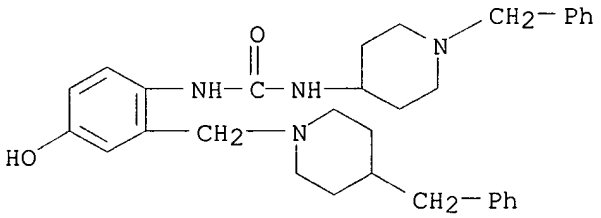
RN 275810-74-7 HCAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[6-[[4-(phenylmethyl)-1-piperidinyl]methyl]-1,3-benzodioxol-5-yl]- (9CI) (CA INDEX NAME)



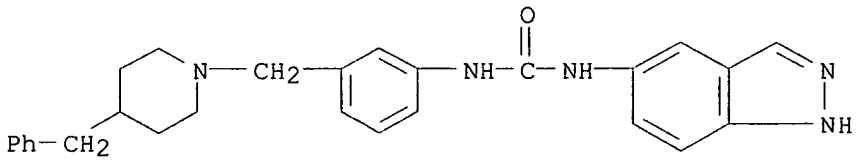
RN 275810-80-5 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-81-6 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

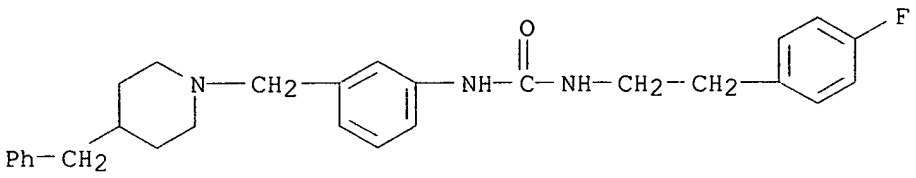


RN 275810-83-8 HCAPLUS
CN Urea, N-1H-indazol-5-yl-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

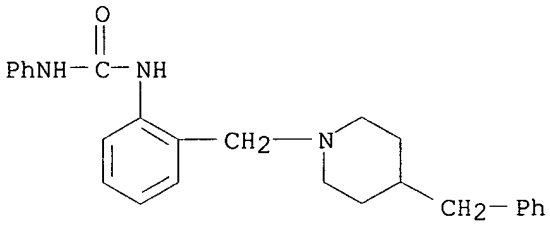


RN 275810-84-9 HCAPLUS
CN Urea, N-[2-(4-fluorophenyl)ethyl]-N'-[3-[[4-(phenylmethyl)-1-

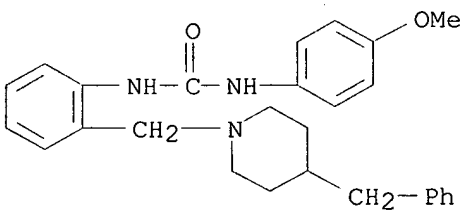
piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



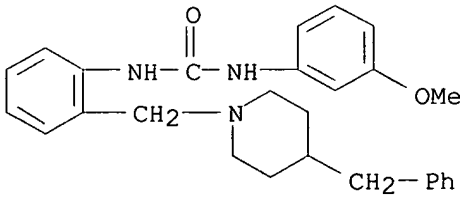
RN 275810-86-1 HCAPLUS
CN Urea, N-phenyl-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



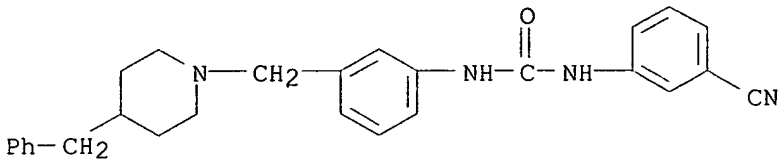
RN 275810-87-2 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



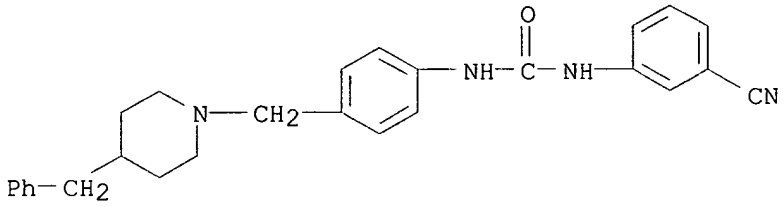
RN 275810-88-3 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



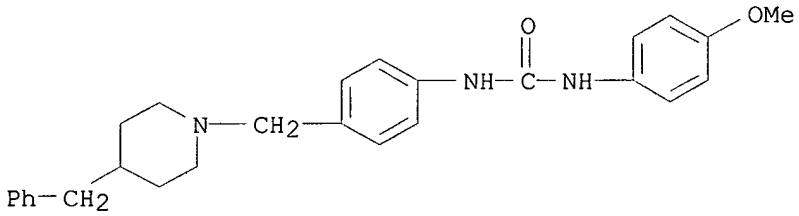
RN 275810-92-9 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



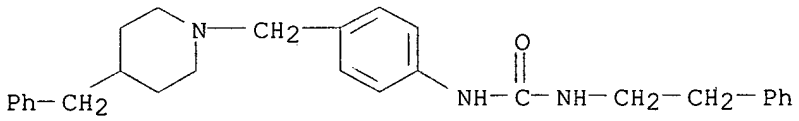
RN 275810-93-0 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



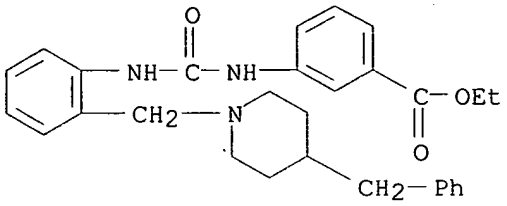
RN 275810-94-1 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



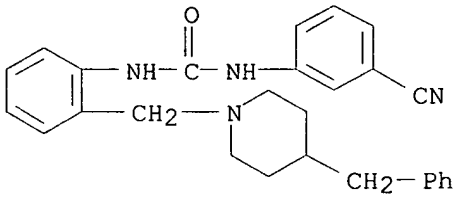
RN 275810-95-2 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



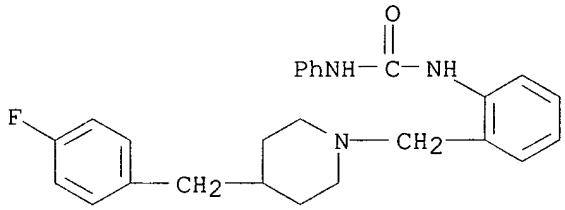
RN 275810-96-3 HCAPLUS
CN Benzoic acid, 3-[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



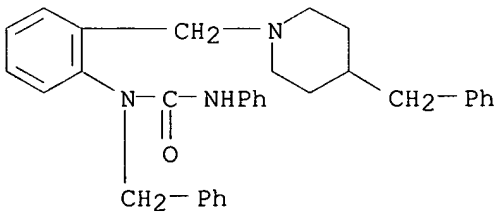
RN 275810-97-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



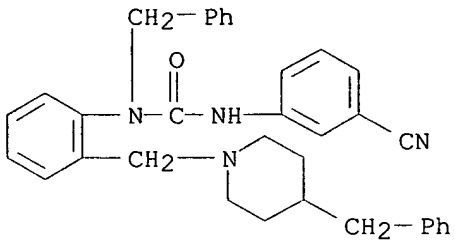
RN 275810-98-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



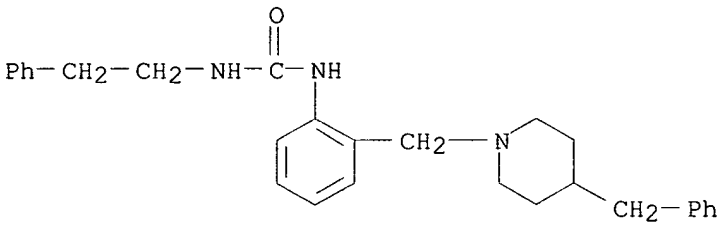
RN 275810-99-6 HCAPLUS
CN Urea, N'-phenyl-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



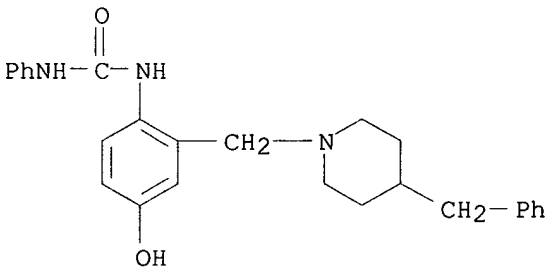
RN 275811-00-2 HCAPLUS
CN Urea, N'-(3-cyanophenyl)-N-(phenylmethyl)-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



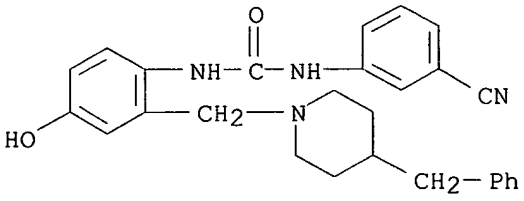
RN 275811-01-3 HCAPLUS
CN Urea, N-(2-phenylethyl)-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275811-05-7 HCAPLUS
CN Urea, N-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)

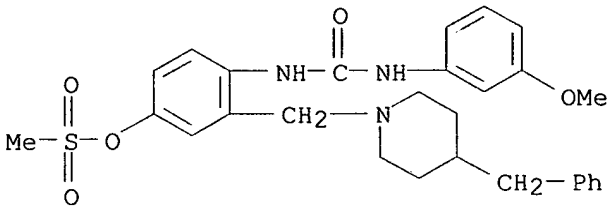


RN 275811-06-8 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-hydroxy-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



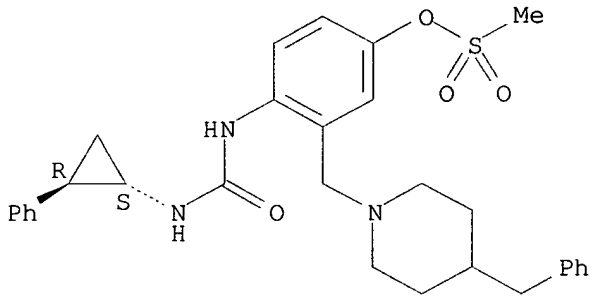
RN 275811-07-9 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-

1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

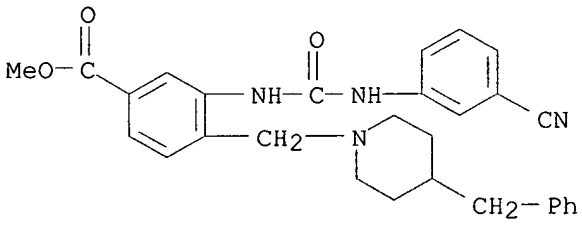


RN 275811-08-0 HCAPLUS
CN Urea, N-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

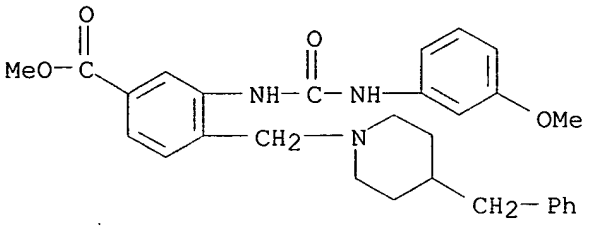
Relative stereochemistry.



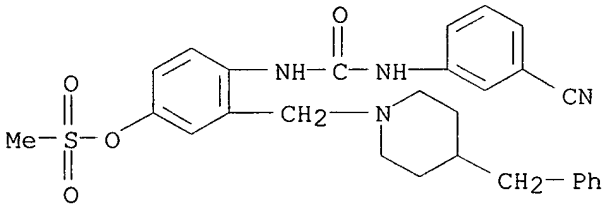
RN 275811-09-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



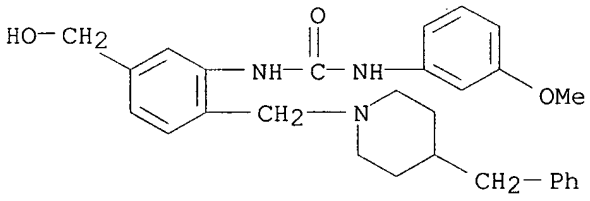
RN 275811-10-4 HCAPLUS
CN Benzoic acid, 3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-[[4-(phenylmethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



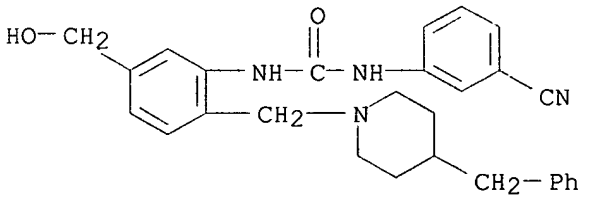
RN 275811-11-5 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[4-[(methylsulfonyl)oxy]-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



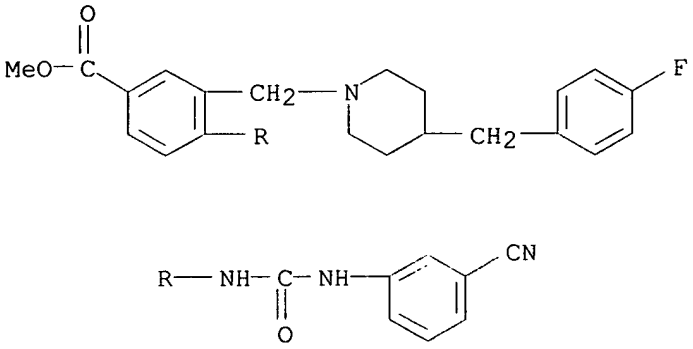
RN 275811-12-6 HCAPLUS
CN Urea, N-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



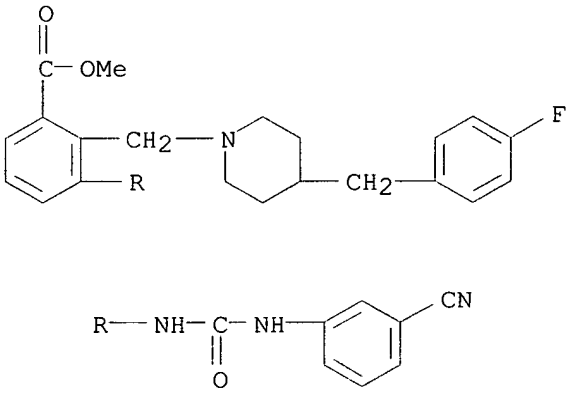
RN 275811-13-7 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[5-(hydroxymethyl)-2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



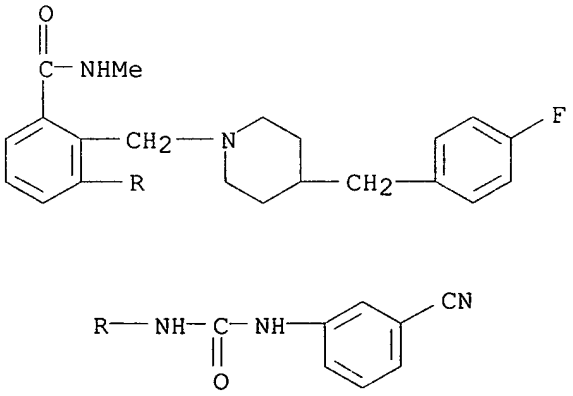
RN 275811-14-8 HCAPLUS
CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 275811-15-9 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

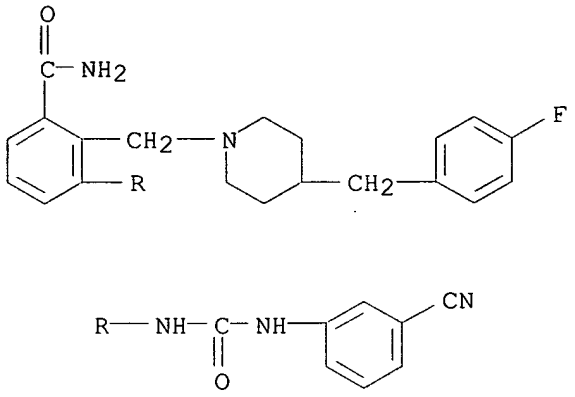


RN 275811-16-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)



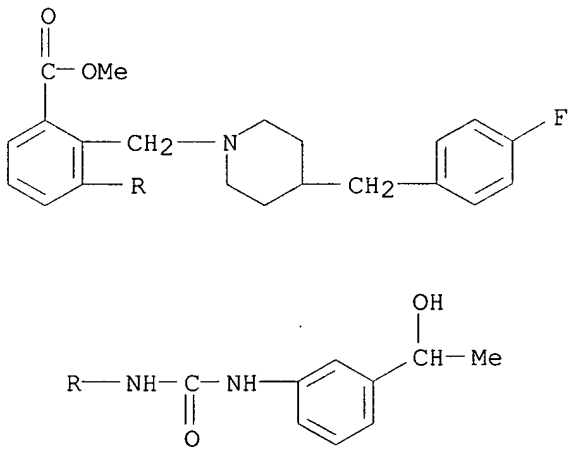
RN 275811-17-1 HCAPLUS

CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



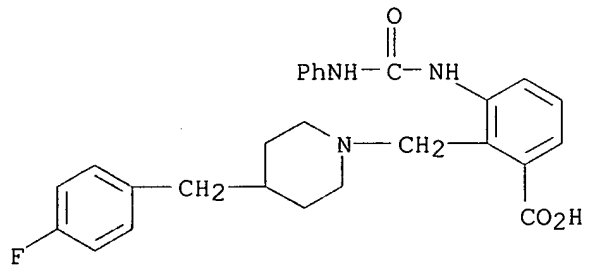
RN 275811-18-2 HCAPLUS

CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[[3-(1-hydroxyethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

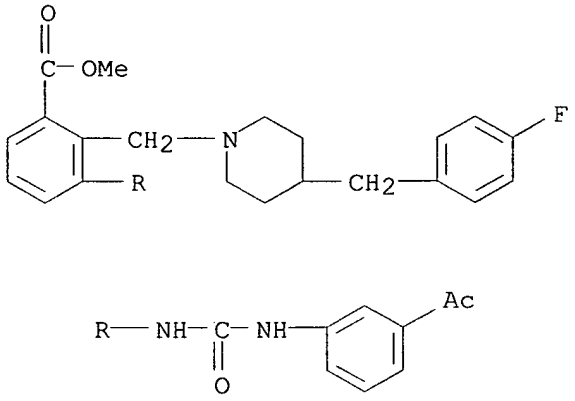


RN 275811-20-6 HCAPLUS

CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

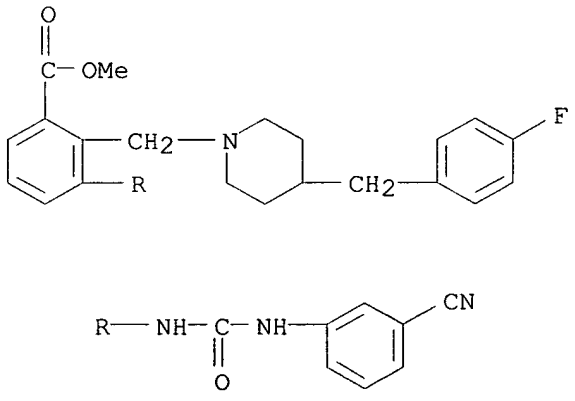


RN 275811-24-0 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



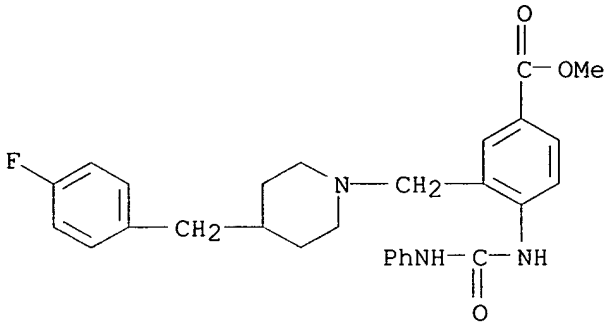
● HCl

RN 275811-25-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

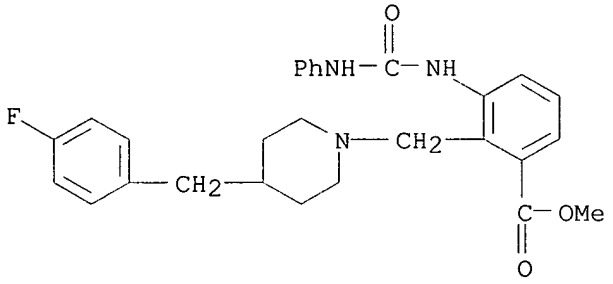


● HCl

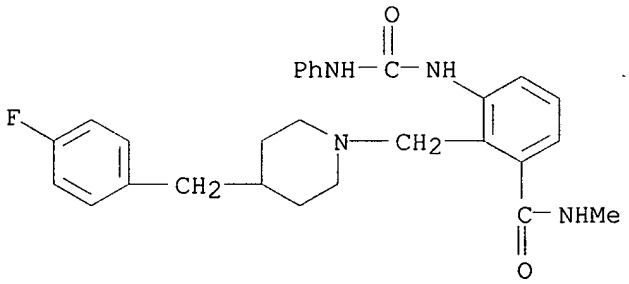
RN 275811-26-2 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



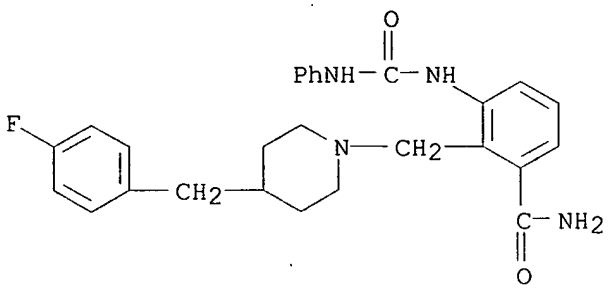
RN 275811-27-3 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



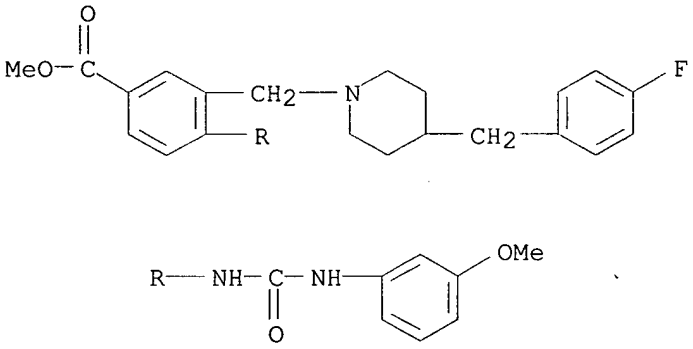
RN 275811-28-4 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



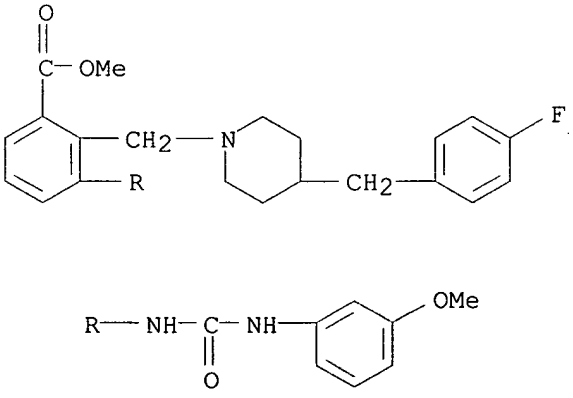
RN 275811-29-5 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



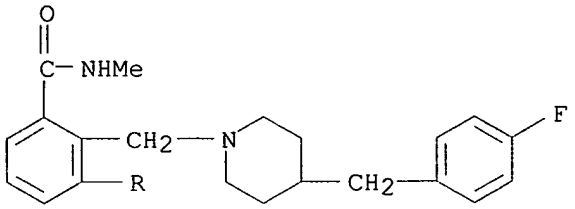
RN 275811-30-8 HCAPLUS
CN Benzoic acid, 3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

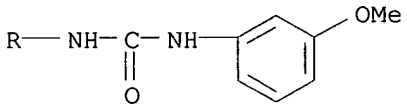


RN 275811-31-9 HCAPLUS
CN Benzoic acid, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

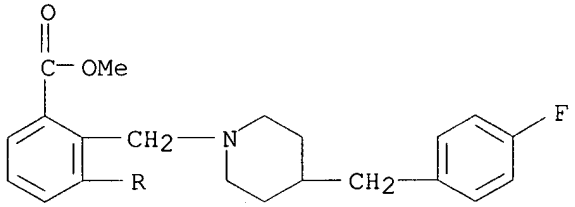


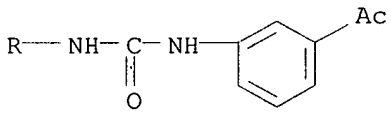
RN 275811-32-0 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



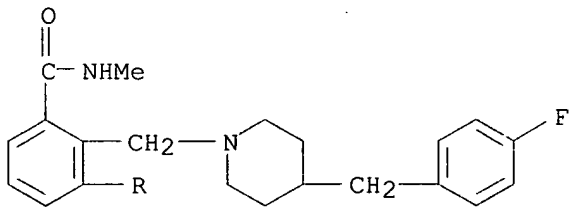


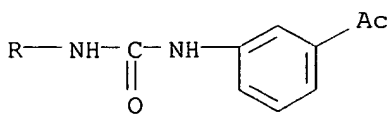
RN 275811-33-1 HCAPLUS
CN Benzoic acid, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



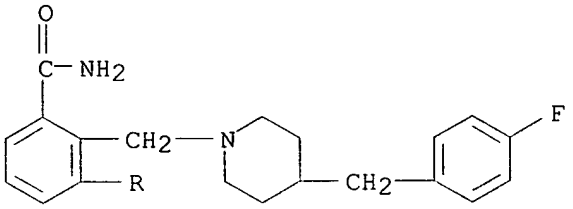


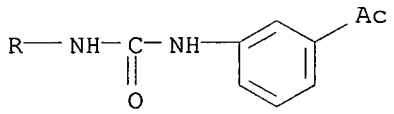
RN 275811-34-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)



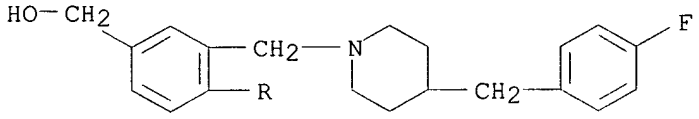


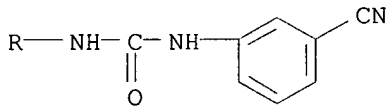
RN 275811-35-3 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



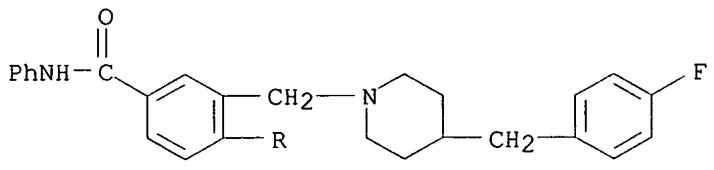


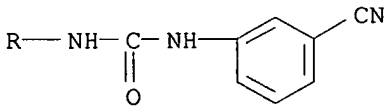
RN 275811-36-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



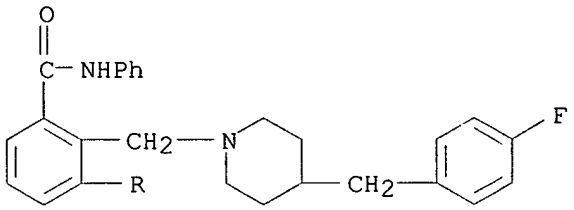


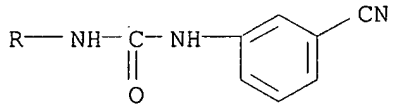
RN 275811-39-7 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



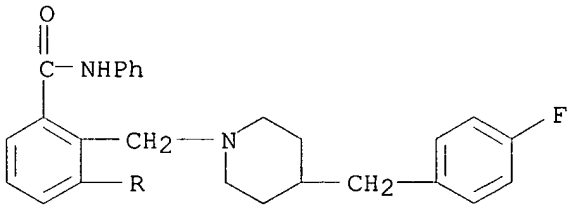


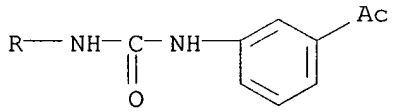
RN 275811-40-0 HCAPLUS
CN Benzamide, 3-[[[(3-cyanophenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



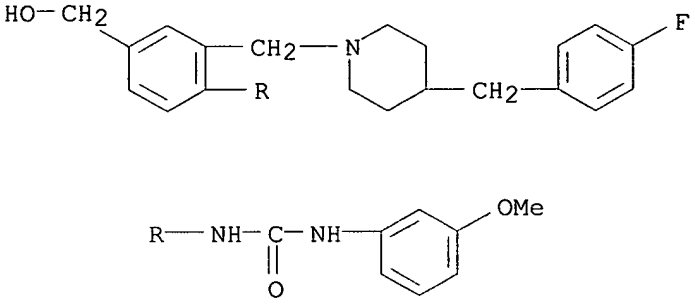


RN 275811-41-1 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-phenyl- (9CI) (CA INDEX NAME)

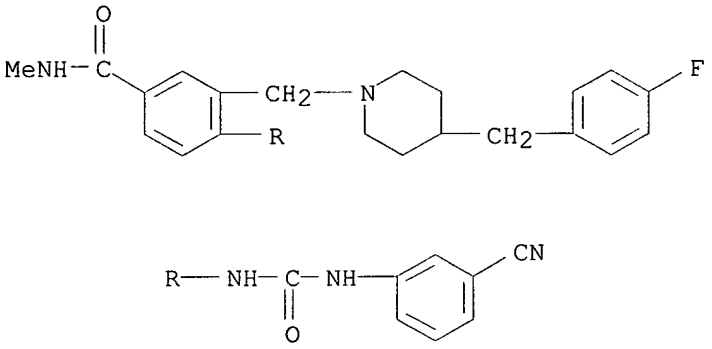




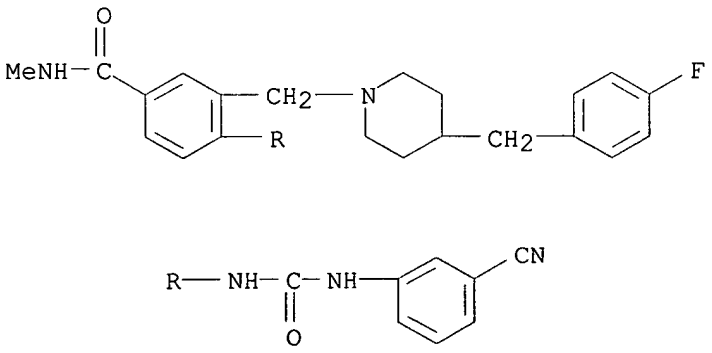
RN 275811-42-2 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-4-(hydroxymethyl)phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 275811-43-3 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

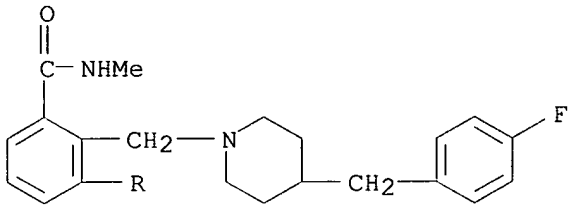


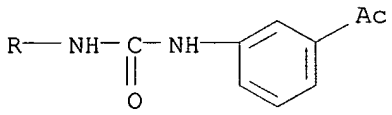
RN 275811-44-4 HCAPLUS
CN Benzamide, 4-[[[(3-cyanophenyl)amino]carbonyl]amino]-3-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

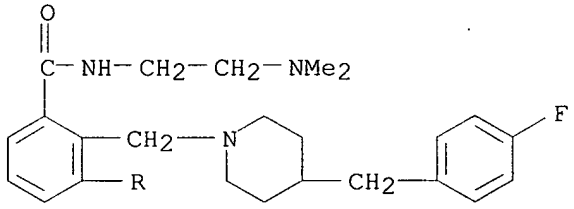
RN 275811-45-5 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

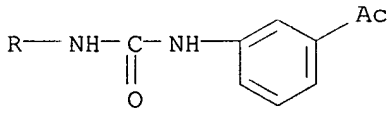




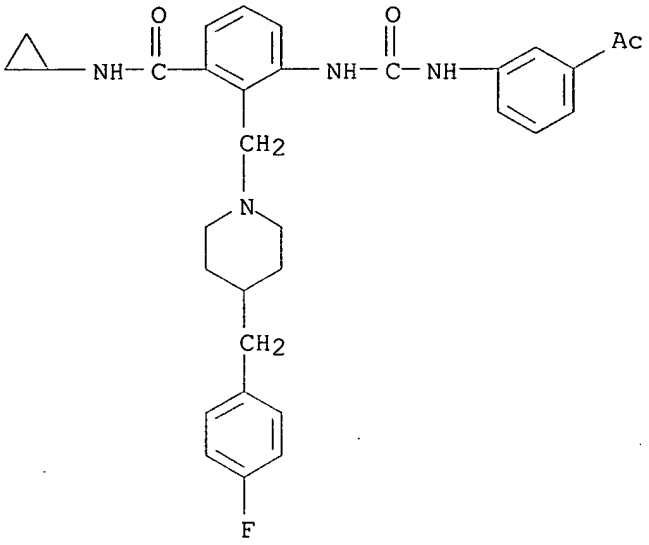
● HCl

RN 275811-46-6 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-[2-(dimethylamino)ethyl]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

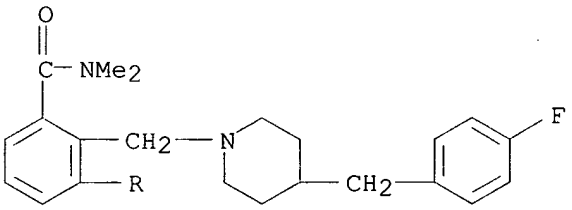


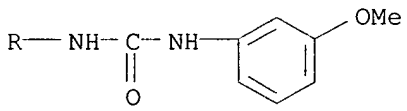


RN 275811-47-7 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-cyclopropyl-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

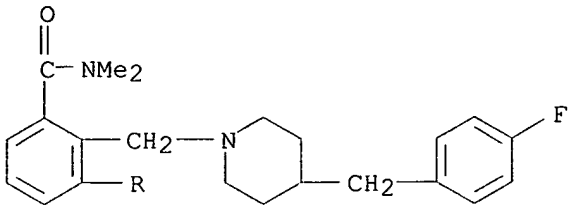


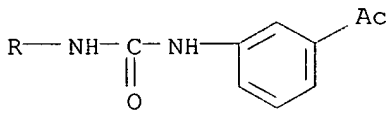
RN 275811-48-8 HCAPLUS
CN Benzamide, 2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



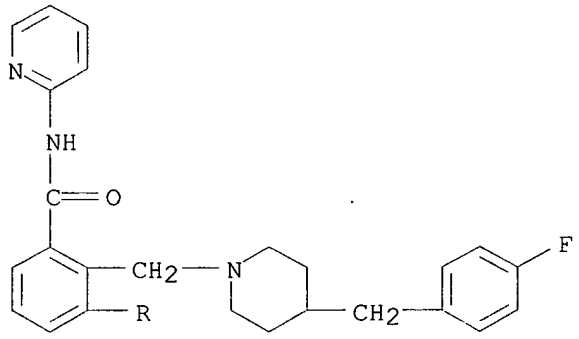


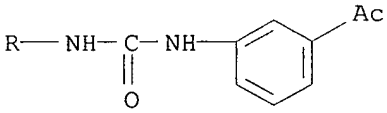
RN 275811-49-9 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



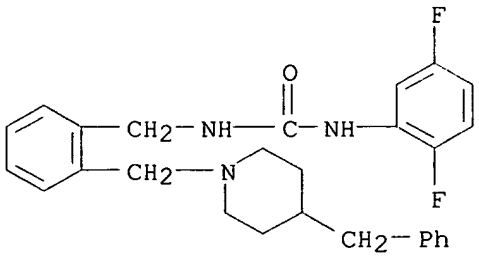


RN 275811-50-2 HCAPLUS
CN Benzamide, 3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

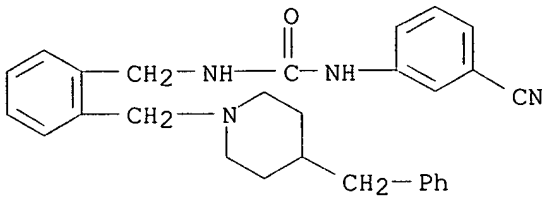




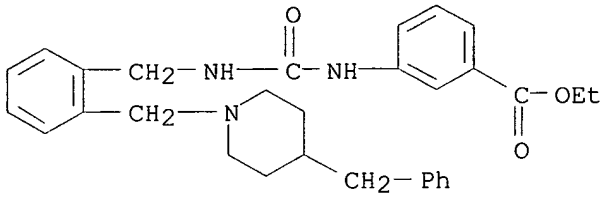
RN 275811-51-3 HCAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



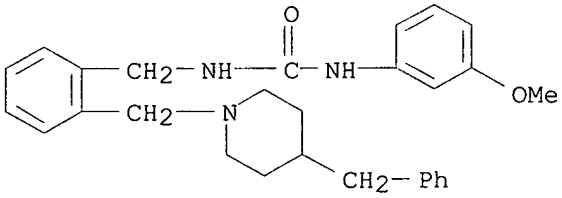
RN 275811-52-4 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



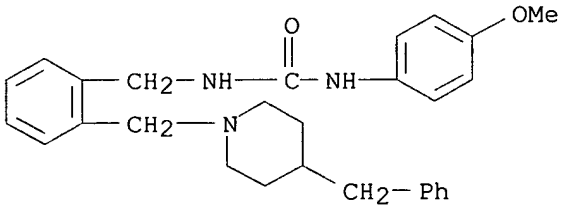
RN 275811-53-5 HCAPLUS
CN Benzoic acid, 3-[[[[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



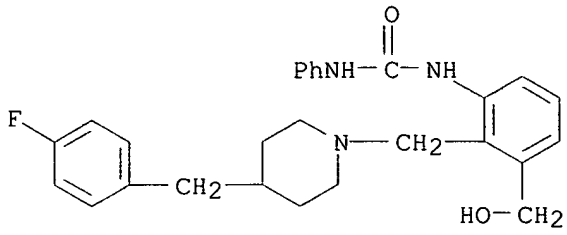
RN 275811-54-6 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



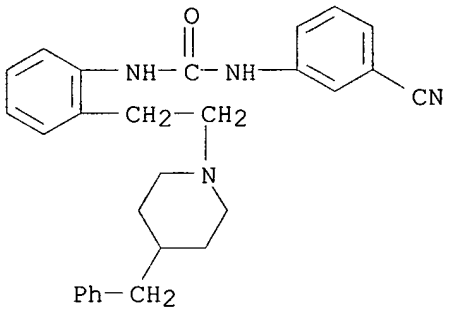
RN 275811-55-7 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



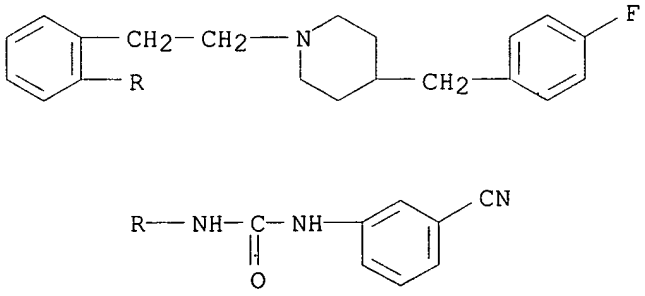
RN 275811-61-5 HCAPLUS
CN Urea, N-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]-3-(hydroxymethyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



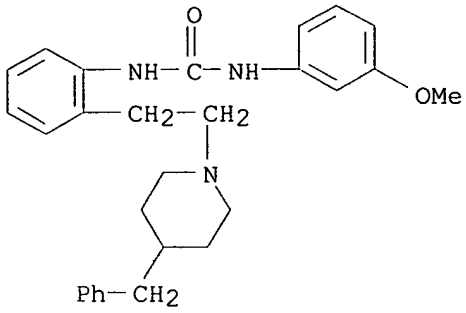
RN 275811-69-3 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



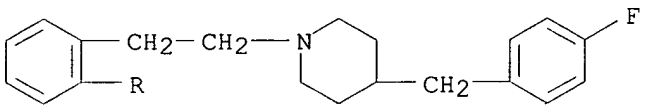
RN 275811-70-6 HCAPLUS
CN Urea, N-(3-cyanophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

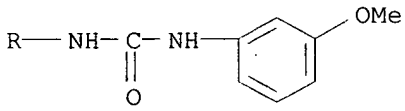


RN 275811-71-7 HCAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

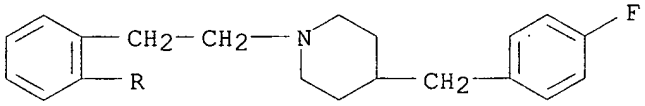


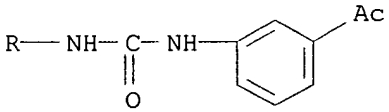
RN 275811-72-8 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



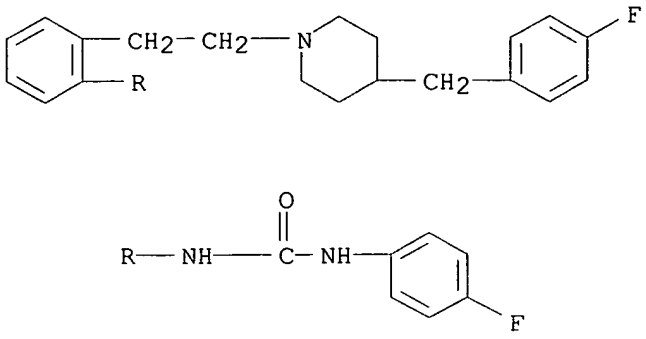


RN 275811-74-0 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

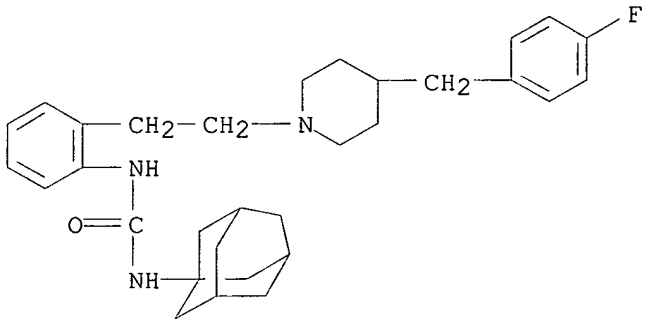




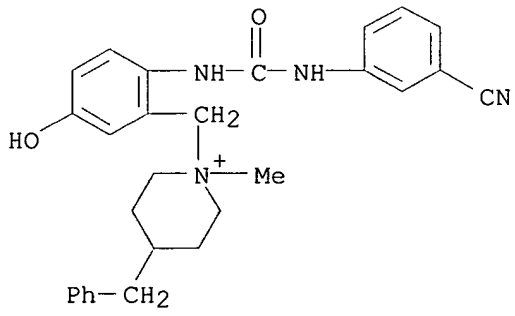
RN 275811-75-1 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275811-76-2 HCAPLUS
CN Urea, N-[2-[2-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]ethyl]phenyl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



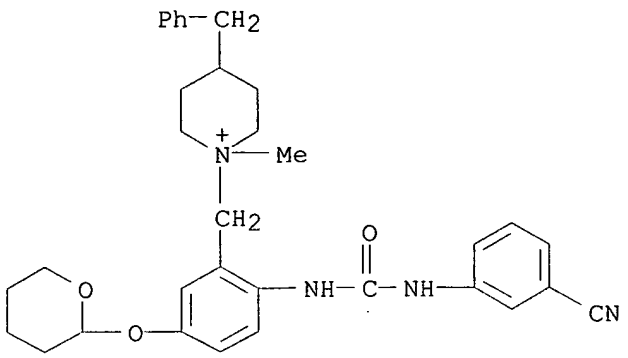
RN 275811-78-4 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-hydroxyphenyl]methyl]-1-methyl-4-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

RN 275811-79-5 HCAPLUS
CN Piperidinium, 1-[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-5-[(tetrahydro-

2H-pyran-2-yl)oxy]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

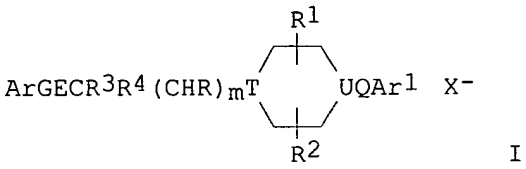
L38 ANSWER 15 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:349214 HCAPLUS
DOCUMENT NUMBER: 133:4602
TITLE: Preparation of arylcarbonylaminoalkylpiperidinio salts as CCR-3 receptor antagonists.
INVENTOR(S): Hirschfeld, Donald Roy; Smith, David Bernard; Kertesz, Denis John
PATENT ASSIGNEE(S): F. Hoffmann La Roche A.-G.; Switz.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19955793	A1	20000525	DE 1999-19955793	19991119 <--
WO 2000031033	A1	20000602	WO 1999-EP8554	19991108 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915735	A	20010904	BR 1999-15735	19991108 <--
EP 1131290	A1	20010912	EP 1999-960962	19991108 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002530375	T2	20020917	JP 2000-583861	19991108 <--
US 6342509	B1	20020129	US 1999-442799	19991118 <--

FR 2786179	A1	20000526	FR 1999-14562		19991119 <--
ES 2158813	A1	20010901	ES 1999-2546		19991119 <--
ES 2158813	B1	20020316			
IT 1308657	B1	20020109	IT 1999-TO1021		19991122 <--
PRIORITY APPLN. INFO.:			US 1998-109293P	P	19981120 <--
			WO 1999-EP8554	W	19991108

OTHER SOURCE(S): MARPAT 133:4602

GI



I

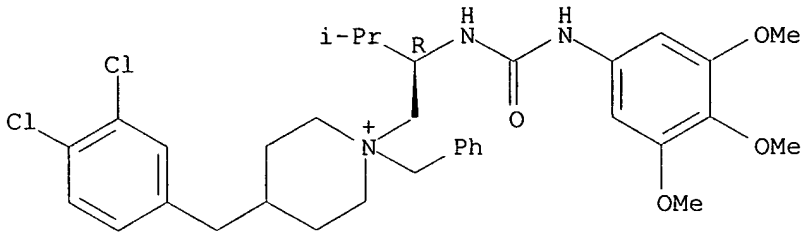
AB Title compds. [I; 1 of T, U = NR5, the other = CH; X- = pharmaceutically acceptable counterion; R1, R2 = H, alkyl; m = 0-3; Ar, Ar1 = aryl, heteroaryl; G = alkylene, alkenylene, bond; R = H, alkyl; RR3, RR4 = atoms to form a carbocyclyl or heterocyclyl; R3, R4 = H, QCOZ; Q = alkyl, alkenyl, haloalkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, etc.; Z = amino, aryl, aralkyl, aryloxy, heteroaryl, etc.; E = CONR6, SO2NR6, NR7CONR6, etc.; R6, R7 = H, alkyl, acyl, haloalkyl, cycloalkyl, aryl, aralkyl, etc.; Q = CO, alkylene, etc.], were prepd. Thus, 3,4,5-trimethoxyphenyl isocyanate was stirred with 1(R)-[4-(3,4-dichlorobenzyl)piperidin-1-ylmethyl]-2-methylpropylamine (prepn. given) in CH2Cl2 at room temp. and then at 38.degree. to give 1-[1-(R)-[4-(3,4-dichlorobenzyl)piperidin-1-ylmethyl]-2-methylpropyl]-3-(3,4,5-trimethoxyphenyl)urea. This was heated with EtI at 68.degree. overnight to give 4-(3,4-dichlorobenzyl)-1-ethyl-1-[3-methyl-2-[3-(3,4,5-trimethoxyphenyl)ureido]butyl]piperidinium iodide. The latter inhibited binding of 125I-eotaxin to CCR-3-L1.2-transfected cells with IC50 = 0.21 .mu.M.

IT **270572-41-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylcarbonylaminoalkylpiperidinio salts as CCR-3 receptor antagonists)

RN 270572-41-3 HCAPLUS

CN Piperidinium, 4-[(3,4-dichlorophenyl)methyl]-1-[(2R)-3-methyl-2-[[[(3,4,5-trimethoxyphenyl)amino]carbonyl]amino]butyl]-1-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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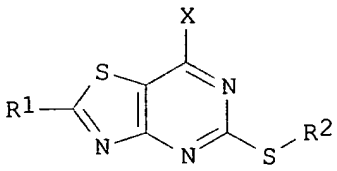
L38 ANSWER 16 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:133684 HCAPLUS
DOCUMENT NUMBER: 132:166252
TITLE: Preparation of novel thiazolopyrimidines as modulators of **chemokine** receptor activity
INVENTOR(S): Austin, Rupert; Baxter, Andrew; Bonnert, Roger; Hunt, Fraser; Kinchin, Elizabeth; Willis, Paul
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra AB
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009511	A1	20000224	WO 1999-SE1333	19990803 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338600	AA	20000224	CA 1999-2338600	19990803 <--
AU 9956625	A1	20000306	AU 1999-56625	19990803 <--
EP 1104425	A1	20010606	EP 1999-943554	19990803 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522544	T2	20020723	JP 2000-564962	19990803 <--

PRIORITY APPLN. INFO.: SE 1998-2729 A 19980813 <--
WO 1999-SE1333 W 19990803 <--

OTHER SOURCE(S): MARPAT 132:166252
GI



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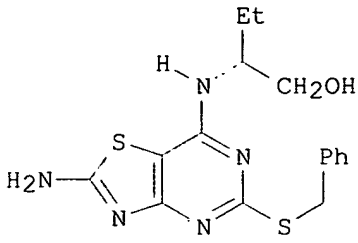


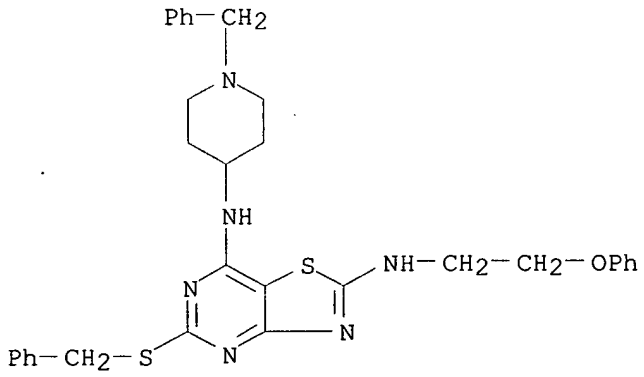
II

AB The title compds. [I; R1 = H, NR3R4 (wherein R3, R4 = H, 4-piperidinyl, alkyl, etc.; NR3R4 = (un)substituted 4-7 membered satd. heterocyclic ring); X = OH, NR13R14 (R13, R14 = H, 4-piperidinyl, etc.; NR13R14 = (un)substituted 4-7 membered satd. heterocyclic ring); R2 = alkyl, alkenyl optionally substituted by (un)substituted Ph or PhO], useful for treating a **chemokine** mediated disease wherein the **chemokine** binds to a CXCR2 receptor such as an inflammatory disease (e.g. psoriasis), were prepd. Thus, treating 2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7(4H)-one with POCl3 and N,N-dimethylaniline followed by reacting the resulting 7-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-amine with (R)-2-amino-1-butanol in THF afforded the title thiazolopyrimidine (2R)-II. Exemplified compds. I were found to have IC50 of < 10 .mu.M against hrCXCR2 binding.

IT **259102-87-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel thiazolopyrimidines as modulators of **chemokine** receptor activity)

RN 259102-87-9 HCAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-(2-phenoxyethyl)-N7-[1-(phenylmethyl)-4-piperidinyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



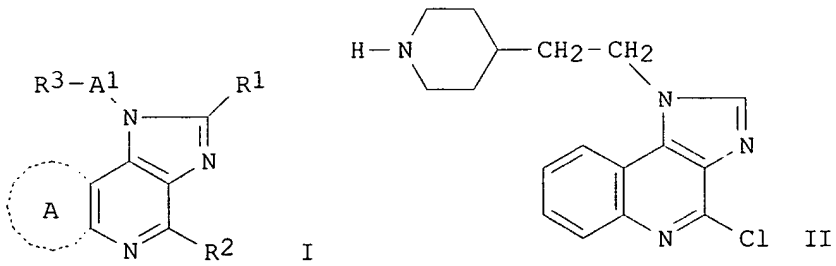
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 17 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:133679 HCAPLUS
DOCUMENT NUMBER: 132:180573

TITLE: Preparation of imidazopyridine derivatives as TNF and IL-1 production inhibitors
INVENTOR(S): Kato, Hideo; Sakaguchi, Jun; Aoyama, Makoto; Izumi, Tomoyuki; Kato, Ken-ichi
PATENT ASSIGNEE(S): Hokuriku Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000009506	A1	20000224	WO 1999-JP4381	19990812	<--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
JP 2000119271	A2	20000425	JP 1999-216125	19990730	<--
CA 2339562	AA	20000224	CA 1999-2339562	19990812	<--
AU 9951974	A1	20000306	AU 1999-51974	19990812	<--
AU 744388	B2	20020221			
EP 1104764	A1	20010606	EP 1999-937053	19990812	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9914306	A	20020521	BR 1999-14306	19990812	<--
NO 2001000676	A	20010410	NO 2001-676	20010209	<--

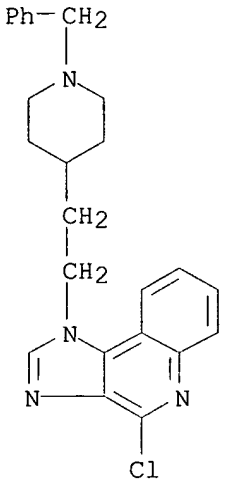
PRIORITY APPLN. INFO.: JP 1998-241062 A 19980812 <--
JP 1999-216125 A 19990730 <--
WO 1999-JP4381 W 19990812
OTHER SOURCE(S): MARPAT 132:180573
GI



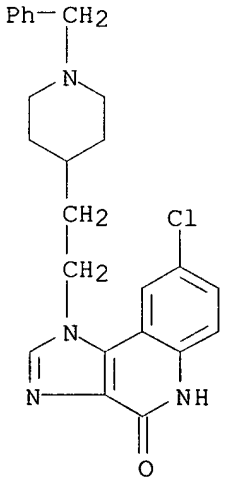
AB The title compds. I [A1 = (CH2)m; R1 is hydrogen, hydroxyl, alkyl, cycloalkyl, styryl or aryl; R2 is hydrogen, alkyl, halogeno, hydroxyl, amino, cyclic amino or phenoxy; ring A is an optionally substituted homocycle or heterocycle; R3 is a satd. nitrogenous heterocyclic group; and m is an integer of 0 to 3] are prepd. In an in vitro test using cells, the title compd. II.CF3CO2H at 0.001 .mu.mol gave 79% inhibition of TNF-.alpha. prodn.
IT **259178-25-1P 259178-92-2P 259178-94-4P**

259178-96-6P 259179-04-9P 259180-47-7P
259180-48-8P 259180-50-2P 259180-51-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazopyridine derivs. as TNF and IL-1 prodn. inhibitors)

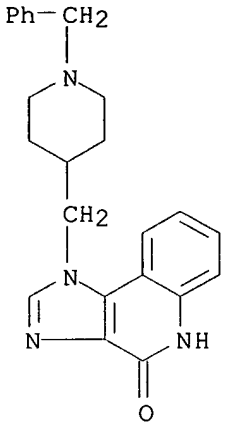
RN 259178-25-1 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 4-chloro-1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



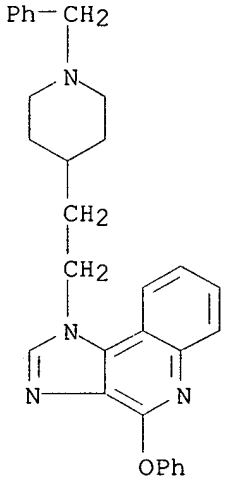
RN 259178-92-2 HCAPLUS
CN 4H-Imidazo[4,5-c]quinolin-4-one, 8-chloro-1,5-dihydro-1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



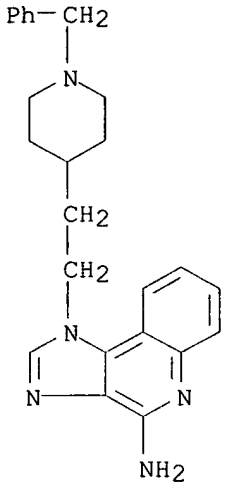
RN 259178-94-4 HCAPLUS
CN 4H-Imidazo[4,5-c]quinolin-4-one, 1,5-dihydro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



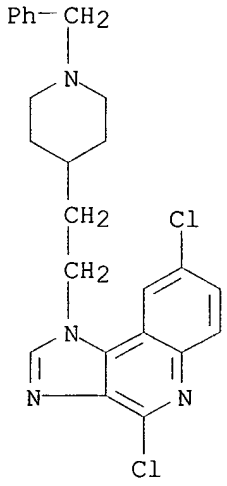
RN 259178-96-6 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 4-phenoxy-1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 259179-04-9 HCAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

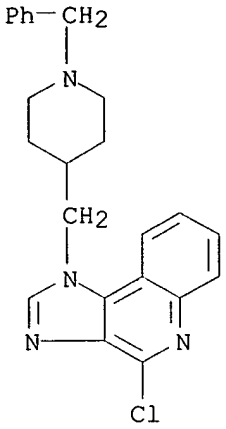


RN 259180-47-7 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 4,8-dichloro-1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



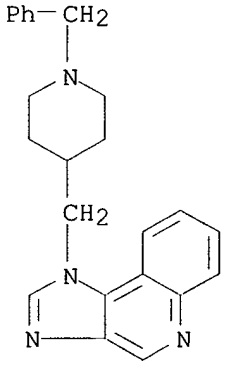
● HCl

RN 259180-48-8 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 4-chloro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



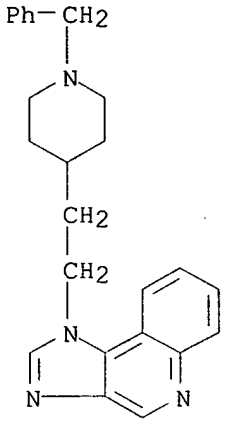
● HCl

RN 259180-50-2 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 1-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 259180-51-3 HCAPLUS
CN 1H-Imidazo[4,5-c]quinoline, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



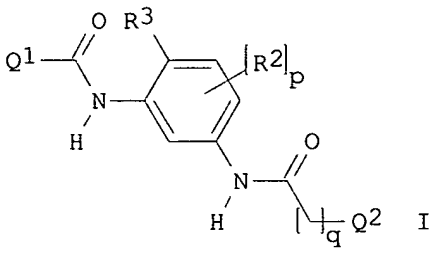
●2 HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 18 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:117025 HCAPLUS
DOCUMENT NUMBER: 132:166125
TITLE: Preparation of heteroarylcarboxamides as inhibitors of the production of cytokines
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007991	A1	20000217	WO 1999-GB2489	19990729 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338121	AA	20000217	CA 1999-2338121	19990729 <--
AU 9951788	A1	20000228	AU 1999-51788	19990729 <--
BR 9912729	A	20010502	BR 1999-12729	19990729 <--
EP 1102750	A1	20010530	EP 1999-936810	19990729 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522421	T2	20020723	JP 2000-563625	19990729 <--
NO 2001000534	A	20010315	NO 2001-534	20010131 <--

US 6432949 B1 20020813 US 2001-762107 20010202 <--
PRIORITY APPLN. INFO.: GB 1998-16838 A 19980804 <--
GB 1998-24939 A 19981113 <--
WO 1999-GB2489 W 19990729 <--
OTHER SOURCE(S): MARPAT 132:166125
GI

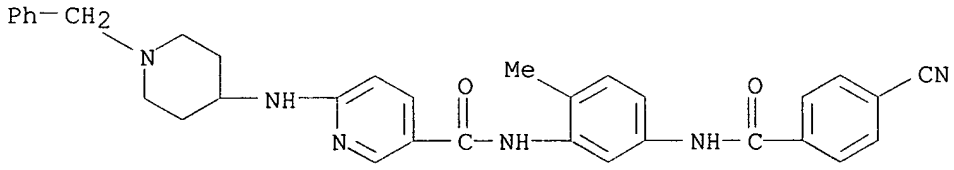


I

AB The title compds. [I; R3 = alkyl, halo; Q1 = (un)substituted heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; Q2 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. Thus, reacting 6-chloropyridine-3-carbonyl chloride with N-(3-amino-4-methylphenyl)-3-dimethylaminobenzamide (prepn. given) in the presence of K2CO3 in DMF/CH2Cl2 afforded I [R3 = Me; R2 = H; Q1 = 6-chloropyrid-3-yl; q = 0; Q2 = 3-(Me2N)C6H4]. Biol. data (e.g., inhibition of p38 kinase and TNF.alpha. prodn.) for compds. I were given.

IT **258503-19-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarylcarboxamides as inhibitors of the prodn. of cytokines)

RN 258503-19-4 HCAPLUS
CN 3-Pyridinecarboxamide, N-[5-[(4-cyanobenzoyl)amino]-2-methylphenyl]-6-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

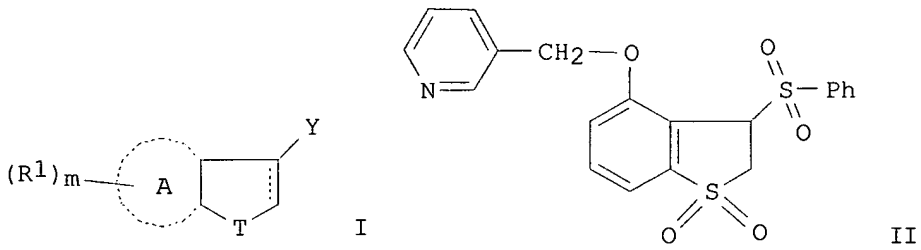
L38 ANSWER 19 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:659372 HCAPLUS
DOCUMENT NUMBER: 131:286397
TITLE: Preparation of fused thiophene derivatives as interleukin-6 and interleukin-12 production inhibitors
INVENTOR(S): Konishi, Mikio; Katsube, Nobuo; Konno, Mitoshi; Kishimoto, Tadamitsu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 717 pp.

CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9951587	A1	19991014	WO 1999-JP1648	19990331	<--
W: AU, BR, CA, CN, HU, JP, KR, MX, NO, NZ, RU, TR, US, ZA					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9930531	A1	19991025	AU 1999-30531	19990331	<--
EP 1067128	A1	20010110	EP 1999-912051	19990331	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
US 6420391	B1	20020716	US 2000-647430	20001002	<--

PRIORITY APPLN. INFO.: JP 1998-104210 A 19980401 <--
JP 1999-46887 A 19990119 <--
WO 1999-JP1648 W 19990331 <--

OTHER SOURCE(S): MARPAT 131:286397
GI

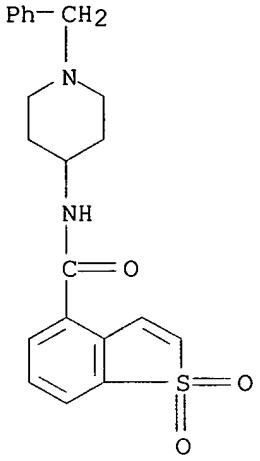


I II

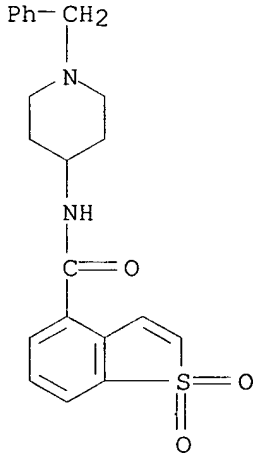
AB The title compds. I [dotted line indicates single or double bond; T = S(O)n; Y = H, etc.; ring A = benzene ring, etc.; R1 = alkyl, nitro, etc.; m = 0, or 1 - 4; n = 0 or 1 or 2] are prepd. The fused thiophene derivs. represented by general formula I are useful as preventives and/or remedies for various inflammatory diseases, sepsis, multiple myeloma, plasma cell leukemia, osteoporosis, cachexia, psoriasis, nephritis, renal cell cancer, Kaposi's sarcoma, chronic rheumatoid arthritis, hypergammaglobulinemia, Curschmann's disease, intraatrial myxoma, diabetes, autoimmune diseases, hepatitis, multiple sclerosis, colon inflammation, graft-vs.-host disease and infectious diseases. Formulations contg. I are given. In an in vitro test using cells, the title compd. II showed IC50 of 4.4 .mu.M against interleukin-6 prodn.

IT **246171-62-0P 246171-63-1P 246172-37-2P**
246172-38-3P 246172-39-4P 246174-51-6P
246177-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused thiophene derivs. as interleukin-6 and interleukin-12 prodn. inhibitors)

RN 246171-62-0 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, N-[1-(phenylmethyl)-4-piperidinyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

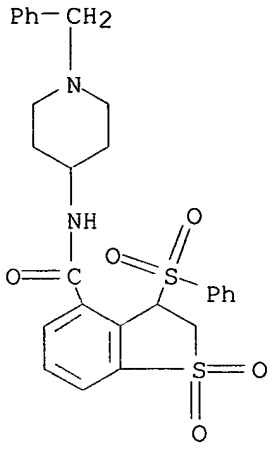


RN 246171-63-1 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, N-[1-(phenylmethyl)-4-piperidinyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

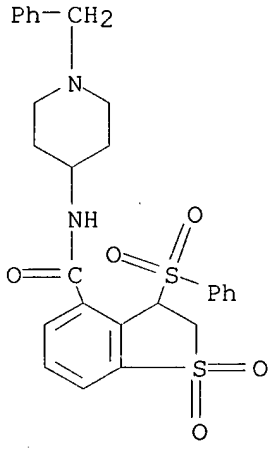


● HCl

RN 246172-37-2 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, 2,3-dihydro-N-[1-(phenylmethyl)-4-piperidinyl]-3-(phenylsulfonyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 246172-38-3 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, 2,3-dihydro-N-[1-(phenylmethyl)-4-piperidinyl]-3-(phenylsulfonyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

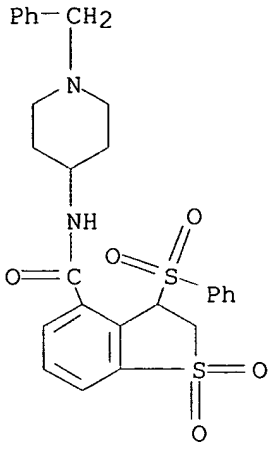


● HCl

RN 246172-39-4 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, 2,3-dihydro-N-[1-(phenylmethyl)-4-piperidinyl]-3-(phenylsulfonyl)-, 1,1-dioxide, monomethanesulfonate (9CI) (CA INDEX NAME)

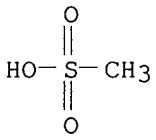
CM 1

CRN 246172-37-2
CMF C27 H28 N2 O5 S2

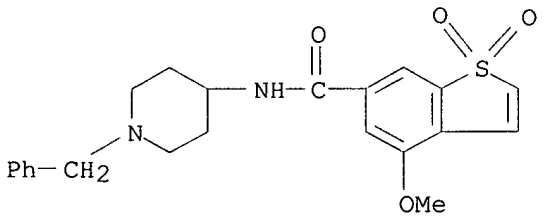


CM 2

CRN 75-75-2
CMF C H4 O3 S



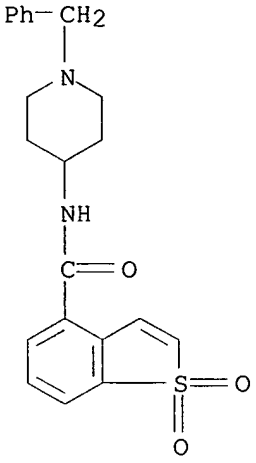
RN 246174-51-6 HCAPLUS
CN Benzo[b]thiophene-6-carboxamide, 4-methoxy-N-[1-(phenylmethyl)-4-piperidinyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 246177-07-1 HCAPLUS
CN Benzo[b]thiophene-4-carboxamide, N-[1-(phenylmethyl)-4-piperidinyl]-, 1,1-dioxide, monomethanesulfonate (9CI) (CA INDEX NAME)

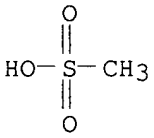
CM 1

CRN 246171-62-0
CMF C21 H22 N2 O3 S



CM 2

CRN 75-75-2
CMF C H4 O3 S

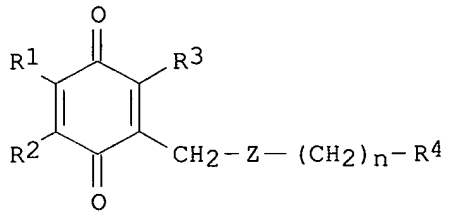


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

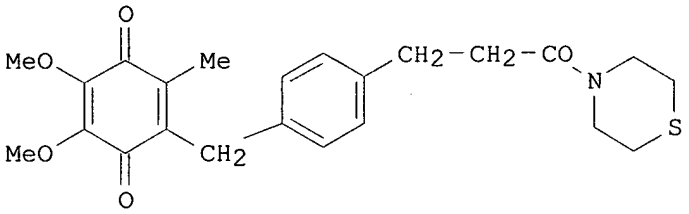
L38 ANSWER 20 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:626040 HCAPLUS
DOCUMENT NUMBER: 131:257570
TITLE: Preparation of phenylmethylbenzoquinones as NF-.kappa.B inhibitors
INVENTOR(S): Nunokawa, Yoichi; Suzuki, Kenji; Saitoh, Masayuki
PATENT ASSIGNEE(S): Suntory Limited, Japan
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948491	A1	19990930	WO 1999-JP1422	19990319 <--
W: AU, CA, CN, HU, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9928543	A1	19991018	AU 1999-28543	19990319 <--
EP 1008346	A1	20000614	EP 1999-909284	19990319 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI
PRIORITY APPLN. INFO.: JP 1998-92431 A 19980320 <--
WO 1999-JP1422 W 19990319 <--
OTHER SOURCE(S): MARPAT 131:257570
GI



I



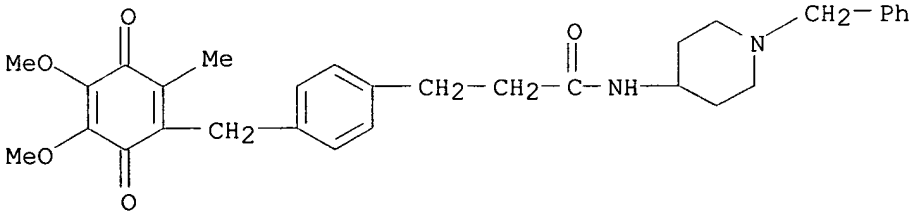
II

AB The title compds. I [R1, R2 and R3 independently represent each H, C1-5 alkyl or C1-5 alkoxy; R4 represents H, hydroxymethyl, alkyl, etc.; Z is phenylene, etc.; and n is 0 to 6] are prepd. The title compd. II showed IC50 of 21 .mu.M against TNF-.alpha. prodn. in RAW 264.7 cells stimulated by lipopolysaccharide. (Stimulation of cells by lipopolysaccharide causes the activation NF-.kappa.B, followed by prodn. of TNF-.alpha.).

IT **245087-13-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylmethylbenzoquinones as NF-.kappa.B inhibitors)

RN 245087-13-2 HCAPLUS

CN Benzenepropanamide, 4-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 21 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:495295 HCAPLUS
DOCUMENT NUMBER: 131:129983
TITLE: Preparation of 1-cycloalkyl-1,8-naphthyridin-4-one

derivatives with phosphodiesterase IV inhibitory activity

INVENTOR(S): Shimamoto, Tetsuo; Inoue, Hidekazu; Hayashi, Yasuhiro
PATENT ASSIGNEE(S): Suntory Limited, Japan

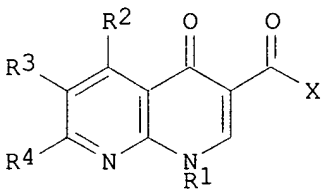
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938867	A1	19990805	WO 1999-JP404	19990129 <--
W: AU, CA, CN, HU, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9921856	A1	19990816	AU 1999-21856	19990129 <--
EP 978516	A1	20000209	EP 1999-901925	19990129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6331548	B1	20011218	US 1999-402142	19990929 <--

PRIORITY APPLN. INFO.: JP 1998-17009 A 19980129 <--
WO 1999-JP404 W 19990129 <--

OTHER SOURCE(S): MARPAT 131:129983

GI



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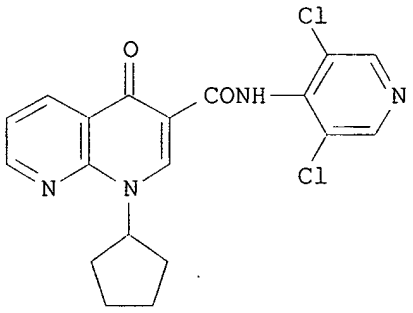


II

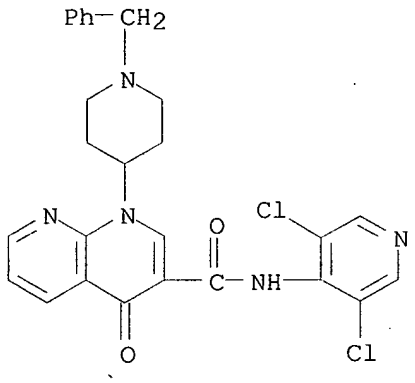
AB 1-Cycloalkyl-1,8-naphthyridin-4-one derivs. represented by formula (I) or pharmacol. acceptable salts or solvates thereof (wherein R1 represents optionally substituted cycloalkyl or optionally substituted heterocycloalkyl; R2, R3, and R4 each independently represents hydrogen, optionally substituted lower alkyl, or halogeno; and X represents NR5R6 or OR7 (wherein R5 and R6 each independently represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, optionally substituted aryl, or optionally substituted heteroaryl); and R7 represents hydrogen, optionally substituted lower alkyl, or optionally substituted cycloalkyl) are prepd. These compds. selectively inhibit phosphodiesterase IV and prodn. of tumor necrosis factor TNF-.alpha. and are useful for the prevention and treatment of phosphodiesterase IV-assocd. diseases such as respiratory disease (bronchial asthma and chronic bronchitis), nerve functional disorders (depression, schizophrenia, Alzheimer's disease or Parkinson's disease-related learning, memory, and cognition disorders), inflammatory diseases (atopic dermatitis, conjunctivitis, or AIDS), general or local joint diseases (knee arthritis deformans and chronic rheumatoid arthritis) and

cytokine-assocd. diseases such as psoriasis, septicemia, Crohn's disease, cardiac infarction, arteriosclerosis, and nephritis. Thus, 1-cyclopentyl-1,4-dihydro-1,8-naphthyridine-4-one-3-carboxylic acid was refluxed with SOCl2 in toluene for 1.5 h, evapd. in vacuo, and then condensed with 4-amino-3,5-dichloropyridine in the presence of NaH in THF to give the title compd., N-(3,5-dichloropyridin-4-yl)-cyclopentyl-1,4-dihydro-1,8-naphthyridine-4-one-3-carboxamide (II). II showed IC50 of 0.0003 .mu.M against phosphodiesterase IV.

IT **233762-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cycloalkylnaphthyridinone derivs. with phosphodiesterase inhibitory activity and inhibition of tumor necrosis factor-.alpha. prodn. as therapeutics)

RN 233762-90-8 HCAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-(3,5-dichloro-4-pyridinyl)-1,4-dihydro-4-oxo-1-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 22 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:421672 HCAPLUS
DOCUMENT NUMBER: 131:73571
TITLE: Preparation of benzoxepinecarboxamides, benzocycloheptenecarboxamides, naphthalenecarboxamides, and related compounds as MCP-1 receptor antagonists.
INVENTOR(S): Shiraishi, Mitsuru; Kitayoshi, Takahito; Aramaki, Yoshio; Honda, Susumu; Oda, Tsuneo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 513 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932468	A1	19990701	WO 1998-JP5707	19981217 <--

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD,

MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 9813686	A	20001010	BR 1998-13686	19981212	<--
CA 2311428	AA	19990701	CA 1998-2311428	19981217	<--
AU 9916830	A1	19990712	AU 1999-16830	19981217	<--
AU 742077	B2	20011213			
ZA 9811576	A	20000619	ZA 1998-11576	19981217	<--
EP 1040103	A1	20001004	EP 1998-961383	19981217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
US 6166006	A	20001226	US 1998-213379	19981217	<--
JP 11263764	A2	19990928	JP 1998-360780	19981218	<--
NO 2000003133	A	20000809	NO 2000-3133	20000616	<--
US 6413947	B1	20020702	US 2000-661194	20000913	<--

PRIORITY APPLN. INFO.:
JP 1997-351481 A 19971219 <--
US 1998-213379 A3 19981217 <--
WO 1998-JP5707 W 19981217 <--

OTHER SOURCE(S): MARPAT 131:73571

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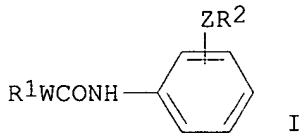

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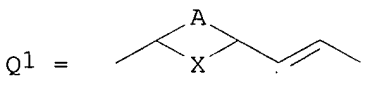
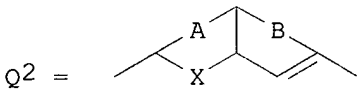


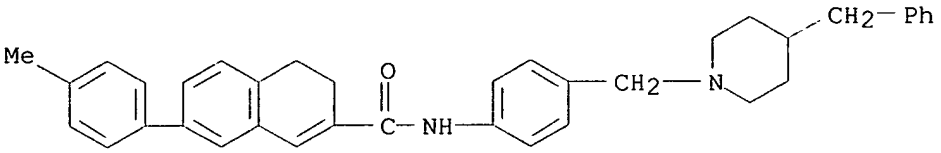


AB Title compds. I [R1 = (substituted) 5-6 membered ring; W = Q1, Q2; A = atoms to form a (substituted) 5-6 membered arom. ring; X = S, O, (substituted) C, N; B = atoms to form a (substituted) 5-7 membered ring; Z = bond, divalent group; R2 = (substituted) amino, ammonio, heterocyclyl, S-bonded group, P(O)kR5R6; k = 0, 1; R5, R6 = (substituted) hydrocarbyl, amino; PR5R6 = cyclic group], were prepd. Thus, 7-(4-methylphenyl)-2,3-dihydro-1-benzoxepine-4-carboxylic acid in CH2Cl2 was treated with (COCl)2 and DMF to give a residue which was stirred with 4-[N-methyl-N-(tetrahydropyran-4-yl)aminomethyl]aniline and Et3N in THF to give N-[4-[N-methyl-N-(tetrahydropyran-4-yl)aminomethyl]phenyl]-7-(4-methylphenyl)-2,3-dihydro-1-benzoxepine-4-carboxamide (II). II at 1 .mu.M inhibited MCP-1 induced chemotaxis in CHO cells by 89%. A II capsule compn. is given.

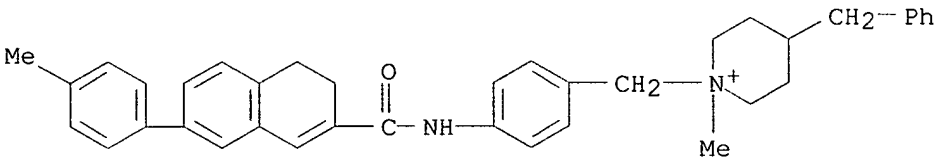
IT **229003-70-7P 229003-71-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxepinecarboxamides, benzocycloheptenecarboxamides, naphthalenecarboxamides, and related compds. as MCP-1 receptor antagonists)

RN 229003-70-7 HCAPLUS

CN 2-Naphthalenecarboxamide, 3,4-dihydro-7-(4-methylphenyl)-N-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 229003-71-8 HCAPLUS
CN Piperidinium, 1-[[4-[[[3,4-dihydro-7-(4-methylphenyl)-2-naphthalenyl]carbonyl]amino]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 23 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:421562 HCAPLUS
DOCUMENT NUMBER: 131:87834
TITLE: Preparation of benzoxepinecarboxamides, benzocycloheptenecarboxamides, naphthalenecarboxamides, and related compounds as CCR5 antagonists.
INVENTOR(S): Nishimura, Osamu; Baba, Masanori; Sawada, Hidekazu; Kanzaki, Naoyuki; Kuroshima, Ken-ichi; Shiraishi, Mitsuru; Aramaki, Yoshio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 516 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932100	A2	19990701	WO 1998-JP5708	19981217 <--
WO 9932100	A3	19990910		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304959	AA	19990701	CA 1998-2304959	19981217 <--
AU 9916831	A1	19990712	AU 1999-16831	19981217 <--

AU 748064	B2	20020530			
ZA 9811574	A	20000619	ZA 1998-11574	19981217	<--
EP 1039899	A2	20001004	EP 1998-961384	19981217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
BR 9813691	A	20001010	BR 1998-13691	19981217	<--
JP 2000128782	A2	20000509	JP 1998-360820	19981218	<--
US 6096780	A	20000801	US 1999-377040	19990819	<--
US 6376536	B1	20020423	US 2000-580270	20000526	<--
NO 2000003179	A	20000619	NO 2000-3179	20000619	<--
US 6268354	B1	20010731	US 2000-661320	20000913	<--

PRIORITY APPLN. INFO.:

JP 1997-351480	A	19971219 <--
JP 1998-218875	A	19980803 <--
JP 1998-234388	A	19980820 <--
US 1998-104845P	P	19981016 <--
US 1998-104847P	P	19981116 <--
US 1998-213377	A3	19981217 <--
WO 1998-JP5708	W	19981217 <--
US 1999-377040	A3	19990819

OTHER SOURCE(S): MARPAT 131:87834

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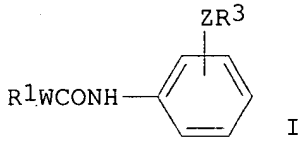

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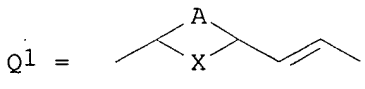
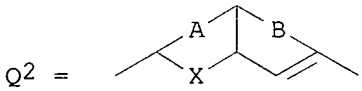


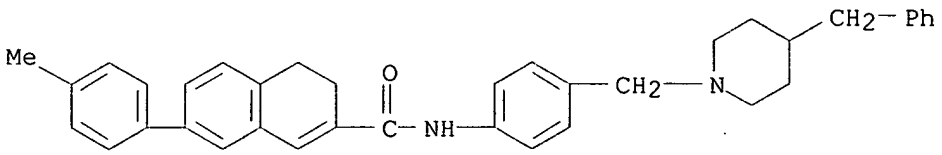


AB A pharmaceutical compn. for antagonizing CCR5 comprises I [R1 = (substituted) 5-6 membered ring; W = Q1, Q2; A = atoms to form a (substituted) 5-6 membered arom. ring; X = S, O, (substituted) C, N; B = atoms to form a (substituted) 5-7 membered ring; Z = bond, divalent group; R2 = (substituted) amino, ammonio, heterocyclyl, S-bonded group, P(O)kR5R6; k = 0, 1; R5, R6 = (substituted) hydrocarbyl, amino; PR5R6 = cyclic group]. Thus, 7-(4-methylphenyl)-2,3-dihydro-1-benzoxepine-4-carboxylic acid in CH2Cl2 was treated with (COCl)2 and DMF to give a residue which was stirred with 4-[N-methyl-N-(tetrahydropyran-4-yl)aminomethyl]aniline and Et3N in THF to give N-[4-[N-methyl-N-(tetrahydropyran-4-yl)aminomethyl]phenyl]-7-(4-methylphenyl)-2,3-dihydro-1-benzoxepine-4-carboxamide (II). A II capsule compn. is given.

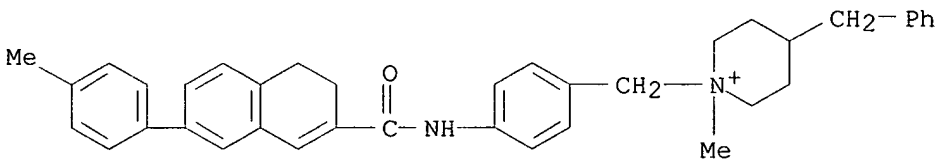
IT **229003-70-7P 229003-71-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxepinecarboxamides, benzocycloheptenecarboxamides, naphthalenecarboxamides, and related compds. as MCP-1 receptor antagonists)

RN 229003-70-7 HCAPLUS

CN 2-Naphthalenecarboxamide, 3,4-dihydro-7-(4-methylphenyl)-N-[4-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 229003-71-8 HCAPLUS
CN Piperidinium, 1-[[4-[[[3,4-dihydro-7-(4-methylphenyl)-2-naphthalenyl]carbonyl]amino]phenyl]methyl]-1-methyl-4-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)



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L38 ANSWER 24 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:262172 HCAPLUS
DOCUMENT NUMBER: 130:306613
TITLE: Cytokine production blockers for the management of uterine contractions
INVENTOR(S): Alvi, Samir Ahmed
PATENT ASSIGNEE(S): Imperial College Innovations Ltd., UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

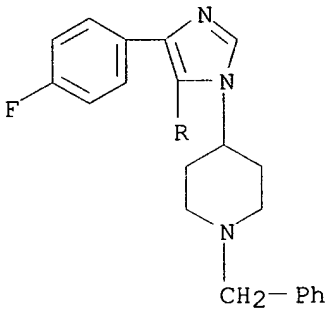
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918942	A1	19990422	WO 1998-GB3015	19981008 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2316296	AA	19990422	CA 1998-2316296	19981008 <--
AU 9894493	A1	19990503	AU 1998-94493	19981008 <--
EP 1021173	A1	20000726	EP 1998-947651	19981008 <--
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001519381	T2	20011023	JP 2000-515577	19981008 <--

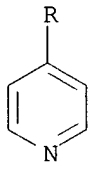
PRIORITY APPLN. INFO.: US 1997-61614P P 19971010 <--
WO 1998-GB3015 W 19981008 <--
OTHER SOURCE(S): MARPAT 130:306613
AB The present invention is to the novel use of a cytokine inhibitor for the

prophylactic treatment, or management of excessive, undesired or inappropriate uterine activity, such as contractions, in a mammal in need thereof. An example of a cytokine-prodn. blocker is SKF 86002 [6-(4-fluorophenyl)-2,3-dihydro-5-(4-pyridinyl)imidazo[2,1-b]thiazole], a CSBP/p38 protein kinase RK inhibitor.

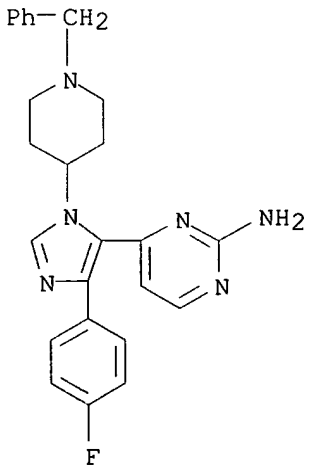
IT **165806-47-3 165806-49-5 186314-81-8**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(cytokine prodn. blockers for the management of uterine contractions)

RN 165806-47-3 HCAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



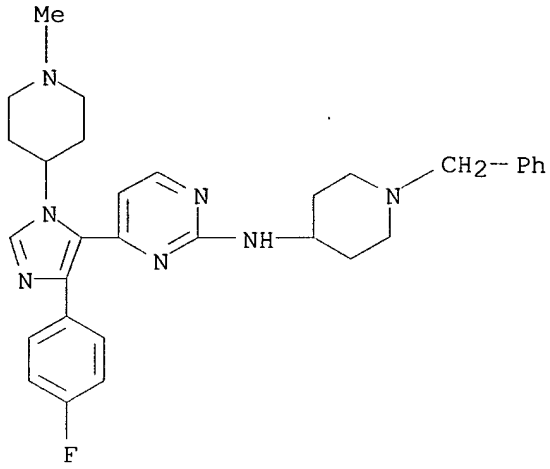


RN 165806-49-5 HCAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 186314-81-8 HCAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

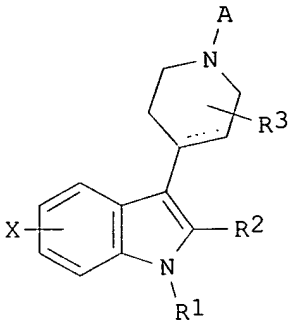


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 25 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:249078 HCAPLUS
DOCUMENT NUMBER: 130:281994
TITLE: Preparation of 3-(4-piperidinyl or 1,2,3,6-tetrahydro-4-pyridinyl)-1H-indol-5-ols for treating a CCR5-mediated diseases
INVENTOR(S): Bondinell, William E.; Chan, James; Porter, Roderick A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Smithkline Beecham Plc
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9917773	A1	19990415	WO 1998-US21125	19981007 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9809083	A	19990407	ZA 1998-9083	19981006 <--
CA 2305805	AA	19990415	CA 1998-2305805	19981007 <--
AU 9897901	A1	19990427	AU 1998-97901	19981007 <--
EP 1037635	A1	20000927	EP 1998-952132	19981007 <--
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001518505	T2	20011016	JP 2000-514644	19981007 <--
US 6476028	B1	20021105	US 2000-529338	20000808 <--

PRIORITY APPLN. INFO.: US 1997-61217P P 19971007 <--
WO 1998-US21125 W 19981007 <--
OTHER SOURCE(S): MARPAT 130:281994
GI



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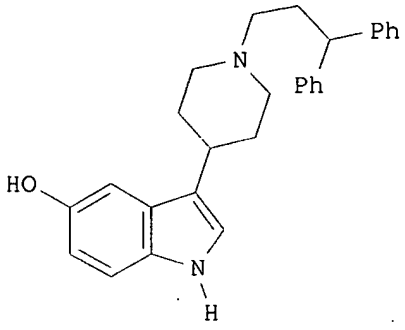


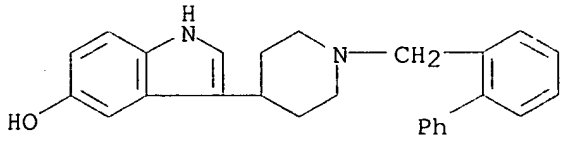
II

AB The title compds. [I; X = H, alkyl, CF3, etc.; R1-R3 = H, alkyl; A = [C(R'')2]mCR''R4R5, [C(R'')2]nCR'':CR4R5; R'' = H, alkyl; m = 0-3; n = 1-2; R4 = Ph, biphenyl, naphthyl, etc.; R5 = R'', Ph, naphthyl] which are modulators, agonists or antagonists, of the CCR5 receptor, were prepd. E.g., a 3-step synthesis of the title compd. II, starting with 5-benzyloxyindole and 1-benzyl-4-piperidone, was given. Compds. I show CCR5 receptor modulator activity having IC50 of 0.0001-100 .mu.M. In addn., this invention relates to the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, and inflammatory bowel disease, all in mammals, by the use of substituted 3-(4-piperidinyl)indoles which are CCR5 receptor modulators. Furthermore, since CD8+ T cells have been implicated in Chronic Obstructive Pulmonary Disease ("COPD"), CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of Human Immunodeficiency Virus ("HIV") into cells, receptor modulators may be useful in the treatment of HIV infection.

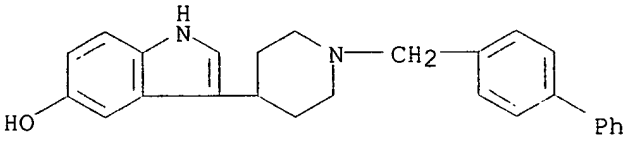
IT **222635-69-0P 222635-70-3P 222635-72-5P**
222635-73-6P 222635-74-7P 222635-75-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(4-piperidinyl or 1,2,3,6-tetrahydro-4-pyridinyl)-1H-indol-5-ols for treating a CCR5-mediated diseases)

RN 222635-69-0 HCAPLUS

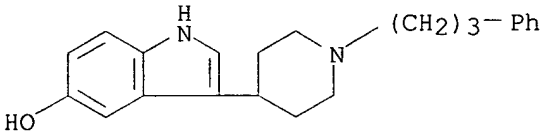
CN 1H-Indol-5-ol, 3-[1-([1,1'-biphenyl]-2-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



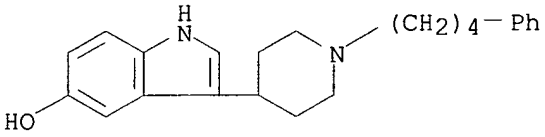
RN 222635-70-3 HCAPLUS
CN 1H-Indol-5-ol, 3-[1-([1,1'-biphenyl]-4-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



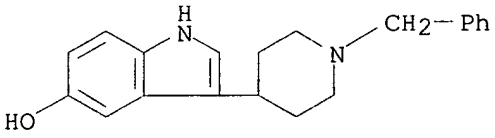
RN 222635-72-5 HCAPLUS
CN 1H-Indol-5-ol, 3-[1-(3-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



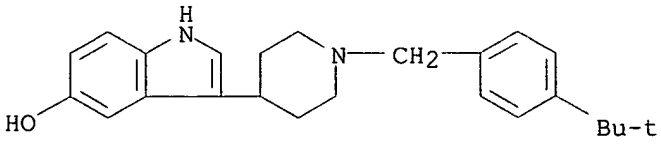
RN 222635-73-6 HCAPLUS
CN 1H-Indol-5-ol, 3-[1-(4-phenylbutyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 222635-74-7 HCAPLUS
CN 1H-Indol-5-ol, 3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 222635-75-8 HCAPLUS
CN 1H-Indol-5-ol, 3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



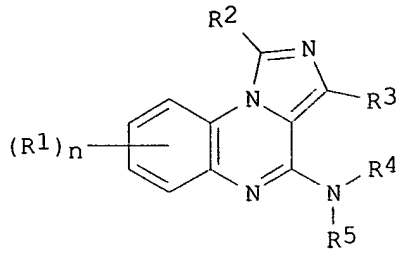
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 26 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:166498 HCAPLUS
DOCUMENT NUMBER: 130:223295
TITLE: Preparation of imidazoquinoxaline protein tyrosine kinase inhibitors
INVENTOR(S): Barrish, Joel C.; Chen, Ping; Das, Jagabandhu; Iwanowicz, Edwin J.; Norris, Derek J.; Padmanabha, Ramesh; Roberge, Jacques Y.; Schieven, Gary L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9909845	A1	19990304	WO 1998-US16027	19980803	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6235740	B1	20010522	US 1998-97338	19980615	<--
AU 9886817	A1	19990316	AU 1998-86817	19980803	<--
ZA 9807649	A	20000224	ZA 1998-7649	19980824	<--

PRIORITY APPLN. INFO.:			
US 1997-56770P	P	19970825	<--
US 1997-69159P	P	19971209	<--
WO 1998-US16027	W	19980803	<--

OTHER SOURCE(S): MARPAT 130:223295
GI



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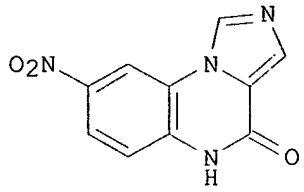
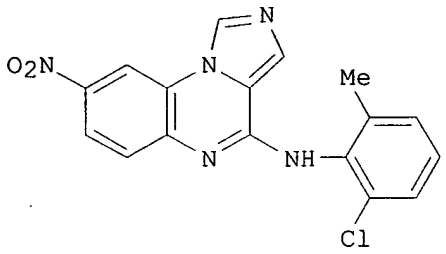


II



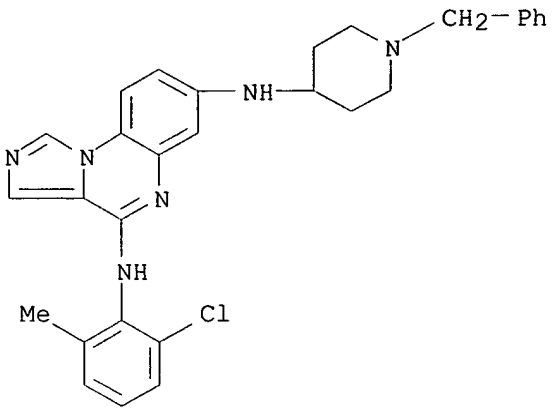
III

AB Novel imidazoquinoxalines I and salts thereof are disclosed [wherein: n = 0-4; R1, R2, R3 = H, R6, OH, OR6, SH, SR6, CO2H, SO3H, halo, cyano, NO2, etc.; R1-R3 may form ring(s); R4, R5 = H, R6, COR6; or NR4R5 forms (un)substituted 3- to 8-membered heterocyclic ring; R6 = (un)substituted alk(en/yn)yl, cycloalk(en)yl(alkyl), aryl, aralkyl, heterocyclo(alkyl)]. Also disclosed are pharmaceutical compns. contg. the compds., and methods of their use in the treatment of various protein tyrosine kinase-assocd. disorders, such as immunol. disorders (no data). Over 500 synthetic examples are given. For instance, the nitroimidazoloquinoxalinone II (prepd. in 4 steps) was treated with POCl3 to give 78% of the corresponding chloro compd., which reacted with NaN(SiMe3)2 and 2-chloro-6-methylaniline in THF to give 86% title compd. III.

IT **221065-44-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of imidazoquinoxalines as protein tyrosine kinase inhibitors)

RN 221065-44-7 HCAPLUS

CN Imidazo[1,5-a]quinoxaline-4,7-diamine, N4-(2-chloro-6-methylphenyl)-N7-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

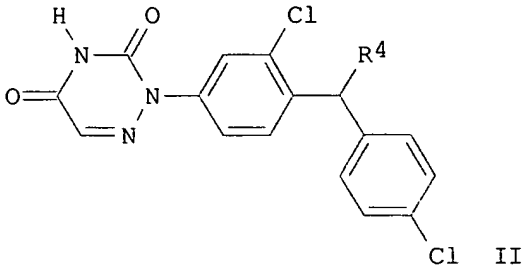
L38 ANSWER 27 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:64782 HCAPLUS
DOCUMENT NUMBER: 130:139366
TITLE: Preparation of 6-azauracil derivatives as IL-5 biosynthesis inhibitors
INVENTOR(S): Lacrampe, Jean Fernand Armand; Freyne, Eddy Jean Edgard; Venet, Marc Gaston; Boeckx, Gustaaf Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902505	A1	19990121	WO 1998-EP4191	19980707 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9889738	A1	19990208	AU 1998-89738	19980707 <--
AU 742145	B2	20011220		
EP 1000040	A1	20000517	EP 1998-941299	19980707 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
ZA 9806089	A	20000110	ZA 1998-6089	19980709 <--
BR 9811678	A	20000919	BR 1998-11678	19980710 <--
NO 2000000063	A	20000310	NO 2000-63	20000106 <--
US 2002072603	A1	20020613	US 2001-891888	20010626 <--

PRIORITY APPLN. INFO.:
EP 1997-202118 A 19970710 <--
WO 1998-EP4191 W 19980707 <--
US 2000-462320 B1 20000105

OTHER SOURCE(S): MARPAT 130:139366

GI



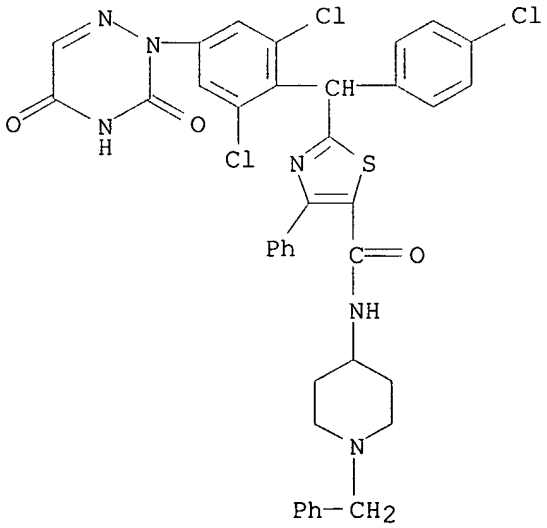
II

AB RZCR1(XR2)R3 [I; R= 3,5-dioxo-1,2,4-triazin-2(3H)-yl; R1 = H, halo, alkyl, alkoxy, etc.; R2 = CONH2, (un)substituted alkyl, (hetero)aryl, etc.; R3 = (un)substituted Ph; X = bond, O, s, (alkyl)imino; Z = (un)substituted phenylene] were prepd. Thus, title compd. II (R4 = Cl) was etherified by Me2CHCH2OH to give II (R4 = OCH2CHMe2). Data for biol. activity of I were given.

IT **219979-02-9P 219979-22-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-azauracil derivs. as IL-5 biosynthesis inhibitors)

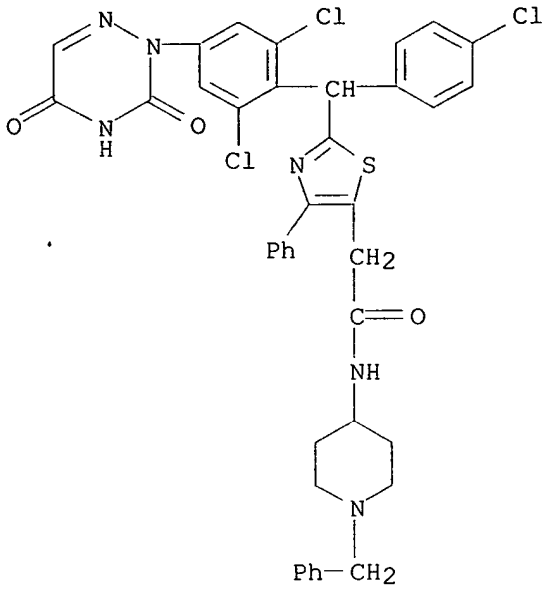
RN 219979-02-9 HCAPLUS

CN 5-Thiazolecarboxamide, 2-[(4-chlorophenyl)[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]methyl]-4-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 219979-22-3 HCAPLUS

CN 5-Thiazoleacetamide, 2-[(4-chlorophenyl)[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]methyl]-4-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

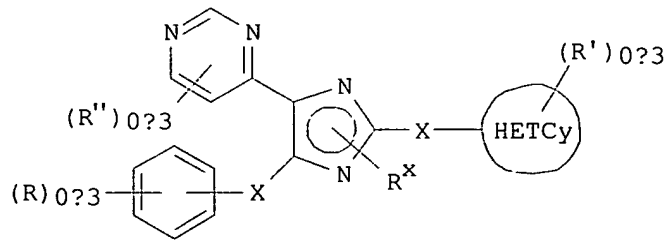


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 28 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:45153 HCAPLUS
DOCUMENT NUMBER: 130:110263
TITLE: Substituted imidazoles having cytokine inhibitory activity
INVENTOR(S): Liverton, Nigel J.; Claremon, David A.; Butcher, John W.; Bilodeau, Mark T.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5859041	A	19990112	US 1997-871382	19970609 <--

OTHER SOURCE(S): MARPAT 130:110263
GI



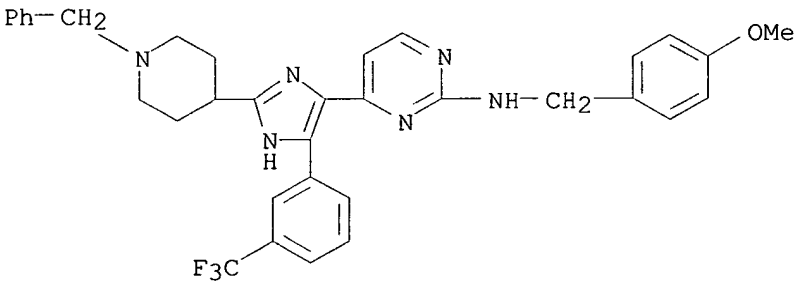
I

AB The title compds. I [HETCy = 4-10 membered nonarom. heterocycle contg. at least one N atom; X, X' = (CH2)mY(CH2)n and m and n = 0-4 and m + n = 0-6 and Y = bond, O, CO, etc.; Rx = H, alkyl, cycloalkyl, etc.; R = halo, OH, etc.; R' = CONH2, SO2NH2, alkynyl, etc.; R'' = halo, alkyl, CN, CONH2, etc.], were prepd. as cytokine inhibitors (no data). E.g., benzyl 4-[5-(2-methylaminopyrimidin-4-yl)-4-(3-trifluoromethylphenyl)-1H-imidazol-2-yl]piperidine-1-carboxylate was prepd.

IT **200801-44-1P 200801-78-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and cytokine inhibitory activity of imidazoles)

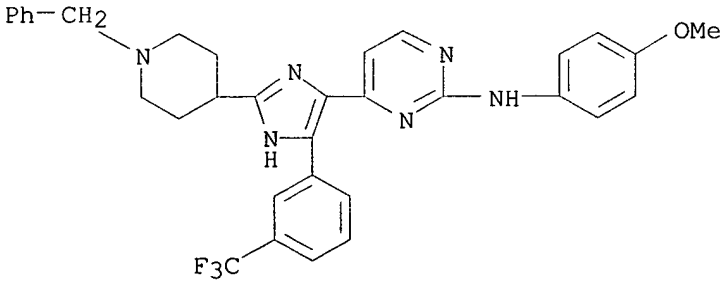
RN 200801-44-1 HCAPLUS

CN 2-Pyrimidinamine, N-[(4-methoxyphenyl)methyl]-4-[2-[1-(phenylmethyl)-4-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 200801-78-1 HCAPLUS

CN 2-Pyrimidinamine, N-(4-methoxyphenyl)-4-[2-[1-(phenylmethyl)-4-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 29 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:789126 HCAPLUS
DOCUMENT NUMBER: 130:38291
TITLE: Preparation and formulation of phthalimide derivatives as inhibitors of IgE and IL-5 production
INVENTOR(S): Kawasaki, Hisashi; Shinagawa, Yuko; Mimura, Takayuki
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9852919	A1	19981126	WO 1998-JP2217	19980520	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
JP 11035559	A2	19990209	JP 1998-153777	19980519	<--
JP 2921760	B2	19990719			
AU 9874491	A1	19981211	AU 1998-74491	19980520	<--

PRIORITY APPLN. INFO.: JP 1997-147174 19970521 <--
WO 1998-JP2217 19980520 <--

OTHER SOURCE(S): MARPAT 130:38291
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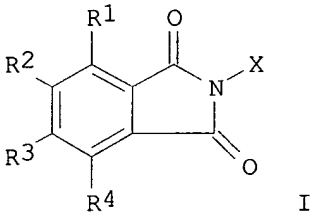

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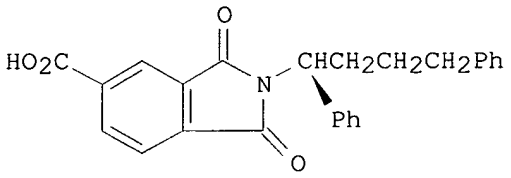


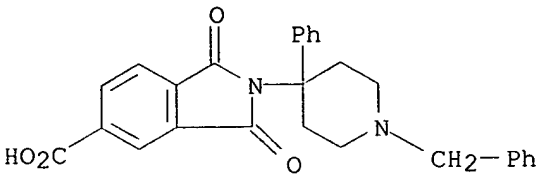
II

AB The title phthalimide derivs. I [R1, R3, and R4 represent each a hydrogen atom or the like; X = (B2)A(CH2)t(Y1)m(Z1)nCy; R2 represents a carboxyl group or the like; A represents a carbon atom or the like; B2 represents an optionally substituted aryl group or the like; Y1 represents an oxygen atom or the like; Z1 represents an alkylene group having 1 to 4 carbon atoms or the like; t is 0 or an integer of 1 to 4; m and n each independently represents 0 or 1; and Cy represents an optionally substituted aryl group or the like] are prepd. The title compd. II in vivo showed ED50 of 6 mg/kg against IgE prodn.

IT **216680-86-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phthalimide derivs. as inhibitors of IgE and IL-5 prodn.)

RN 216680-86-3 HCAPLUS

CN 1H-Isoindole-5-carboxylic acid, 2,3-dihydro-1,3-dioxo-2-[4-phenyl-1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

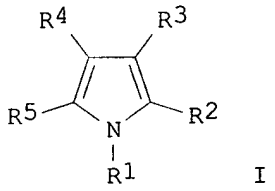


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 30 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:542762 HCAPLUS
DOCUMENT NUMBER: 129:161495
TITLE: Preparation of arylpyrroles as cytokine inhibitors
INVENTOR(S): De Laszlo, Stephen E.; Liverton, Nigel J.; Ponticello, Gerald S.; Selnick, Harold G.; Mantlo, Nathan B.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 56 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5792778	A	19980811	US 1996-694008	19960808 <--

OTHER SOURCE(S): MARPAT 129:161495
GI

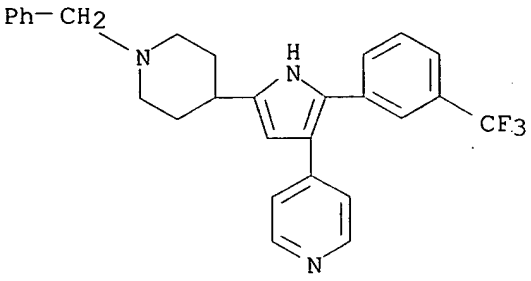


I

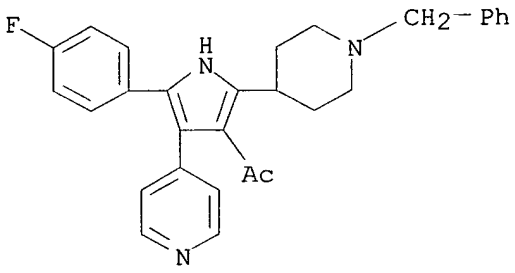
AB Title compds. [I; R1 = H, alkyl, heterocyclyl, aryl, etc.; R2 = alk(en)yl, alkynyl, heterocyclyl, etc.; R3 = H, halo, alkyl, heterocyclyl, etc.; R4 = (un)substituted heteroaryl; R5 = ZR; R = 1-3 of halo, alkyl, acyl, (hetero)aryl, etc.; Z = (hetero)arylene] were prepd. Thus, R5COCH2R4 (R4 = 4-pyridyl, R5 = 4-FC6H4) was .alpha.-alkylated by ClCH2COR2 (R2 = 1-benzyloxycarbonyl-4-piperidinyl)(prepn. each given) and the product cyclocondensed with NH4Ac to give, after redn., I (R1 = R3 = H, R2 = 1-methyl-4-piperidinyl, R4 = 4-pyridyl, R5 = 4-FC6H4). Data for biol. activity of I were given.

IT **188344-17-4P 188344-44-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpyrroles as cytokine inhibitors)

RN 188344-17-4 HCAPLUS
CN Pyridine, 4-[5-[1-(phenylmethyl)-4-piperidinyl]-2-[3-(trifluoromethyl)phenyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



RN 188344-44-7 HCAPLUS
CN Ethanone, 1-[5-(4-fluorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-4-(4-pyridinyl)-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 31 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:226813 HCAPLUS
DOCUMENT NUMBER: 128:282837
TITLE: Preparation of imidazoles as cytokine inhibitors
INVENTOR(S): Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi Qiang; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. 5,658,903.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739143	A	19980414	US 1996-764003	19961211 <--
US 5658903	A	19970819	US 1996-659102	19960603 <--
ZA 9604723	A	19970617	ZA 1996-4723	19960606 <--
ZA 9711092	A	19990722	ZA 1997-11092	19971210 <--
WO 9825619	A1	19980618	WO 1997-US23157	19971211 <--

W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

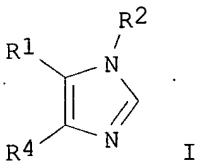
AU 9857033	A1	19980703	AU 1998-57033	19971211	<--
EP 961618	A1	19991208	EP 1997-953241	19971211	<--
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2001506239	T2	20010515	JP 1998-527045	19971211	<--
US 5869660	A	19990209	US 1998-12946	19980123	<--
US 6369068	B1	20020409	US 1999-319859	19990611	<--

PRIORITY APPLN. INFO.:

US 1995-473396	B2	19950607	<--
US 1996-636779	B2	19960419	<--
US 1996-659102	A2	19960603	<--
US 1996-32766P	P	19961211	<--
US 1996-764003	A	19961211	<--
WO 1997-US23157	W	19971211	<--

OTHER SOURCE(S): MARPAT 128:282837

GI



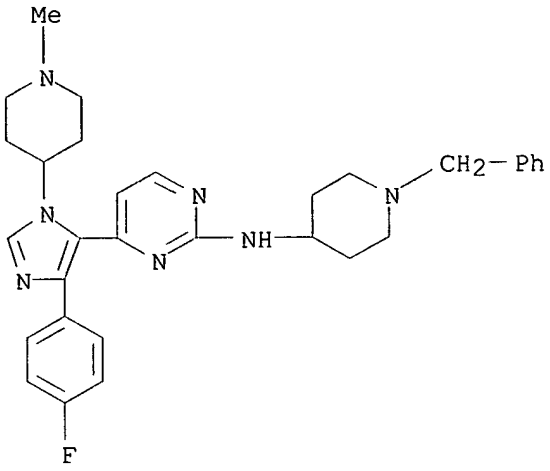
I

AB The title compds. [I; R1 = 4-pyridyl, pyrimidinyl, quinolinyl, etc.; R2 = heterocyclyl, C2-10 alkenyl, C3-7 cycloalkyl, etc.; R4 = (un)substituted Ph, 1-naphthyl, 2-naphthyl, heteroaryl], useful in treatment, e.g., inflammation and osteoporosis as cytokine inhibitors, were prepd. Thus, reaction of 4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-5-(2-methylsulfinyl-4-pyrimidinyl)imidazole (prepn. described) with PhCH2NH2 afforded 82% I [R1 = 2-benzylamino-4-pyrimidinyl; R2 = 1-methyl-4-piperinyl; R4 = 4-fluorophenyl] which showed IC50 of < 50 .mu.M in cytokine specific binding protein assay.

IT **186314-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of imidazoles as cytokine inhibitors)

RN 186314-81-8 HCAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

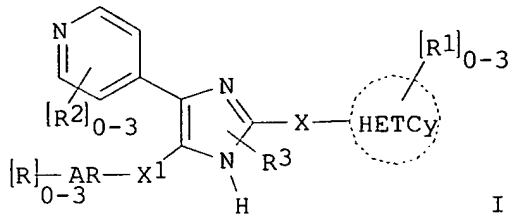


L38 ANSWER 32 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:118628 HCAPLUS
DOCUMENT NUMBER: 128:167421
TITLE: Preparation of substituted imidazoles having anti-cancer and cytokine inhibitory activity
INVENTOR(S): Selnick, Harold G.; Claremon, David A.; Liverton, Nigel J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 51 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

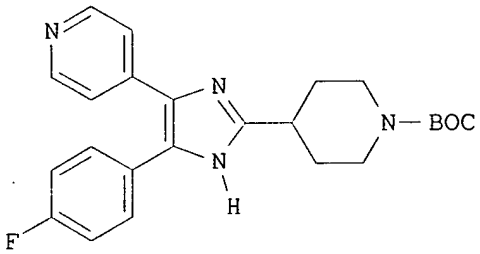
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5717100	A	19980210	US 1996-717955	19960923 <--
US 6083949	A	20000704	US 1998-13527	19980126 <--

PRIORITY APPLN. INFO.:
US 1995-5059P P 19951006 <--
US 1995-5063P P 19951006 <--
US 1996-717955 A2 19960923 <--

OTHER SOURCE(S): MARPAT 128:167421
GI



I



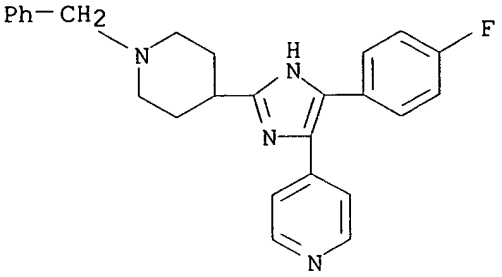
II

AB The title compds. [I; AR = 6-10 membered aryl; X, X1 = (CH2)mY(CH2)n (wherein n, m = 0-4; n + m = 0-6; Y = a direct bond, O, S(O)y, etc.; y = 0-2); HETCy = 4-6 membered non-arom. heterocyclyl contg. only N atom; R, R2 = halo, OH, CONH2, etc.; R1 = OH, CN, CF3, etc.; R3 = H, C1-6 alkyl, etc.], useful for treating cancer, cytokine mediated diseases, inflammation, osteoporosis, bone resorption and Crohn's disease, were prepd. Thus, treatment of 4-pyridylcarbinol tert-butyldimethylsilyl ether with BuLi/hexanes and (iPr)2NH in THF followed by addn. of 4-fluoro-N,O-dimethyl benzhydroxamide, and reaction of the resulting 1-(4-fluorophenyl)-2-hydroxy-2-pyridin-4-ylethanone tert-butyldimethylsilyl ether with N-tert-butoxycarbonyl-4-piperidinecarbaldehyde in the presence of CuOAc and NH4OAc in AcOH afforded the title compd. II. Compds. I are effective in the treatment of cancer at 0.01-100 mg/kg.

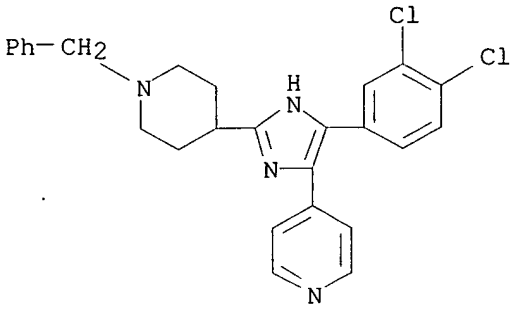
IT **189442-12-4P 189442-26-0P 189442-60-2P 189442-61-3P 189442-70-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted imidazoles having anti-cancer and cytokine inhibitory activity)

RN 189442-12-4 HCAPLUS

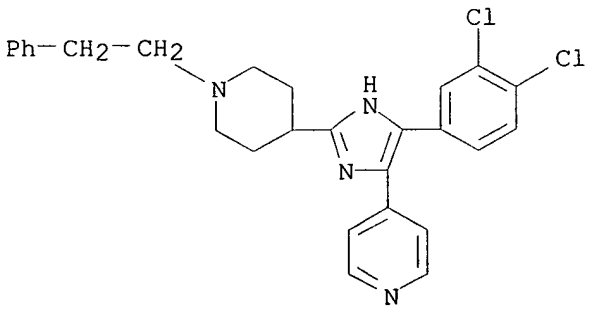
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



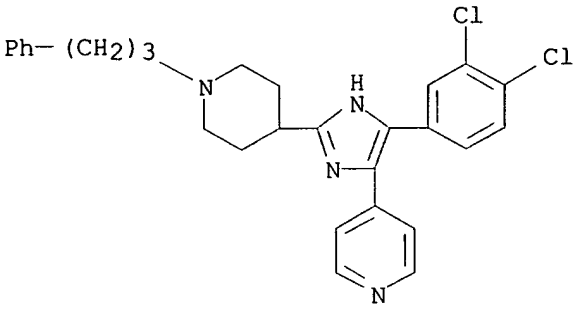
RN 189442-26-0 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



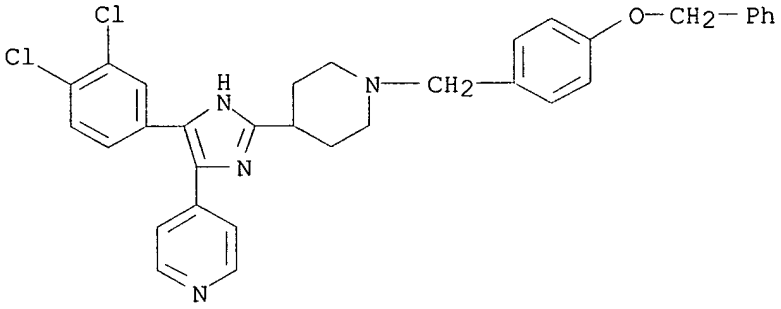
RN 189442-60-2 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(2-phenylethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-61-3 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(3-phenylpropyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-70-4 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-[[4-(phenylmethoxy)phenyl]methyl]-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 33 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:13957 HCAPLUS
DOCUMENT NUMBER: 128:88925
TITLE: Preparation of pyrimidinylimidazoles as cytokine inhibitors
INVENTOR(S): Liverton, Nigel J.; Butcher, John W.; Claremon, David A.; Bilodeau, Mark T.
PATENT ASSIGNEE(S): Merck + Co., Inc., USA; Liverton, Nigel J.; Butcher, John W.; Claremon, David A.; Bilodeau, Mark T.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9747618	A1	19971218	WO 1997-US9888	19970606 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2257200	AA	19971218	CA 1997-2257200	19970606 <--

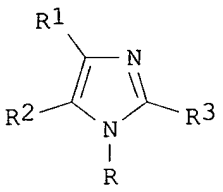
AU 9733809	A1	19980107	AU 1997-33809	19970606 <--
AU 708883	B2	19990812		
EP 906307	A1	19990407	EP 1997-929843	19970606 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000515125	T2	20001114	JP 1998-501723	19970606 <--

PRIORITY APPLN. INFO.:

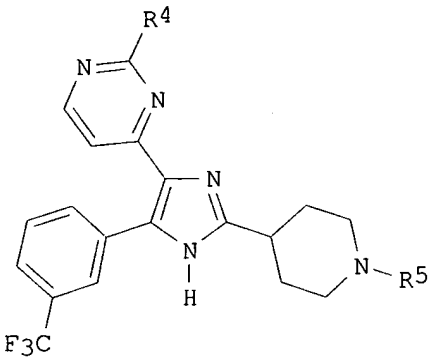
US 1996-19487P	P	19960610	<--
GB 1996-14190	A	19960705	<--
US 1996-23312P	P	19960731	<--
GB 1996-17897	A	19960828	<--
WO 1997-US9888	W	19970606	<--

OTHER SOURCE(S): MARPAT 128:88925

GI



I



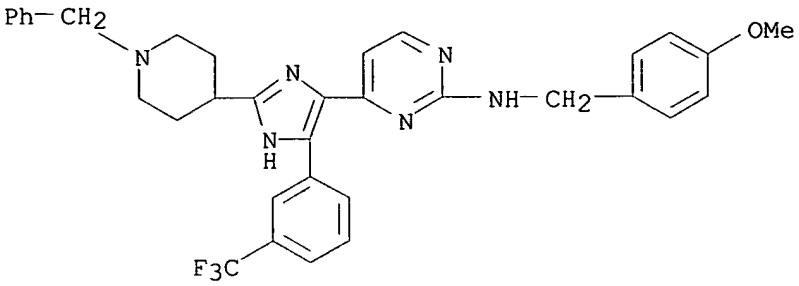
II

AB Title compds. [tautomeric or isomeric I; R = H, (cyclo)alkyl, alkoxy, alkanoyl; R1 = (un)substituted 4-pyrimidinyl; R2 = (CH2)mY(CH2)nR21; R3 = (CH2)mY(CH2)nR31; R21 = (un)substituted Ph; R31 = (un)substituted heterocyclyl; Y = bond, O, SO0-2, (alkyl)imino, CO, etc.; m,n = 0-4] were prepd. as cytokine inhibitors (no data). Thus, 1-(2-methylthio-4-pyrimidinyl)-(3-trifluoromethylphenyl)ethanone-1-oxime (prepn. given) was cyclocondensed with 1-tert-butoxycarbonylpiperidine-4-carboxaldehyde and the product converted in 2 steps to title compd. II (R4 = SO2Me, R5 = CO2CMe3) which was aminated by 4-(MeO)C6H4CH2NH2 and the product deprotected to give II (R4 = NHC6H4(OMe)-4, R5 = H).

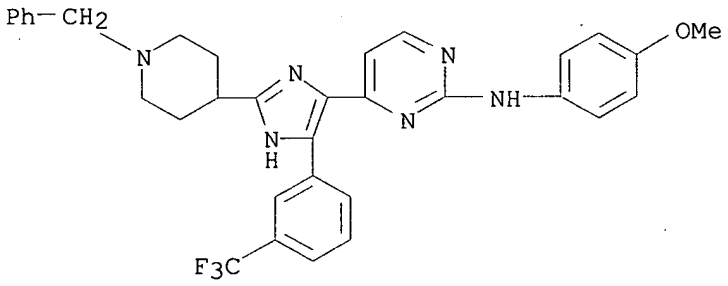
IT **200801-44-1P 200801-78-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidinylimidazoles as cytokine inhibitors)

RN 200801-44-1 HCAPLUS

CN 2-Pyrimidinamine, N-[(4-methoxyphenyl)methyl]-4-[2-[1-(phenylmethyl)-4-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 200801-78-1 HCAPLUS
CN 2-Pyrimidinamine, N-(4-methoxyphenyl)-4-[2-[1-(phenylmethyl)-4-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 34 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:650347 HCAPLUS
DOCUMENT NUMBER: 127:314828
TITLE: 1,4,5-Substituted imidazole compounds for treatment of CNS injuries to the brain
INVENTOR(S): Feuerstein, Giora Z.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Feuerstein, Giora Z.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735856	A1	19971002	WO 1997-US5820	19970324 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 889888	A1	19990113	EP 1997-917899	19970324 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2000507558	T2	20000620	JP 1997-534693	19970324 <--
US 6096739	A	20000801	US 1998-142877	19980918 <--
US 6387898	B1	20020514	US 2000-627940	20000728 <--
PRIORITY APPLN. INFO.:			US 1996-14137P P	19960325 <--
			WO 1997-US5820 W	19970324 <--

US 1998-142877 A3 19980918 <--

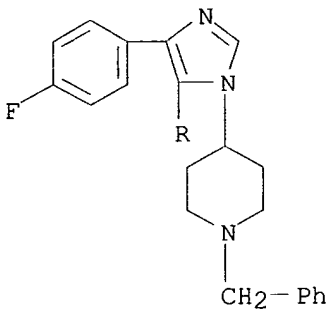
OTHER SOURCE(S): MARPAT 127:314828

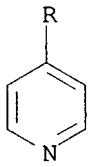
AB 1,4,5-Substituted imidazole compds. and compns. are used for the treatment of CNS injuries to the brain. The preferred method of inhibition is the the inhibition of the CSBP/p38/RK kinase pathway. Compds. of the invention were active (IC50<50 .mu.M) in a cytokine specific binding protein (CSBP) assay.

IT **165806-47-3 165806-49-5 186314-81-8**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(imidazole derivs. for treatment of CNS injuries to brain)

RN 165806-47-3 HCAPLUS

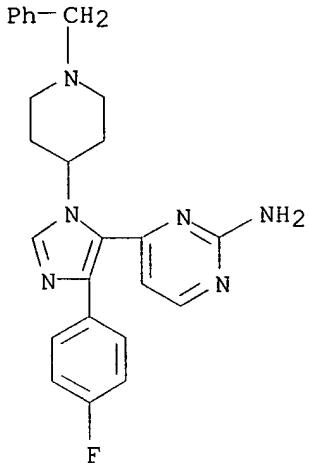
CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



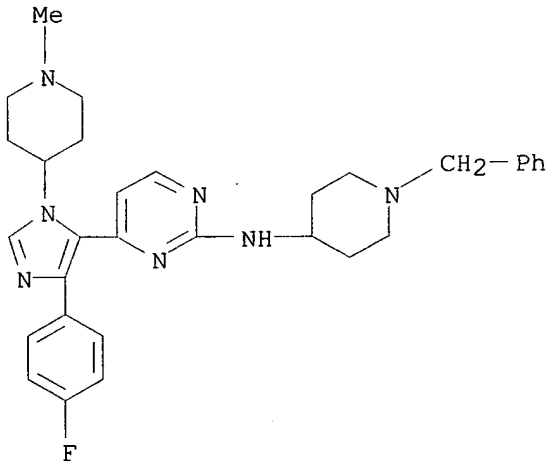


RN 165806-49-5 HCAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 186314-81-8 HCAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 35 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:636196 HCAPLUS
DOCUMENT NUMBER: 127:307383
TITLE: Pyridyl imidazole compounds, useful as cytokine inhibitors, and their compositions
INVENTOR(S): Adams, Jerry Leroy; Garigipati, Ravi Shanker; Boehm, Jeffrey Charles
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 369,964.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5670527	A	19970923	US 1995-473058	19950607	<--
EP 1227091	A2	20020731	EP 2002-76580	19940715	<--
EP 1227091	A3	20020807			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
EP 1227092	A2	20020731	EP 2002-76582	19940715	<--
EP 1227092	A3	20020807			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
EP 1229035	A1	20020807	EP 2002-76581	19940715	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
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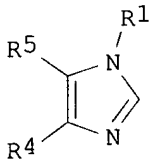
US 5969184	A	19991019	US 1997-854223	19970509	<--
US 6150557	A	20001121	US 1998-185059	19981103	<--
AU 9944782	A1	19991111	AU 1999-44782	19990827	<--

PRIORITY APPLN. INFO.:

US 1993-92733	B2	19930716	<--
US 1995-369964	B2	19950109	<--
EP 1994-923503	A3	19940715	<--
WO 1994-US7969	A2	19940715	<--
US 1995-473058	A3	19950607	<--
US 1997-854223	A3	19970509	<--
AU 1998-71850	A3	19980602	<--

OTHER SOURCE(S): MARPAT 127:307383

GI



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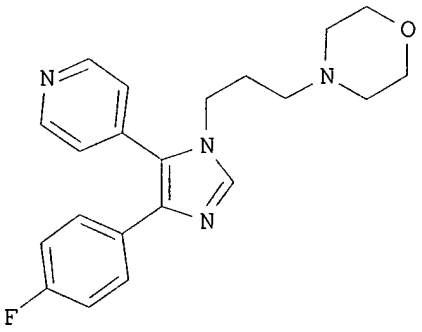


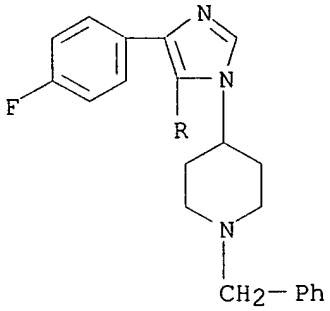
II

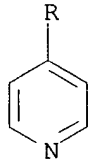
AB Novel 1,4,5-substituted imidazole compds. I [R1 = (un)substituted alk(en/yn)yl, cycloalkyl, aralkyl, heteroaryl, wide variety of functionalized sidechains; R4 = (un)substituted Ph, naphthyl, or heteroaryl; R5 = (un)substituted 4-pyridyl, pyrimidinyl, quinolyl, isoquinolinyl, quinazolin-4-yl, 1-imidazolyl, or 1-benzimidazolyl], and their compns. for use in therapy as cytokine inhibitors, are disclosed. Approx. 100 invention compds. and a variety of intermediates were prepd. For instance, (4-fluorophenyl)(p-tolylthio)methyl isocyanide and pyridine-4-carboxaldehyde [3-(4-morpholinyl)propyl]imine (prepns. given) were cyclocondensed in the presence of 1,5,7-triazabicyclo[4.4.0]dec-5-ene to give 51% title compd. II. The latter compd. was active in a radiocompetitive, cytokine-specific binding protein assay (no data).

IT **165806-47-3P**, 1-(1-Benzylpiperidin-4-yl)-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole **165806-49-5P**, 5-(2-Aminopyrimidin-4-yl)-4-(4-fluorophenyl)-1-(1-benzylpiperidin-4-yl)imidazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

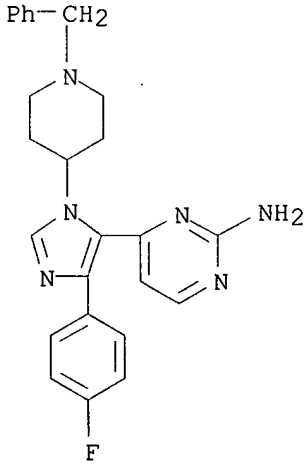
study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridylimidazoles and analogs as cytokine inhibitors)

RN 165806-47-3 HCAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)





RN 165806-49-5 HCAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 36 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:351075 HCAPLUS
DOCUMENT NUMBER: 126:317379
TITLE: Substituted imidazoles having anti-cancer and cytokine inhibitory activity

INVENTOR(S): Selnick, Harold G.; Claremon, David A.; Liverton, Nigel J.
PATENT ASSIGNEE(S): Merck and Co. Inc., USA; Selnick, Harold G.; Claremon, David A.; Liverton, Nigel J.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9712876	A1	19970410	WO 1996-US15880	19961002	<--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2234066	AA	19970410	CA 1996-2234066	19961002	<--
AU 9675143	A1	19970428	AU 1996-75143	19961002	<--
AU 702146	B2	19990211			
EP 854870	A1	19980729	EP 1996-937654	19961002	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1203590	A	19981230	CN 1996-198718	19961002	<--
JP 11514353	T2	19991207	JP 1996-514428	19961002	<--
IL 123950	A1	20010430	IL 1996-123950	19961002	<--
SK 282496	B6	20020205	SK 1998-435	19961002	<--
NO 9801528	A	19980605	NO 1998-1528	19980403	<--

PRIORITY APPLN. INFO.:			
US 1995-5059P	P	19951006	<--
US 1995-5063P	P	19951006	<--
GB 1996-2907	A	19960213	<--
GB 1996-2975	A	19960213	<--
WO 1996-US15880	W	19961002	<--

OTHER SOURCE(S): MARPAT 126:317379
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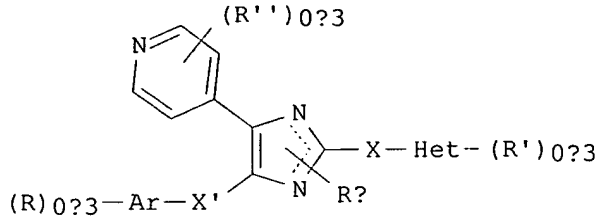

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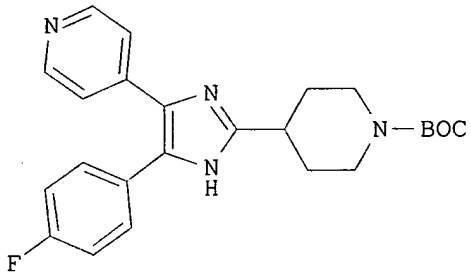


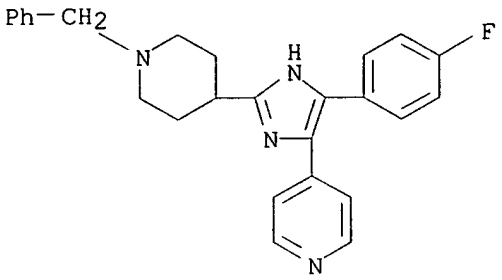
II

AB Compds. of formula I and their pharmaceutically acceptable salts are disclosed [wherein Ar = arom. group contg. 6-10 atoms; X, X' = (CH2)mY(CH2)n; m, n = 0-4; (m+n) = 0-6; Y = bond, O, S, SO, SO2, CO, OCO, COO, NH, CONH, etc.; Het = 4- to 10-membered non-arom. heterocycle contg. .gtoreq. 1 N atom plus 0-2 addn. N and 0-1 O or S atoms; Rx = H, (un)substituted alkyl, alkoxy, or alkanoyl; R, R'' = halo, OH, (un)substituted alkyl or NH2, CF3, SH, NO2, (hetero)aryl, etc.; R' = OH, (un)substituted alkyl, heterocyclyl, amino, (hetero)aryl, etc.]. A pharmaceutical compn. is also included, as are methods of treating cancer and cytokine-mediated diseases. A total of 27 synthetic examples are given, and approx. 50 invention compds. are described and/or claimed. For instance, 4-Pyr-CH2O-TBDMS [4-Pyr = 4-pyridyl, TBDMS = SiMe2Bu-tert] in THF was treated with LDA and then with 4-FC6H4CONMeOMe to give 4-Pyr-CH(O-TBDMS)COC6H4F-4. This compd. underwent cyclocondensation with N-(tert-butoxycarbonyl)piperidine-4-carboxaldehyde and NH4OAc in the presence of Cu(OAc)2 to give title compd. II. In an in vitro test for Ras kinase activity, I had IC50 values in the range of 0.001 mM to 1.5 mM (no specific data).

IT **189442-12-4P 189442-26-0P 189442-60-2P**
189442-61-3P 189442-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted imidazoles with anti-cancer and cytokine inhibitory activity)

RN 189442-12-4 HCAPLUS

CN Pyridine, 4-[5-(4-fluorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-26-0 HCAPLUS
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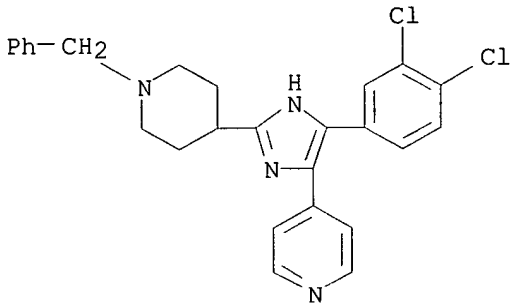
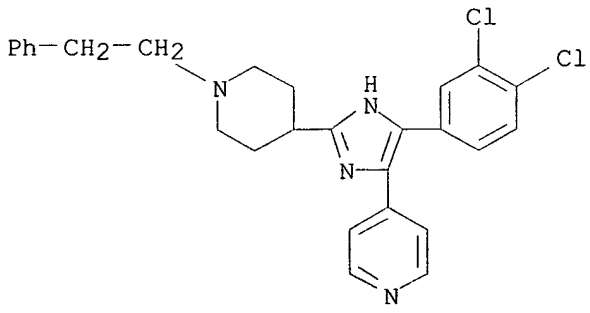
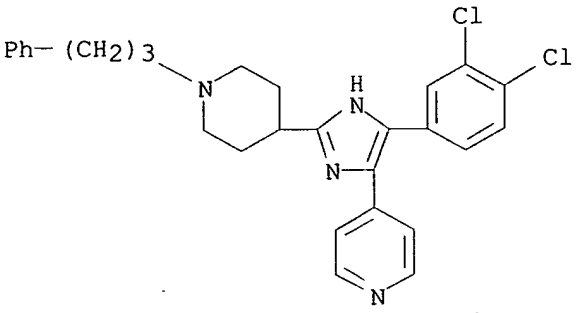


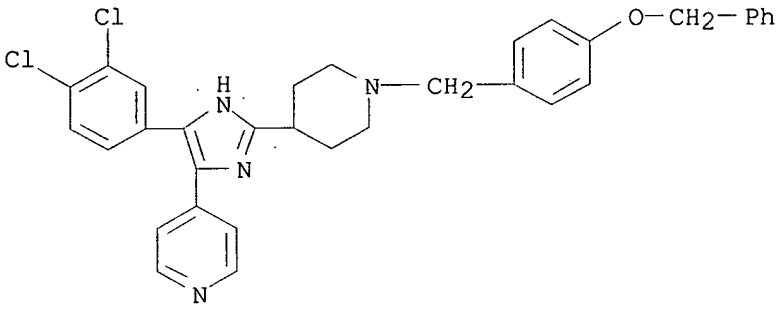
RN 189442-60-2 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(2-phenylethyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-61-3 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-(3-phenylpropyl)-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 189442-70-4 HCAPLUS
CN Pyridine, 4-[5-(3,4-dichlorophenyl)-2-[1-[[4-(phenylmethoxy)phenyl]methyl]-4-piperidinyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 37 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:244377 HCAPLUS
DOCUMENT NUMBER: 126:225212
TITLE: Preparation of arylpyrroles as cytokine inhibitors
INVENTOR(S): De Laszlo Stephen E.; Mantlo, Nathan B.; Ponticello, Gerald S.; Selnick, Harold G.; Liverton, Nigel J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; De Laszlo, Stephen E.; Mantlo, Nathan B.; Ponticello, Gerald S.; Selnick, Harold G.; Liverton, Nigel J.
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705877	A1	19970220	WO 1996-US12917	19960808 <--
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2228136	AA	19970220	CA 1996-2228136	19960808 <--

AU 9667689	A1	19970305	AU 1996-67689	19960808	<--
AU 705082	B2	19990513			
EP 863757	A1	19980916	EP 1996-928097	19960808	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 11510510	T2	19990914	JP 1996-508659	19960808	<--
JP 3294616	B2	20020624	JP 1997-508659	19960808	<--

PRIORITY APPLN. INFO.:
US 1995-2093P P 19950810 <--
US 1996-14181P P 19960326 <--
GB 1996-7254 A 19960404 <--
GB 1996-9264 A 19960502 <--
WO 1996-US12917 W 19960808 <--

OTHER SOURCE(S): MARPAT 126:225212

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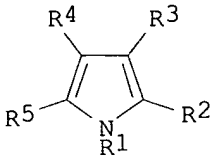

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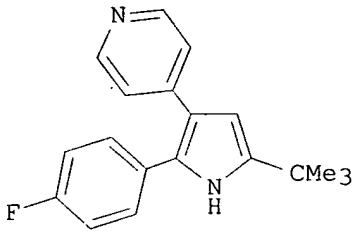
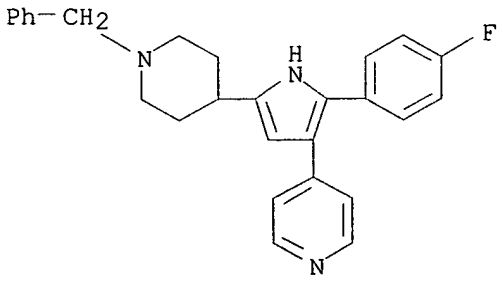


II

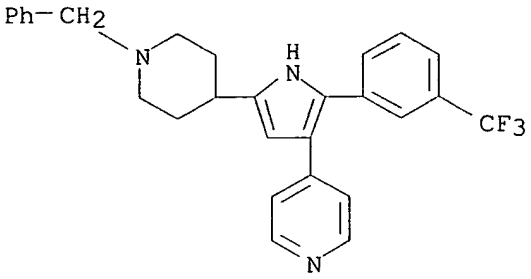
AB Title compds. [I; R1 = H, alkyl, aryl, heterocyclyl, etc.; R2 = (heteroatom-interrupted) alk(en)yl, heterocyclyl, etc.; R3 = H, cyano, alkyl, alkoxycarbonyl, etc.; R4 = (un)substituted heteroaryl; R5 = substituted (hetero)aryl] were prepd. Thus, pyridine-4-carboxaldehyde was condensed with MeCOCMe3 and 4-FC6H4CHO added to the unsatd. product to give 4-FC6H4COCHR4CH2COCMe3 (R4 = 4-pyridyl) which was cyclocondensed with NH4OAc to give title compd. II. Data for biol, activity of I were given.

IT **188343-89-7P 188344-17-4P 188344-44-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpyrroles as cytokine inhibitors)

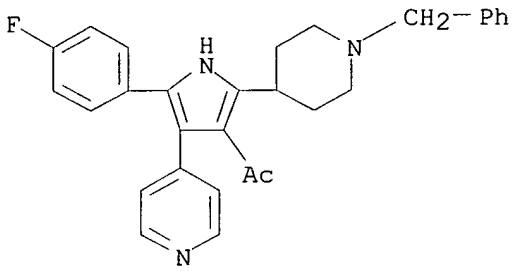
RN 188343-89-7 HCAPLUS
CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(phenylmethyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



RN 188344-17-4 HCAPLUS
CN Pyridine, 4-[5-[1-(phenylmethyl)-4-piperidinyl]-2-[3-(trifluoromethyl)phenyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



RN 188344-44-7 HCAPLUS
CN Ethanone, 1-[5-(4-fluorophenyl)-2-[1-(phenylmethyl)-4-piperidinyl]-4-(4-pyridinyl)-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 38 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:70354 HCAPLUS
DOCUMENT NUMBER: 126:171596
TITLE: Novel 1,4,5-substituted imidazole compounds useful as cytokine inhibitors
INVENTOR(S): Adams, Jerry L.; Sheldrake, Peter W.; Gallagher, Timothy F.; Garigipati, Ravishanker
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. Ser. No. 369, 964, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5593992	A	19970114	US 1995-472366	19950607 <--
EP 1227091	A2	20020731	EP 2002-76580	19940715 <--
EP 1227091	A3	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
EP 1227092	A2	20020731	EP 2002-76582	19940715 <--
EP 1227092	A3	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
EP 1229035	A1	20020807	EP 2002-76581	19940715 <--

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     IL 134322          A1   20010913       IL 1995-134322    19951219 <--
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     CA 2209938         AA   19960718       CA 1996-2209938   19960111 <--
     WO 9621452         A1   19960718       WO 1996-US546     19960111 <--
        W:  AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE,
            KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, PT,
            RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, US, UZ, VN
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
            IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
            NE, SN, TD, TG
     AU 9646572         A1   19960731       AU 1996-46572     19960111 <--
     AU 705207          B2   19990520
     BR 9606904         A    19971021       BR 1996-6904      19960111 <--
     EP 809499          A1   19971203       EP 1996-902151    19960111 <--
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI
     CN 1177299         A    19980325       CN 1996-192298    19960111 <--
     JP 10512555        T2   19981202       JP 1996-521862    19960111 <--
     JP 2002105047      A2   20020410       JP 2001-215404    19960111 <--
     US 5663334         A    19970902       US 1996-702250    19960821 <--
     US 6103936         A    20000815       US 1997-819619    19970317 <--
     FI 9702901         A    19970908       FI 1997-2901      19970708 <--
     NO 9703167         A    19970908       NO 1997-3167      19970708 <--
     AU 9944782         A1   19991111       AU 1999-44782     19990827 <--
     US 6222036         B1   20010424       US 2000-502763    20000211 <--
     NO 2001006225      A    19970908       NO 2001-6225      20011219 <--
     NO 2001006226      A    19970908       NO 2001-6226      20011219 <--
PRIORITY APPLN. INFO.:                  US 1993-92733     B2 19930716 <--
                                        US 1995-369964    A2 19950109 <--
                                        EP 1994-923503    A3 19940715 <--
                                        WO 1994-US7969    A2 19940715 <--
                                        US 1995-472366    A  19950607 <--
                                        IL 1995-116455    A3 19951219 <--
                                        JP 1996-521862    A3 19960111 <--
                                        WO 1996-US546     W  19960111 <--
                                        US 1996-702250    A3 19960821 <--
                                        US 1997-819619    A3 19970317 <--
                                        AU 1998-71850     A3 19980602 <--
OTHER SOURCE(S):        MARPAT 126:171596
GI
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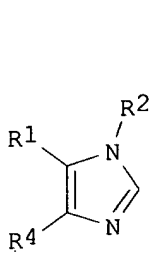

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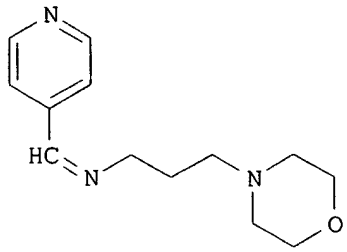

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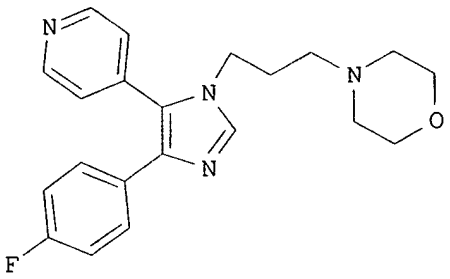


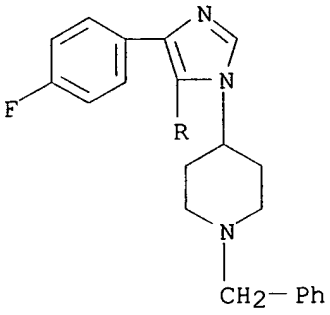
III

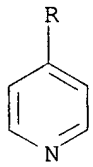
AB Novel 1,4,5-substituted imidazole compds. I and compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = (un)substituted 4-pyridyl, pyrimidinyl, quinolyl, isoquinolinyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl; R2 = N3, heterocyclyl, heterocyclylalkyl, alk(en/yn)yl, aryl, aralkyl, wide variety of N-contg. and O-contg. groups; R4 = (un)substituted Ph, naphthyl, heteroaryl]. The subset of I [R1 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph or naphthyl] is claimed. Examples include approx. 100 syntheses and several bioassays. For instance, cyclization of the isocyanide 4-FC6H4CH(N.tplbond.C)SC6H4Me-4 with the imine II (prepns. given), in CH2Cl2 in the presence of the base 1,5,7-triazabicyclo[4.4.0]dec-5-ene (TBD), gave 51% title compd. III. The latter compd. was active in an in vitro test for inhibition of LPS-induced prostaglandin endoperoxide synthase-2 (PGHS-2) protein expression in human monocytes.

IT **165806-47-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of imidazole derivs. as cytokine inhibitors)

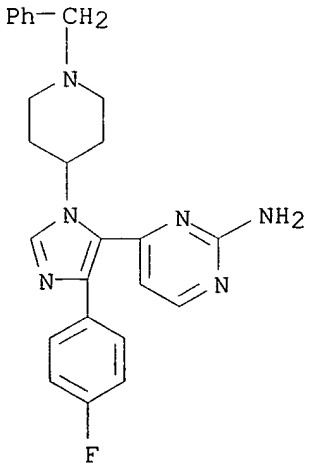
RN 165806-47-3 HCAPLUS

CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)





IT **165806-49-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as cytokine inhibitors)
RN 165806-49-5 HCAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



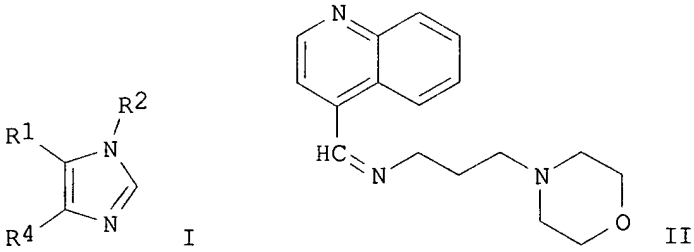
L38 ANSWER 39 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:70353 HCAPLUS
DOCUMENT NUMBER: 126:171595
TITLE: Imidazole compounds, use as cytokine inhibitors, and process of making them
INVENTOR(S): Adams, Jerry L.; Boehm, Jeffrey C.
PATENT ASSIGNEE(S): Adams, Jerry L., USA; Boehm, Jeffrey C.

SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 369, 964.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5593991	A	19970114	US 1995-476934	19950607	<--
EP 1227091	A2	20020731	EP 2002-76580	19940715	<--
EP 1227091	A3	20020807			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
EP 1227092	A2	20020731	EP 2002-76582	19940715	<--
EP 1227092	A3	20020807			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
EP 1229035	A1	20020807	EP 2002-76581	19940715	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
ZA 9600094	A	19960724	ZA 1996-94	19960108	<--
AU 9944782	A1	19991111	AU 1999-44782	19990827	<--

PRIORITY APPLN. INFO.:
US 1993-92733 B2 19930716 <--
US 1995-369964 A2 19950109 <--
EP 1994-923503 A3 19940715 <--
AU 1998-71850 A3 19980602 <--

OTHER SOURCE(S): MARPAT 126:171595
GI



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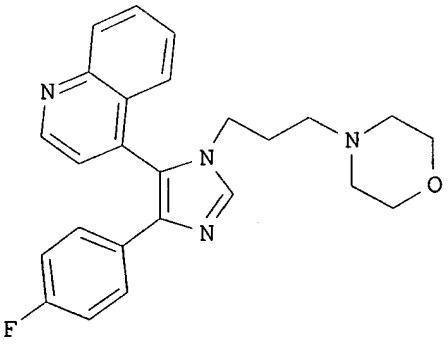


III

AB Novel 1,4,5-substituted imidazole compds. I and compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = (un)substituted

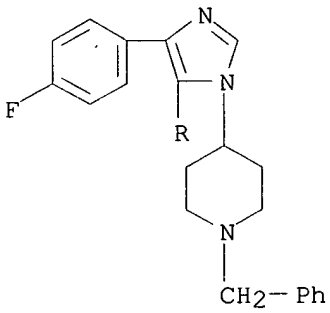
4-pyridyl, pyrimidinyl, quinolyl, isoquinolinyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl; R2 = N3, heterocyclyl, heterocyclylalkyl, alk(en/yn)yl, aryl, aralkyl, wide variety of N-contg. and O-contg. groups; R4 = (un)substituted Ph, naphthyl, heteroaryl]. The subset of I [R1 = (un)substituted quinolyl or isoquinolinyl; R4 = (un)substituted Ph or naphthyl] is claimed. Examples include approx. 100 syntheses and several bioassays. For instance, cyclization of the isocyanide 4-FC6H4CH(N.tplbond.C)SC6H4Me-4 with the imine II (prepns. given), in CH2Cl2 in the presence of the base 1,5,7-triazabicyclo[4.4.0]dec-5-ene (TBD), gave 48% title compd. III. Another compd. I, namely the analog of III with R1 = 4-pyridyl, was active in an in vitro test for inhibition of LPS-induced prostaglandin endoperoxide synthase-2 (PGHS-2) protein expression in human monocytes.

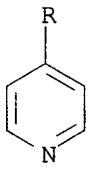
IT **165806-47-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of imidazole derivs. as cytokine inhibitors)

RN 165806-47-3 HCAPLUS

CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



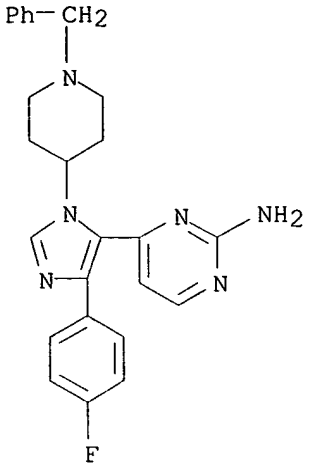


IT **165806-49-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as cytokine inhibitors)

RN 165806-49-5 HCAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 40 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:548569 HCAPLUS
DOCUMENT NUMBER: 125:212675
TITLE: 1,4,5-Trisubstituted imidazoles useful as cytokine suppressors
INVENTOR(S): Adams, Jerry Leroy; Gallagher, Timothy F.; Garigipati, Ravi Shanker; Boehm, Jeffrey Charles; Sisko, Joseph; Peng, Zhi-Qiang; Lee, John Cheung-Lun
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621452	A1	19960718	WO 1996-US546	19960111 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5593992	A	19970114	US 1995-472366	19950607 <--
ZA 9600094	A	19960724	ZA 1996-94	19960108 <--
AU 9646572	A1	19960731	AU 1996-46572	19960111 <--
AU 705207	B2	19990520		
BR 9606904	A	19971021	BR 1996-6904	19960111 <--
EP 809499	A1	19971203	EP 1996-902151	19960111 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
JP 10512555	T2	19981202	JP 1996-521862	19960111 <--
FI 9702901	A	19970908	FI 1997-2901	19970708 <--
NO 9703167	A	19970908	NO 1997-3167	19970708 <--
NO 2001006225	A	19970908	NO 2001-6225	20011219 <--
NO 2001006226	A	19970908	NO 2001-6226	20011219 <--

PRIORITY APPLN. INFO.: US 1995-369964 A2 19950109 <--

US 1995-472366 A2 19950607 <--
US 1993-92733 B2 19930716 <--
WO 1996-US546 W 19960111 <--

OTHER SOURCE(S): CASREACT 125:212675; MARPAT 125:212675

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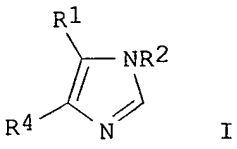


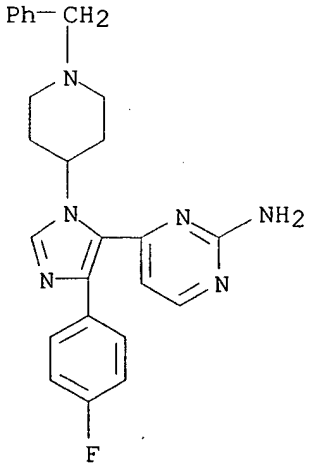
I

AB Imidazole derivs. I [R1 = (substituted) 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl; R2 = (substituted) C1-10 alkyl, C2-10 alkenyl or alkynyl, N3, cycloalkyl, heterocyclyl, etc.; R4 = (substituted) Ph, 1- or 2-naphthyl, heteroaryl] are prepd. which inhibit mitogen-activated protein kinase and the secretion of interleukin 1 and tumor necrosis factor and are useful in treatment of cytokine-mediated inflammatory diseases. Thus, 1-[3-(4-morpholinyl)propyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole (II) inhibited lipopolysaccharide-induced prostaglandin endoperoxide synthase-2 expression in human monocytes with a potency similar to that of dexamethasone. II was prepd. by condensation of pyridine-4-carboxaldehyde with 4-(3-aminopropyl)morpholine and reaction of the product with 4-fluorophenyl-tolylthiomethylisocyanide (prepd. from p-fluorobenzaldehyde, thiocresol, and HCONH2).

IT **165806-49-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(trisubstituted imidazoles useful as cytokine suppressors)

RN 165806-49-5 HCAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



L38 ANSWER 41 OF 44 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:86798 HCAPLUS
DOCUMENT NUMBER: 124:202282
TITLE: Preparation of dihydrobenzoxazinone derivatives as phospholipase A2 and interleukin 1 inhibitors
INVENTOR(S): Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Terasawa, Michio; Okamoto, Hitoshi
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07242662	A2	19950919	JP 1994-31631	19940301 <--

OTHER SOURCE(S): MARPAT 124:202282
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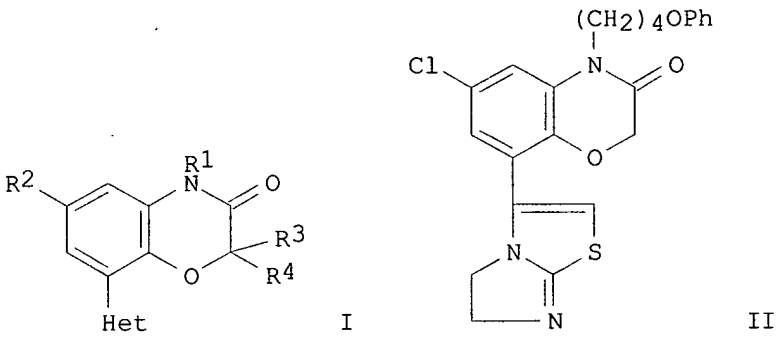
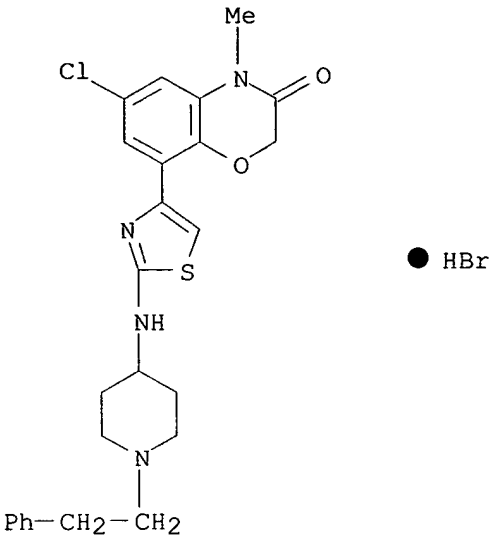


AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, Cl, etc.; R3, R4 = H, alkyl; Het = 5,6-dihydroimidazo[2,1-b]thiazol-3-yl, etc.] are prepd. The title compd. II.HBr at 10 .mu.M gave 40% in vitro inhibition of phospholipase A2.

IT **173084-19-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydrobenzoxazinone derivs. as phospholipase A2 and interleukin 1 inhibitors)

RN 173084-19-0 HCAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-4-methyl-8-[2-[[1-(2-phenylethyl)-4-piperidinyl]amino]-4-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



L38 ANSWER 42 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:995524 HCAPLUS
DOCUMENT NUMBER: 124:66587
TITLE: Pharmaceutical compositions for inactivating irritants in fluids containing antimicrobial agents
INVENTOR(S): Modak, Shanta M.; Sampath, Lester A.; Advani, Balram H.
PATENT ASSIGNEE(S): Trustees of Columbia University in the City of New York, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

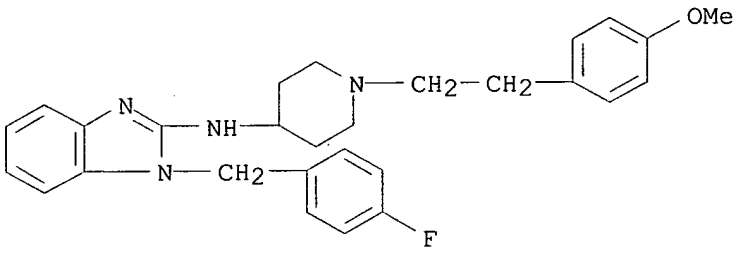
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9526134	A1	19951005	WO 1995-US3744	19950328	<--
W: AU, CA, JP, KR, MX, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2184828	AA	19951005	CA 1995-2184828	19950328	<--
AU 9521955	A1	19951017	AU 1995-21955	19950328	<--
AU 703926	B2	19990401			
ZA 9502521	A	19960315	ZA 1995-2521	19950328	<--
EP 788305	A1	19970813	EP 1995-914878	19950328	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 09510976	T2	19971104	JP 1995-525241	19950328	<--
US 5708023	A	19980113	US 1995-492080	19950628	<--
AU 9936897	A1	19990826	AU 1999-36897	19990630	<--

PRIORITY APPLN. INFO.: US 1994-218666 19940328 <--
AU 1995-21955 19950328 <--
WO 1995-US3744 19950328 <--

AB A compn. for applying to a surface such as skin or medical equipment comprises an irritant-inactivating agent such as an antimicrobial agent, and a substance which substantially prevents the irritant-inactivating agent from binding to the surface. A suspension of 12% corn starch and 4%

chlorhexidine gluconate stirred for 24h at 28-30.degree., then centrifuged, washed, and dried at 100.degree. for 2 h. The above mixt. was suspended in water at a concn. of 20% and tested against Staphylococcus aureus. The compn. inactivated the microbial pathogen within 2 min upon fluid contact.

IT **68844-77-9**, Astemizole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses) (pharmaceutical compns. for inactivating irritants in fluids contg. antimicrobial agents)
RN 68844-77-9 HCAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 43 OF 44 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:856174 HCAPLUS
DOCUMENT NUMBER: 123:246794
TITLE: Method for preventing or reducing photosensitivity and/or phototoxicity reactions to medications
INVENTOR(S): Klimstra, Paul Dale; Roniker, Barbara; Swabb, Edward Allen
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520387	A1	19950803	WO 1995-US213	19950112 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5668134	A	19970916	US 1994-188296	19940128 <--
AU 9515605	A1	19950815	AU 1995-15605	19950112 <--
EP 741570	A1	19961113	EP 1995-907337	19950112 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6172069	B1	20010109	US 1997-936572	19970924 <--

PRIORITY APPLN. INFO.:
US 1994-188296 A1 19940128 <--
WO 1995-US213 W 19950112 <--
US 1995-438002 B1 19950509 <--

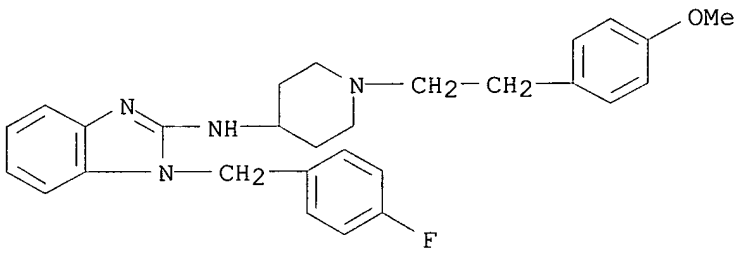
AB A method for preventing or reducing a photosensitivity and/or

phototoxicity reaction which may be caused by a once-per-day dose of a medication comprises administering the prescribed or suggested dose of the medication to the patient during the evening or early morning hours. The present invention also provides a method for treating an infection in a patient in a manner which prevents or reduces a photosensitivity and/or phototoxicity reaction which method comprises orally administering to the patient a once-a-day dose of 25-700 mg of lomefloxacin HCl during the evening or early morning hours. The present invention also provides an article of manuf. comprising: (1) a packaging material, and (2) a once-a-day medication which causes a photosensitivity and/or a phototoxicity reaction in a patient contained within said packaging material and wherein said packaging material comprises a label which indicates that such a reaction is prevented or reduced by administering the medication to the patient during the evening or early morning hours.

IT **68844-77-9**, Astemizole
RL: ADV (Adverse effect, including toxicity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(method for preventing or reducing photosensitivity and/or phototoxicity reactions to drugs in humans)

RN 68844-77-9 HCAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 44 OF 44 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:719167 HCAPLUS

DOCUMENT NUMBER: 123:112061

TITLE: Preparation of substituted imidazoles as cytokine inhibitors

INVENTOR(S): Adams, Jerry Leroy; Sheldrake, Peter William; Gallagher, Timothy Francis; Garigipati, Ravi Shanker; Bender, Paul Elliot; Boehm, Jeffrey Charles

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA

SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9502591	A1	19950126	WO 1994-US7969	19940715	<--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
IL 110296	A1	19991231	IL 1994-110296	19940712	<--

CA 2167311	AA	19950126	CA 1994-2167311	19940715	<--
AU 9473354	A1	19950213	AU 1994-73354	19940715	<--
AU 694130	B2	19980716			
ZA 9405193	A	19950420	ZA 1994-5193	19940715	<--
EP 708768	A1	19960501	EP 1994-923503	19940715	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1129447	A	19960821	CN 1994-193161	19940715	<--
CN 1050126	B	20000308			
BR 9407079	A	19960827	BR 1994-7079	19940715	<--
JP 09500137	T2	19970107	JP 1994-504744	19940715	<--
HU 75313	A2	19970528	HU 1995-3855	19940715	<--
RU 2140918	C1	19991110	RU 1996-103386	19940715	<--
PL 181705	B1	20010928	PL 1994-312614	19940715	<--
PL 181723	B1	20010928	PL 1994-332405	19940715	<--
PL 181694	B1	20010928	PL 1994-332406	19940715	<--
PL 181721	B1	20010928	PL 1994-332408	19940715	<--
SK 282342	B6	20020107	SK 1996-47	19940715	<--
EP 1227091	A2	20020731	EP 2002-76580	19940715	<--
EP 1227091	A3	20020807			
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EP 1227092	A2	20020731	EP 2002-76582	19940715	<--
EP 1227092	A3	20020807			
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FI 9600177	A	19960115	FI 1996-177	19960115	<--
NO 9600173	A	19960115	NO 1996-173	19960115	<--
US 6103936	A	20000815	US 1997-819619	19970317	<--
US 5969184	A	19991019	US 1997-854223	19970509	<--
AU 9871850	A1	19980827	AU 1998-71850	19980612	<--
AU 705568	B2	19990527			
CN 1218801	A	19990609	CN 1998-115012	19980617	<--
US 6150557	A	20001121	US 1998-185059	19981103	<--
AU 9944782	A1	19991111	AU 1999-44782	19990827	<--
US 6222036	B1	20010424	US 2000-502763	20000211	<--
NO 10837	A	19960115	NO 2001-837	20010219	<--
NO 10838	A	19960115	NO 2001-838	20010219	<--
NO 10839	A	19960115	NO 2001-839	20010219	<--

PRIORITY APPLN. INFO.:		US 1993-92733	A	19930716	<--
		EP 1994-923503	A3	19940715	<--
		WO 1994-US7969	W	19940715	<--
		US 1995-369964	B2	19950109	<--
		US 1995-472366	A1	19950607	<--
		US 1995-473058	A3	19950607	<--
		US 1996-702250	A3	19960821	<--
		US 1997-819619	A3	19970317	<--
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OTHER SOURCE(S): MARPAT 123:112061
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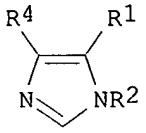

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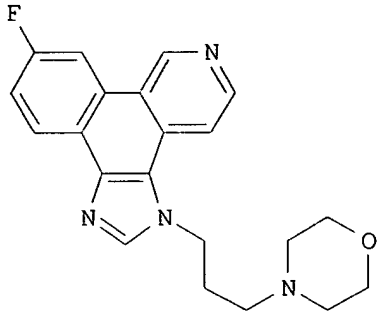


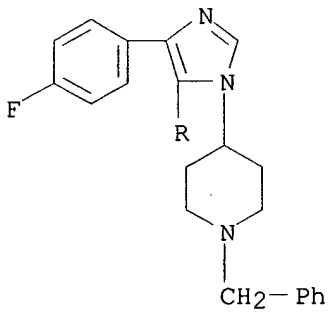
II

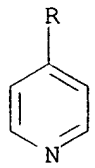
AB Title compds. [I; R1 = 4-pyridyl, pyrimidinyl, quinolyl, etc.; R2 = (cyclo)alkyl, heterocyclyl(alkyl), (hetero)aryl, etc.; R4 = Ph, naphthyl, heteroaryl, etc.] were prepd. as cytokine inhibitors (no data). Thus, 4-FC6H4CH(SR)NC (R = cresyl) was cyclocondensed with R1CH:NR2 (R1 = 4-pyridyl, R2 = 3-morpholinopropyl)(prepn. each given) to give title compd. II.

IT **165806-47-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted imidazoles as cytokine inhibitors)

RN 165806-47-3 HCAPLUS

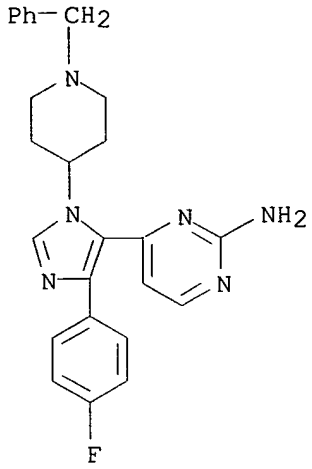
CN Pyridine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)





IT **165806-49-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted imidazoles as cytokine inhibitors)

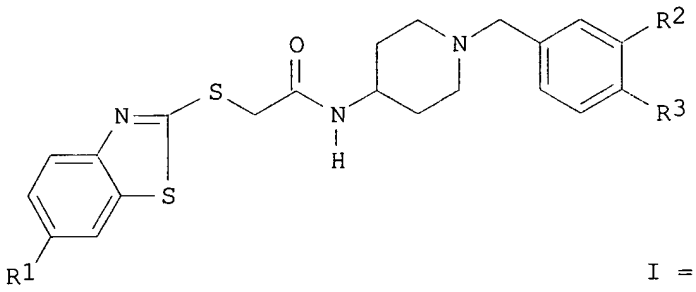
RN 165806-49-5 HCAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-[1-(phenylmethyl)-4-piperidinyl]-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



=> d ibib abs fcrdref 144 1-2

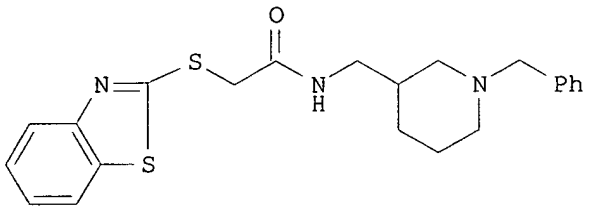
L44 ANSWER 1 OF 2 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 135:162081 CASREACT
TITLE: Discovery of a novel CCR3 selective antagonist
AUTHOR(S): Naya, A.; Kobayashi, K.; Ishikawa, M.; Ohwaki, K.; Saeki, T.; Noguchi, K.; Ohtake, N.
CORPORATE SOURCE: Banyu Tsukuba Research Institute, Tsukuba, Ibaraki, 300-2611, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(9), 1219-1223
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I = R^1=R^2=H

III = R^1=NH_2, R^2=Cl

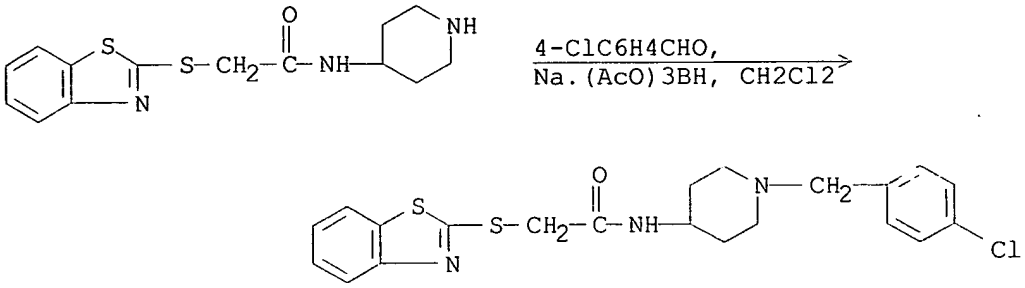


II

AB In searching for a novel CCR3 receptor antagonist, we designed a library that included a variety of carboxamide derivs. based on the structure of our potent antagonists for human CCR1 and CCR3 receptors, and screened the new compds. for inhibitory activity against 125I-Eotaxin binding to human CCR3 receptors expressed in CHO cells. Among them, two 2-(benzothiazolethio)acetamide derivs. (I and II) showed binding affinities with IC50 values of 750 and 1000 nM, resp., for human CCR3 receptors. I and II also possessed weak binding affinities for human CCR1 receptors. We selected I as a lead compd. for derivatization to improve in vitro potency and selectivity for CCR3 over CCR1 receptors. Derivatization of I by incorporating substituents into each benzene ring of the benzothiazole and piperidine side chain resulted in the discovery of a compd. (III) exhibiting 820-fold selectivity for CCR3 receptors (IC50=2.3 nM) over CCR1 receptors (IC50=1900 nM). III also showed potent

functional antagonist activity for inhibiting Eotaxin (IC50=27 nM)- or RANTES (IC50=13 nM)-induced Ca2+ increases in eosinophils.

RX(1) OF 9



90%

REF: Bioorganic & Medicinal Chemistry Letters, 11(9), 1219-1223; 2001

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

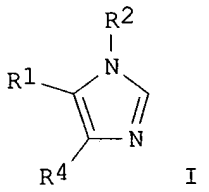
L44 ANSWER 2 OF 2 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:295821 CASREACT
TITLE: Imidazole compounds useful as **cytokine** inhibitors.
INVENTOR(S): Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 636,779, fabandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6218537	B1	20010417	US 1998-973594	19980513
ZA 9604723	A	19970617	ZA 1996-4723	19960606
WO 9640143	A1	19961219	WO 1996-US10039	19960607

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1995-473396 19950607
US 1996-636779 19960419
WO 1996-US10039 19960607

OTHER SOURCE(S): MARPAT 134:295821
GI



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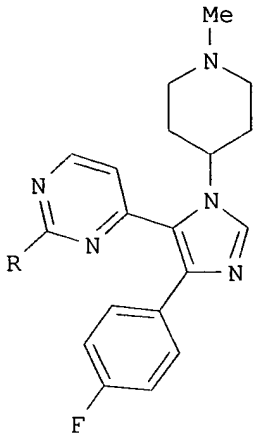
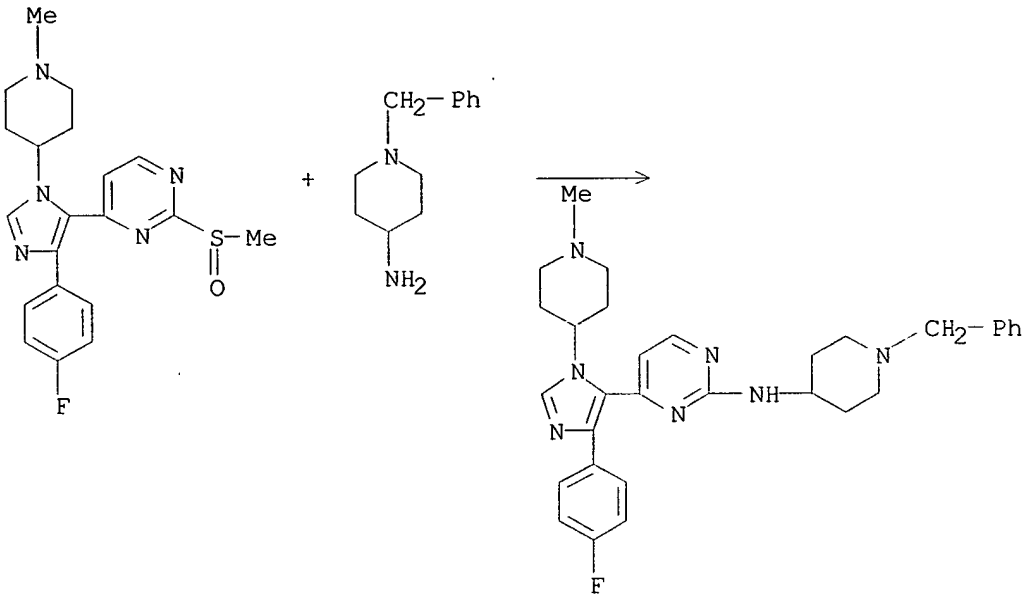


II

AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as **cytokine** inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of **cytokine** -mediated diseases, particularly those mediated by CSBP/RK/p38 kinase, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].

RX(17) OF 55



59%

REF: U.S., 6218537, 17 Apr 2001

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs fcrdref l46 1-28

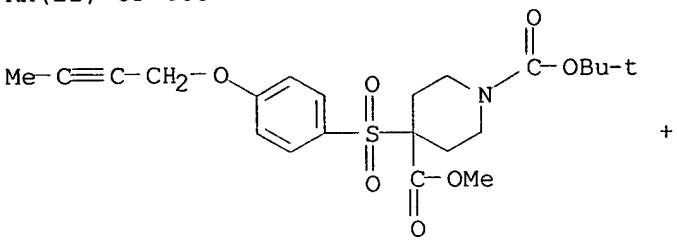
L46 ANSWER 1 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:125087 CASREACT
TITLE: Preparation of .alpha.-sulfonylhydroxamic acid derivatives from sulfonyl fluorides and carbonyl compounds in the presence of metal hydrides or amides and their use as TACE **inhibitors**.
INVENTOR(S): Sandanayaka, Vincent P.; Zask, Arie; Venkatesan, Aranapakam M.; Baker, Jannie L.; Krishnan, Lalitha; Megati, Sreenivasulu; Zeldis, Joseph
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099035	A1	20020725	US 2001-769107	20010124

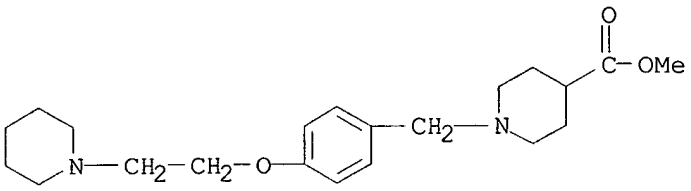
OTHER SOURCE(S): MARPAT 137:125087

AB ZCOCR1R2SO2R3 [Z = H, OH, NYOX, OR5, NR5R6; X = H, alkyl, PhCH2, hydroxyethyl, Me3CMe2Si, Me3Si, tetrahydropyranyl; Y = H, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, cycloheteroalkyl; R1, R2 = H, (substituted) aryl, heteroaryl, cycloalkyl, cycloheteroalkyl; R3 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl], were prepd. by reaction of R31SO2F (R31 as for R3 above, except that it does not contain a group that can form an anion under basic conditions) with ZCOCHR1R2 (variables as above) in the presence of a metal hydride or amide base in an ether solvent at -78.degree. to 30.degree.. Thus, a soln. of LDA in THF at -78.degree. was treated with Me 1-tert-butoxycarbonylpiperidine-4-carboxylate (prepn. given) in THF and then with 4-but-2-ynyloxybenzenesulfonyl fluoride (prepn. given) followed by stirring at room temp. to give 4-(4-but-2-ynyloxybenzenesulfonyl)piperidine-1,2-dicarboxylic acid tert-Bu ester Me ester. The latter was sapond. with LiOH (100%) and the acid was treated with (COCl)2 and NH2OH.HCl to give 61% hydroxamate. Stirring of the latter with aq. HCl in CH2Cl2/dioxane to give 4-[[4-(2-butynyloxy)phenyl]sulfonyl]-N-hydroxy-4-piperidinecarboxamide hydrochloride.

RX(22) OF 403



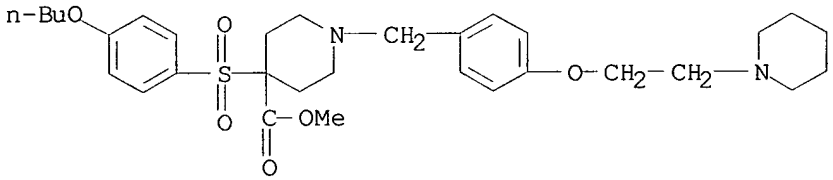
(step 2)



(step 1)

RX(22) OF 403

1. LiN(Pr-i)2, Hexane, THF
3. NH4Cl, Water



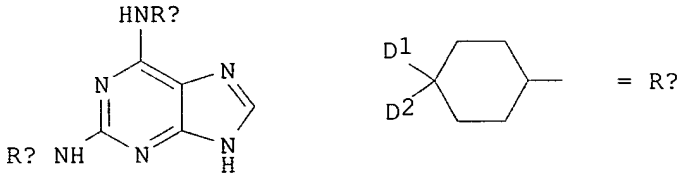
REF: U.S. Pat. Appl. Publ., 2002099035, 25 Jul 2002

L46 ANSWER 2 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:78809 CASREACT
TITLE: Method of preparation of novel purine derivatives and their use as antifungal medicines
INVENTOR(S): Bordon-Pallier, Florence; Haesslein, Jean-Luc
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051843	A1	20020704	WO 2001-FR4051	20011219

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK,

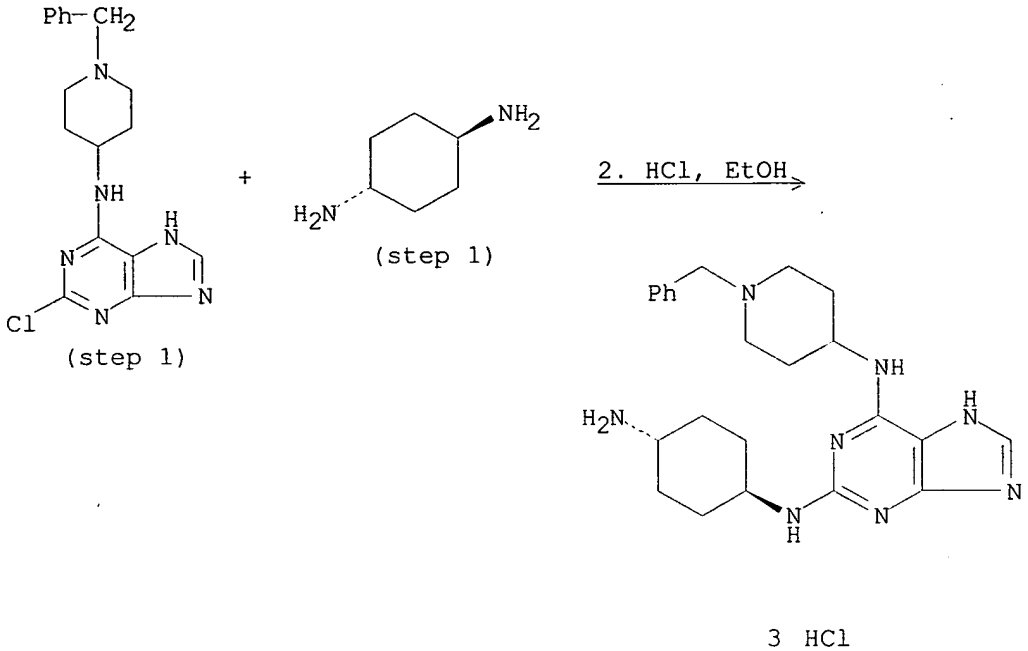
LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI,
SK, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
FR 2818642 A1 20020628 FR 2000-17009 20001226
PRIORITY APPLN. INFO.: FR 2000-17009 20001226
OTHER SOURCE(S): MARPAT 137:78809
GI



I

AB The invention concerns novel purine products I [Rx = (Z)NR1; Z = CH2, SO2, CO, CO2, CONH, (CH2)2-NR6; n = 0, 1; R1 = H, Ph, CH2Ph, pyridyl, alkyl, piperidinyl (optionally substituted); Ry = (un)substituted Ph, Rz; D1, D2 = H, (un)substituted NH2], in all the isomeric forms and pharmaceutically acceptable salts, for use as antifungal medicines. Thus, trans-N2-(4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)-9H-purin-6-amine (I; Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was prepd. from 2,6-dichloropurine via amination with 3,4-dichloroaniline in BuOH followed by fusion with trans-1,4-diaminocyclohexane at 70.degree.. I (Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was shown to be an active **inhibitor** of CIV-CDK (CIV1) [IC50 = 2.9 .mu.M] and Candia albicans [CMI = 25 .mu.g/mL].

RX(7) OF 57



3 HCl

REF: PCT Int. Appl., 2002051843, 04 Jul 2002
NOTE: 1st stage: thermal (140.degree., 4 h)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:134793 CASREACT
TITLE: Preparation of benzylpiperidine derivatives as serotonin reuptake **inhibitors**
INVENTOR(S): Kodo, Toru; Masumoto, Shuji; Koyama, Koji; Kinomura, Naoya
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006231	A1	20020124	WO 2001-JP6195	20010717

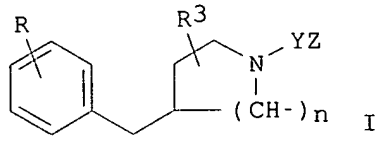
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-216967 20000718

OTHER SOURCE(S): MARPAT 136:134793

GI



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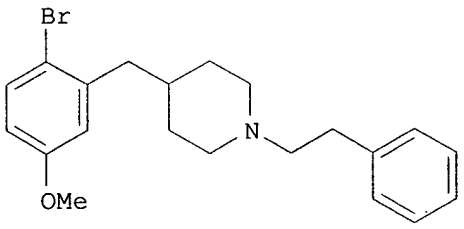
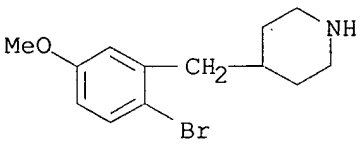


II

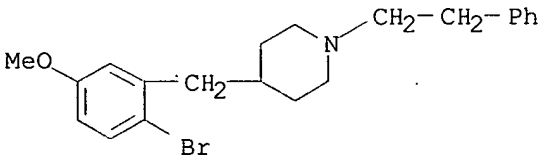
AB Title compds. [I; R = H, halogeno, alkyl, OH, alkoxy; R1 = H; Y = alkylene; Z = H, cycloalkyl, aryl; n = 1, 2, 3;], prodrugs thereof, and pharmaceutically acceptable salts of both are prepd. as serotonin reuptake **inhibitors** for treatment of sleep disorder, high blood pressure, obesity, etc. Thus, the title compd. II was prepd. from 4-piperidine hydrochloride, 2-bromo-5-methoxytoluene, and phenethyl bromide and II was in vitro tested for citalopram and 8-OH-DPAT binding inhibition effects.

RX(1) OF 34



1. NaOH, Water
2. PhCH2CH2Br, K2CO3, MeCN, KI

HCl
(step 1)



58%

REF: PCT Int. Appl., 2002006231, 24 Jan 2002

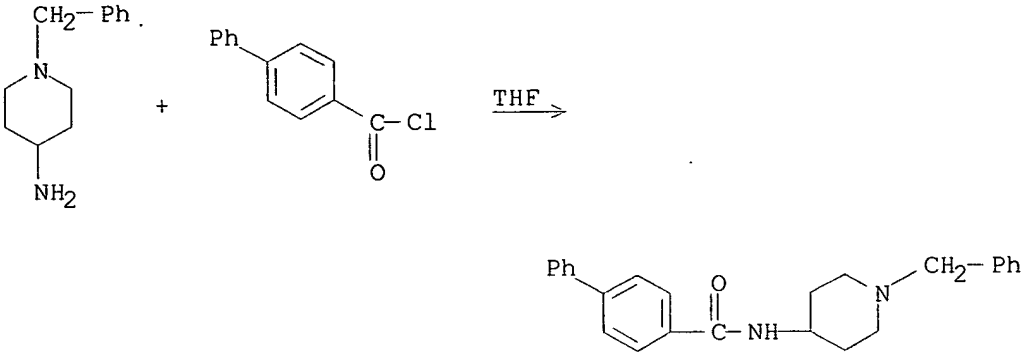
NOTE: reflux, 8, under nitrogen

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

L46 ANSWER 4 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:266639 CASREACT
TITLE: The first potent and selective **inhibitors** of the glycine transporter type 2
AUTHOR(S): Caulfield, Wilson L.; Collie, Iain T.; Dickins, Rachel S.; Epemolu, Ola; McGuire, Ross; Hill, David R.; McVey, Gillian; Morphy, J. Richard; Rankovic, Zoran; Sundaram, Hardy
CORPORATE SOURCE: Lead Discovery Unit, Organon Laboratories Ltd., Newhouse, ML1 5SH, UK
SOURCE: Journal of Medicinal Chemistry (2001), 44(17), 2679-2682
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Glycine is one of the major inhibitory neurotransmitters in the spinal cord and brain stem of vertebrates. The inhibitory actions of glycine are mediated by the strychnine-sensitive glycine receptor , a ligand-gated chloride channel distributed throughout the spinal cord and brain stem. Glycine is also known to potentate the action of glutamate acting as an essential co-agonist on postsynaptic N-methyl-d- aspartate (NMDA) receptors. Synaptic levels of glycine are believed to be controlled by high-affinity glycine transporters. These transporters are members of a large family of sodium/chloride-dependent transporters, which are composed of single oligomeric proteins contg. 12 hydrophobic membrane-spanning domains. There is evidence that glycine-mediated inhibition produces muscle relaxation and blockade of this inhibition produces convulsions. Therefore, we postulated that modulators of endogenous levels of glycine might provide skeletal muscle relaxation. A significant amt. of data has accumulated over recent years, indicating that glycine also has an important role in the modulation of nociceptive pathways. Thus, it was anticipated that an increase in synaptic levels of endogenous glycine by a selective inhibition of the GlyT-2 transporter in the spinal cord may offer a unique approach for developing a novel muscle relaxant, anesthetic, and/or analgesic reagent, suitable for use during surgical anesthesia. Due to the discrete localization of both ssGlyR and the GlyT-2 transporter within the spinal cord and brain stem, a glycine modulator might not be expected to lead to serious CNS side effects that are characteristic for currently used .mu.-opioid analgesics. Since testing of this hypothesis has been hampered by the lack of a suitable GlyT-2 **inhibitor**, we sought a potent and selective **inhibitor** of the transporter that would enable us to conduct proof-of-principle studies. In summary, high-throughput screening of Organon's compd. collection provided an attractive drug-like GlyT-2 **inhibitor** suitable for high-throughput synthesis. A detailed study of the SAR and rapid hit optimization were achieved through synthesis of a soln.-phase 2D library. This led to identification of 4-benzyloxy-3,5-dimethoxy-N-[(1-di methylaminocyclopentyl)methyl]benzamide , the first potent and selective GlyT-2 **inhibitor**.

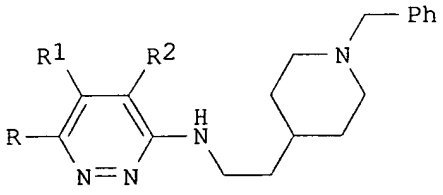
RX(101) OF 357



REF: Journal of Medicinal Chemistry, 44(17), 2679-2682; 2001
NOTE: soln.-phase combinatorial library synthesis

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 5 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:257207 CASREACT
TITLE: Design, Synthesis, and Structure-Activity Relationships of a Series of 3-[2-(1-Benzylpiperidin-4-yl)ethylamino]pyridazine Derivatives as Acetylcholinesterase **Inhibitors**
AUTHOR(S): Contreras, Jean-Marie; Parrot, Isabelle; Sippl, Wolfgang; Rival, Yveline M.; Wermuth, Camille G.
CORPORATE SOURCE: Laboratoire de Pharmacochimie de la Communication Cellulaire, UMR 7081 du CNRS Universite Louis Pasteur Faculte de Pharmacie, Illkirch, 67401, Fr.
SOURCE: Journal of Medicinal Chemistry (2001), 44(17), 2707-2718
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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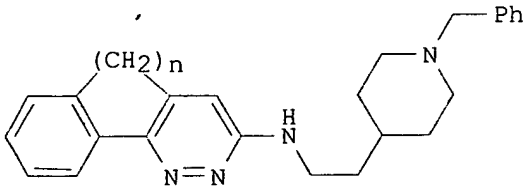
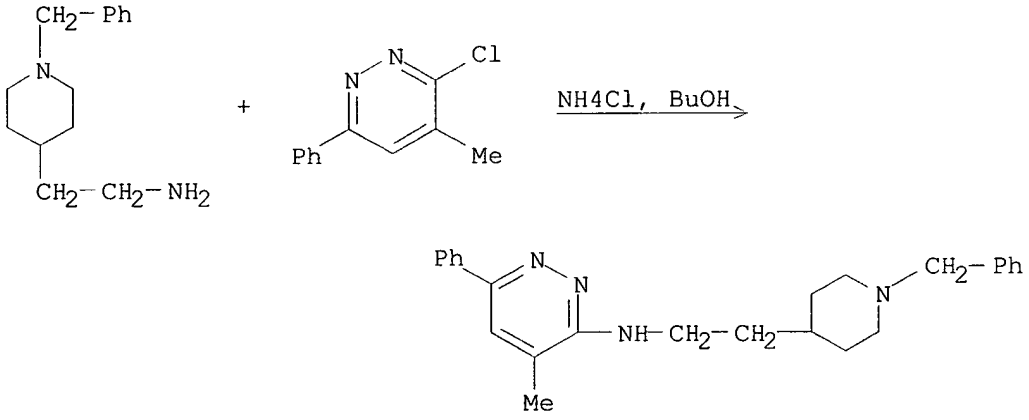


III

AB Starting from 3-[2-(1-benzylpiperidin-4-yl)ethylamino]-6-phenylpyridazine (I), a series of pyridazine analogs, e.g. the [(piperidinylethyl)amino]pyridazines II [R = Ph, R1, R2 = H, Me, Et, Pr, Me2CH; R1 = R2 = H, R = H, Ph, Cl, MeO, 2-MeC6H4, 2-EtC6H4, 2-ClC6H4, 2-naphthyl, 3-(AcNH)C6H4, 3-AcC6H4, 4-FC6H4, 2-thienyl, 3-pyridinyl] and the tricyclic phenylpyridazines III (n = 0, 1, 2, 3) were prepd. and their AChE inhibiting structure-activity relationships were detd. Structural modifications were achieved on four different parts of I and showed that introduction of a lipophilic environment at C-5 of the pyridazine ring was favorable for AChE-inhibitory activity and AChE/BuChE selectivity, that substitution and various replacements of the C-6 Ph group are possible and led to equiv. or slightly more active derivs., and that isosteric replacements or modifications of the benzylpiperidine moiety were detrimental to the activity. III (n = 1) was the most potent **inhibitor** with an IC50 of 10 nM on elec. eel AChE. Compared to I, this represents a 12-fold increase in potency. Moreover, II (R = Ph, R1 = Me, R2 = H), which showed an IC50 of 21 nM, was 100-times more selective for human AChE (human BuChE/AChE ratio of 24) than the ref. compd. tacrine.

RX(18) OF 104



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REF: Journal of Medicinal Chemistry, 44(17), 2707-2718; 2001

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 6 OF 28 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 135:13854 CASREACT

TITLE: Pharmacophore-based discovery, synthesis, and biological evaluation of 4-phenyl-1-arylalkyl piperidines as dopamine transporter **inhibitors**

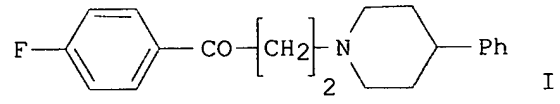
AUTHOR(S): Sakamuri, S.; Enyedy, I. J.; Kozikowski, A. P.; Zaman, W. A.; Johnson, K. M.; Wang, S.

CORPORATE SOURCE: Drug Discovery Program, Georgetown University Medical Center, Washington, DC, 20007-2197, USA

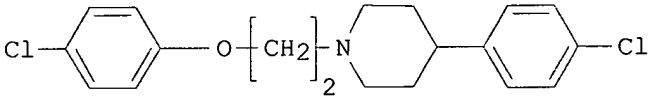
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 495-500
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



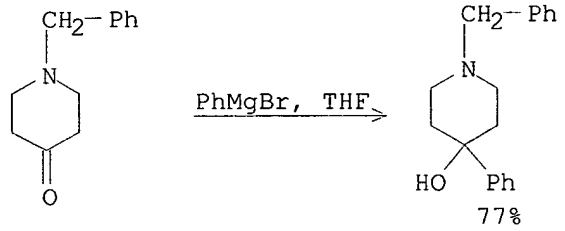
I



II

AB Pharmacophore-based discovery, synthesis, and structure-activity relation (SAR) of a series of 4-phenyl-1-arylalkyl piperidines are disclosed. These compds. have been evaluated for their ability to inhibit reuptake of dopamine (DA) into striatal nerve endings (synaptosomes). I and the most potent analog II were found to have significant functional antagonism. Pharmacophore-based discovery and synthesis of a series of 4-phenyl-1-arylalkylpiperidines are discussed. These compds. were evaluated for their ability to inhibit uptake of dopamine (DA) into striatal nerve endings (synaptosomes). Their structure-activity relation and functional antagonism studies are reported.

RX(2) OF 42



REF: Bioorganic & Medicinal Chemistry Letters, 11(4), 495-500; 2001

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

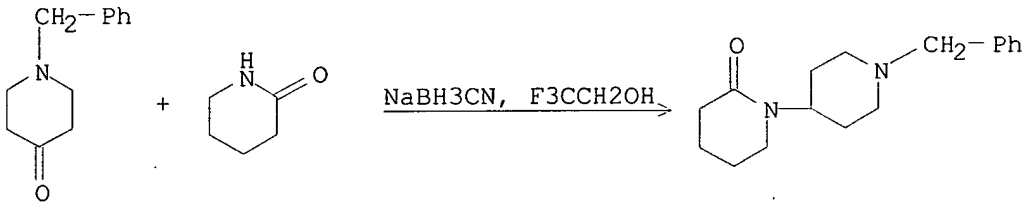
L46 ANSWER 7 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:5510 CASREACT
TITLE: Synthesis of substituted 4(Z)-(methoxyimino)pentyl-1-piperidines as dual NK1/NK2 **inhibitors**
AUTHOR(S): Ting, P. C.; Lee, J. F.; Anthes, J. C.; Shih, N.-Y.; Piwinski, J. J.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-1300, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 491-494
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of 5-[(3,5-bis(trifluoromethyl)phenyl)methoxy]-3-(3,4-dichlorophenyl)-4(Z)-(methoxyimino)pentyl-1-piperidines, e.g. I and II, were prepd. the their NK1 and NK2 receptor activity was evaluated. Compds. I and II were among 5 of the most potent **inhibitors**. A series of 4(Z)-(methoxyimino)pentyl-1-piperidines was prepd., and their biol. activity as dual NK1/NK2 receptor antagonists detd.

RX(34) OF 119



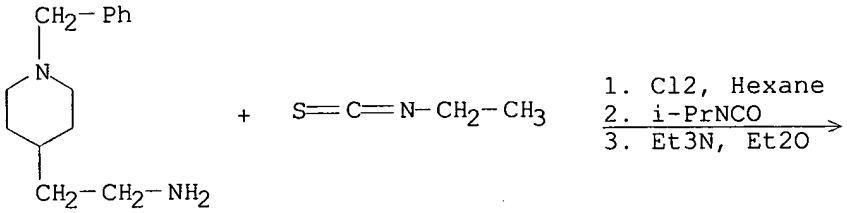
REF: Bioorganic & Medicinal Chemistry Letters, 11(4), 491-494; 2001
NOTE: mol. sieves used

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 8 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:193381 CASREACT
TITLE: N-Benzylpiperidine derivatives of 1,2,4-thiadiazolidinone as new acetylcholinesterase **inhibitors**
AUTHOR(S): Martinez, Ana; Fernandez, Enrique; Castro, Ana; Conde, Santiago; Rodriguez-Franco, Isabel; Banos, Josep-Eladi; Badia, Albert
CORPORATE SOURCE: Instituto de Quimica Medica (C.S.I.C.), Madrid, 28006, Spain
SOURCE: European Journal of Medicinal Chemistry (2000), 35(10), 913-922
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new family of 1,2,4-thiadiazolidinones contg. the N-benzylpiperidine fragment was synthesized. The acetylcholinesterase (AChE) inhibitory activity of all compds. was measured using Ellman's method and some of them turned out to be as potent as tacrine. Furthermore, 4-ethyl-5-{imino[1-(phenylmethyl)-4-piperidinyl]ethyl}-2-methyl-1,2,4-thiadiazolidin-3-one was as active as tacrine in reversing the blockade induced by tubocurarine at rat neuromuscular junction. Addnl., receptor binding studies provided new lead compds. for further development of .alpha.2-adrenergic and sigma-receptor antagonists. Mol. dynamic simulation using an x-ray crystal structure of AChE from Torpedo

californica was used to explain the possible binding mode of these new compds.

RX(8) OF 14



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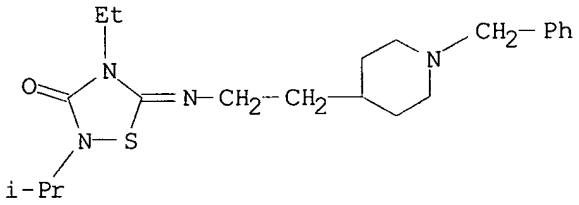

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REF: European Journal of Medicinal Chemistry, 35(10), 913-922; 2000

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

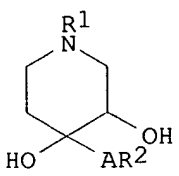
L46 ANSWER 9 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:321805 CASREACT
TITLE: Process for the preparation of substituted piperidines
INVENTOR(S): Lohri, Bruno; Vieira, Eric
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063173	A1	20001026	WO 2000-EP3118	20000407

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 1999-107253 19990414
OTHER SOURCE(S): MARPAT 133:321805
GI



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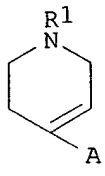
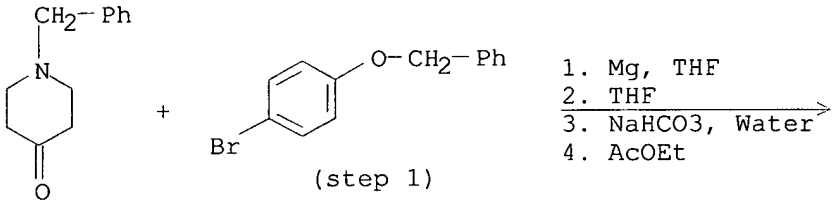


II

AB A process for the prepn. of substituted piperidines I [A = arylene; R1 = alkyl, aryl, aralkyl, diarylalkyl, alkoxycarbonyl, halogenated alkoxycarbonyl,aryloxycarbonyl, aralkoxycarbonyl, allyloxycarbonyl, alkylcarbonyl, halogenated alkylcarbonyl, etc.; alkoxy, cycloalkoxy, aryloxy, aralkoxy, aralkoxyalkoxy, hydroxy, lkylsulfonyloxy, arylsulfonyloxy, etc.] consisted of a reaction of II in the presence of an oxidant and a chiral osmium complex; the hydroxy groups attached to the carbon atoms 3 and 4 of the piperidine cycle I are in a cis position. E.g., 4-(4-methoxyphenyl)-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester (prepn. given) was treated with potassium ferricyanide, (DHQ)2-PHAL, potassium osmate(VI) dihydrate, potassium carbonate, and potassium persulfate to give (S,S)-3,4-dihydroxy-4-(4-methoxyphenyl)piperidine-1-carboxylic acid tert-Bu ester. E.g., 1-[2-[7-[(3R,4R)-4-[4-[3-(2-methoxybenzyloxy)propoxy]phenyl]piperidin-3-yloxymethyl]naphthalen-2-yloxy]ethyl]-4-methylpiperazine was prepd. as a renin **inhibitor**.

RX(17) OF 122



(step 2)

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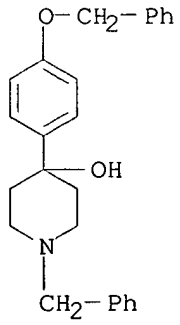

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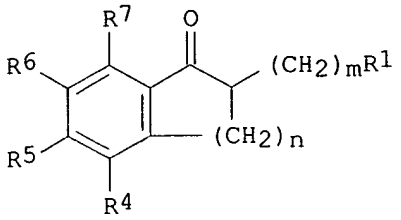
REF: PCT Int. Appl., 2000063173, 26 Oct 2000

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 10 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 132:180484 CASREACT
TITLE: Novel process and intermediates for production of donepezil and related compounds
INVENTOR(S): Gutman, L. Arie; Shkolnik, Eleonora; Tishin, Boris; Nisnevich, Genady; Zaltzman, Igor
PATENT ASSIGNEE(S): Finetech Ltd., Israel
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009483	A2	20000224	WO 1999-IL436	19990811
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951910	A1	20000306	AU 1999-51910	19990811
EP 1129073	A2	20010905	EP 1999-936948	19990811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525264	T2	20020813	JP 2000-564937	19990811
PRIORITY APPLN. INFO.:			IL 1998-125809	19980817
			WO 1999-IL436	19990811

OTHER SOURCE(S): MARPAT 132:180484
GI



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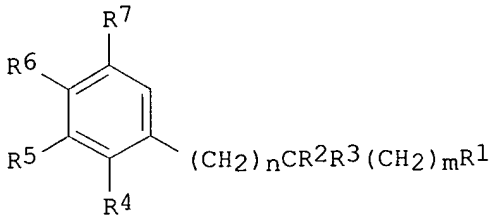

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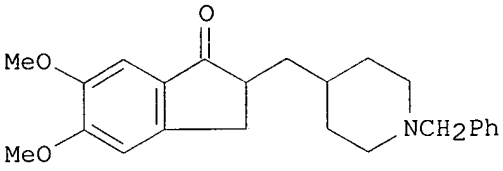
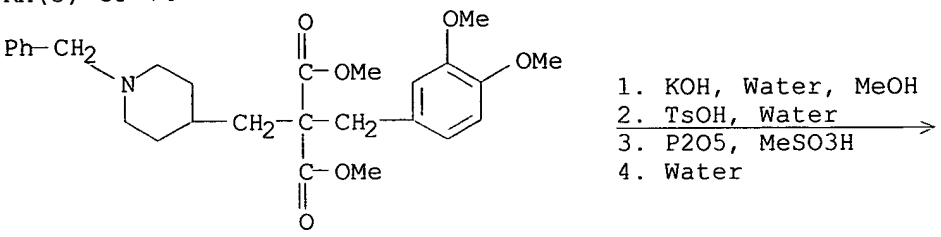


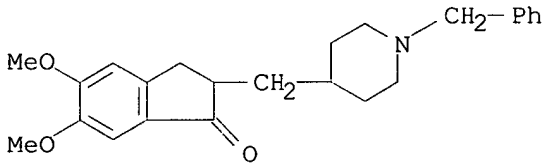
III

AB Donepezil-related compds. such as I (R1 = N-acyl-4-piperidyl, N-alkoxycarbonyl-4-piperidyl, 4-piperidyl, N-alkyl-4-piperidyl, N-benzyl-4-piperidyl, N-(.omega.-aralkyl)-4-piperidyl, 4-pyridyl; R4, R5, R6, R7 = H, alkyl, aryl, hydroxy, alkoxy, aryloxy, benzyloxy, acyloxy, alkylthio, arylthio, benzylthio, acylamino, phthalimido, halo; n = 1, 2, 3; m = 1, 2, 3, 4, 5) are prepd. as acetylcholinesterase **inhibitors**. I are prepd. by cyclization of compds. such as II (same R1, R4, R5, R6, R7, m, n; R2 = derivatized or nonderivatized carboxyl, cyano, N-substituted aminocarbonyl, H; R3 = derivatized or nonderivatized carboxyl, cyano, N-substituted aminocarbonyl), optionally in the presence of acids and/or solvents. One of the most potent acetylcholinesterase **inhibitors** of the class of compds. prepd. according to the present invention is donepezil (III).

RX(3) OF 74

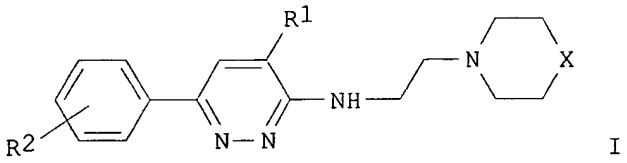


(step 1)



REF: PCT Int. Appl., 2000009483, 24 Feb 2000

L46 ANSWER 11 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 130:223228 CASREACT
TITLE: Aminopyridazines as acetylcholinesterase **inhibitors**
AUTHOR(S): Contreras, Jean-Marie; Rival, Yveline M.; Chayer, Said; Bourguignon, Jean-Jacques; Wermuth, Camille G.
CORPORATE SOURCE: Laboratoire de Chimie Organique, Faculte de Pharmacie, Universite Louis Pasteur, Illkirch, 67401, Fr.
SOURCE: Journal of Medicinal Chemistry (1999), 42(4), 730-741
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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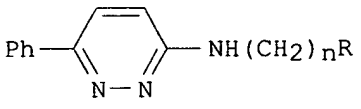
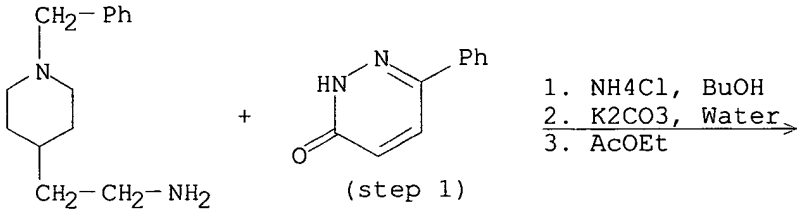


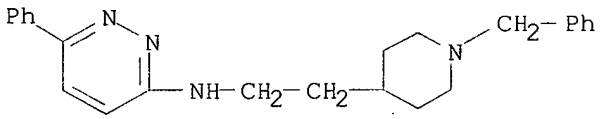
II

AB Following the discovery of the weak, competitive and reversible acetylcholinesterase (AChE)-inhibiting activity of minaprine I (R1 = Me, R2 = H, X = O) (IC50 = 85 .mu.M on homogenized rat striatum AChE), a series of 3-amino-6-phenylpyridazines I [R1 = H, Me, CH2OH, etc., R2 = H, 4-Cl, 3,4-(OCH2O), X = O, CH2] and II (R = morpholino, piperidino,

N(Me)CH2Ph, etc., n = 0-5) was synthesized and tested for inhibition of AChE. A classical structure-activity relationship exploration suggested that, in comparison to minaprine, the crit. elements for high AChE inhibition are as follows: (i) presence of a central pyridazine ring, (ii) necessity of a lipophilic cationic head, (iii) change from a 2- to a 4-5-carbon units distance between the pyridazine ring and the cationic head. Among all the derivs. investigated, 3-[2-(1-benzylpiperidin-4-yl)ethylamino]-6-phenylpyridazine, which shows an IC50 of 0.12 .mu.M on purified AChE (elec. eel), was found to be one of the most potent anti-AChE **inhibitors**, representing a 5000-fold increase in potency compared to minaprine.

RX(19) OF 47





32%

REF: Journal of Medicinal Chemistry, 42(4), 730-741; 1999

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

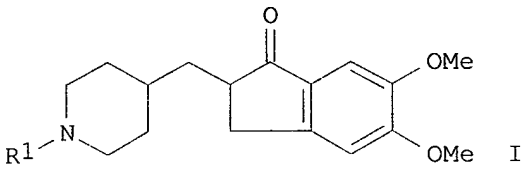
L46 ANSWER 12 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 127:121641 CASREACT
TITLE: Processes and intermediates for preparing 1-benzyl-4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine as acetylcholinesterase **inhibitor**
INVENTOR(S): Devries, Keith M.
PATENT ASSIGNEE(S): Pfizer Inc., USA; Devries, Keith M.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722584	A1	19970626	WO 1996-IB1076	19961011
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9670925	A1	19970714	AU 1996-70925	19961011
AU 716462	B2	20000224		

EP 883607	A1	19981216	EP 1996-931937	19961011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI				
CN 1204319	A	19990106	CN 1996-199018	19961011
BR 9612018	A	19990217	BR 1996-12018	19961011
JP 3066083	B2	20000717	JP 1997-522607	19961011
JP 11500756	T2	19990119		
RU 2160731	C2	20001220	RU 1998-111204	19961011
TW 414787	B	20001211	TW 1996-85112515	19961014
ZA 9610533	A	19980615	ZA 1996-10533	19961213
NO 9802712	A	19980612	NO 1998-2712	19980612
PRIORITY APPLN. INFO.:			US 1995-8753P	19951215
			WO 1996-IB1076	19961011

OTHER SOURCE(S): MARPAT 127:121641

GI



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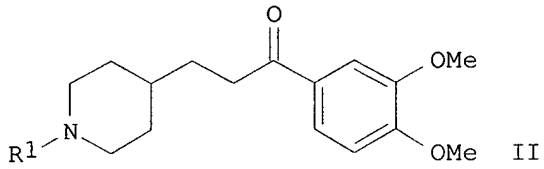

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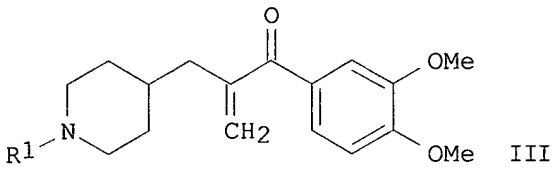
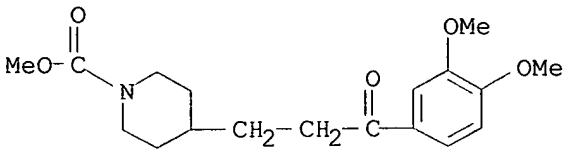


III

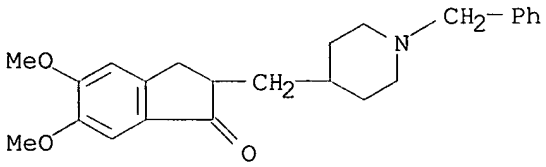
AB The title compd I [R1 = PhCH2], useful in the treatment of, e.g., senile dementia of the Alzheimer's disease, was prepd. by reacting the piperidine II [R1 = R2OC(O), R3C(O) (wherein R2 = C1-4 alkyl; R3 = C1-4 alkyl, (un)substituted Ph)] with a methenylation agent followed by cyclization of the intermediate III [R1 = R2OC(O), R3C(O) (wherein R2 = C1-4 alkyl; R3 = C1-4 alkyl, (un)substituted Ph)] with a strong acid, treatment of the indanone I [R1 = R2OC(O), R3C(O) (wherein R2 = C1-4 alkyl; R3 = C1-4 alkyl, (un)substituted Ph)] with hydroxide, and reacting the indanone I [R1 = H] with a benzyl halide and a base. Compd. I showed IC50 of 0.0053 .mu.M against acetylcholinesterase.

RX(1) OF 1



(step 1)

1. Me2NCH2NMe2, Ac2O
2. H2SO4
3. KOH, MeOH, Water
4. PhCH2Br, Triethanolamine, Isopropyl ether



REF: PCT Int. Appl., 9722584, 26 Jun 1997

L46 ANSWER 13 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 125:114591 CASREACT
TITLE: Processes and intermediates for preparing 5,7-dihydro-3-[2-(1-benzylpiperidin-4-yl)ethyl]-6H-pyrrolo[4,5-f]-1,2-benzisoxazol-6-one
INVENTOR(S): Devries, Keith M.; Villalobos, Anabella
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613505	A1	19960509	WO 1995-IB755	19950913
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2200607	AA	19960509	CA 1995-2200607	19950913
EP 788500	A1	19970813	EP 1995-929199	19950913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 3048643	B2	20000605	JP 1995-514409	19950913
JP 3048643	B2	20000605	JP 1996-514409	19950913
JP 10502939	T2	19980317		
US 5916902	A	19990629	US 1997-836114	19970416
FI 9701785	A	19970425	FI 1997-1785	19970425
PRIORITY APPLN. INFO.:			US 1994-329352	19941026
			WO 1995-IB755	19950913

OTHER SOURCE(S): MARPAT 125:114591
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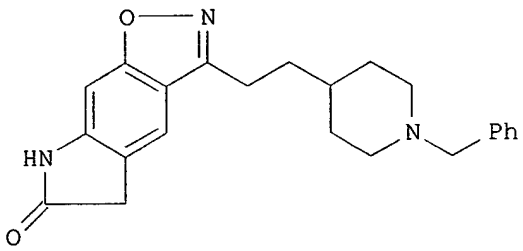

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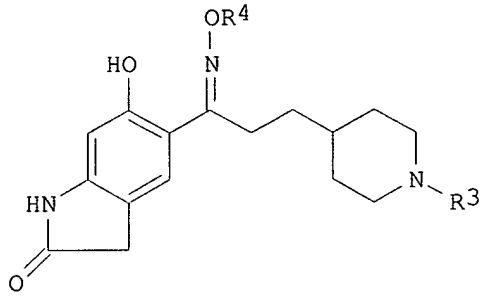
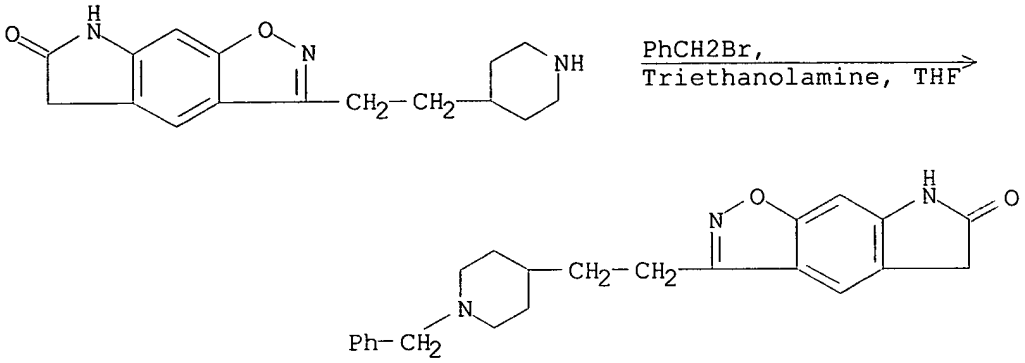


II

AB The invention relates to a process for prepg. title compd. I, a known cholinesterase **inhibitor** useful for enhancing memory in patients suffering from dementia or Alzheimer's disease (no data). The method involves heating an oxime deriv. II [R3 = R4 or CH2Ph; R4 = R5CO, R5OCO, R5SO2; R5 = C1-6 alkyl or C6-10/C1-6 arylalkyl] at an elevated temp. in the presence of a base. In the case where R3 = R4, the product is further hydrolyzed with an aq. mineral acid at an elevated temp., followed by benzylation, either with a benzylating agent in the presence of a base, or with benzaldehyde in the presence of a reducing agent and an acid. For instance, pyridine-4-carboxaldehyde was converted in 6 steps to the oxime II [R3 = CO2Me, R4 = H]. Treatment of this with Ac2O and AcONa in THF at room temp. gave 92% II [R3 = CO2Me, R4 = Ac]. The latter was cyclized by 2,6-lutidine in THF at 65.degree. (72%), followed by hydrolysis of the ester with 6N HCl at 100.degree. (78%), and N-benzylation with either PhCH2Br and N(CH2CH2OH)3 (74%), or PhCHO, NaBH(OAc)3, and AcOH, to give I.

RX(10) OF 65



74%

REF: PCT Int. Appl., 9613505, 09 May 1996
NOTE: 65.degree.

L46 ANSWER 14 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:72007 CASREACT
TITLE: Preparation of chromans as HIV **inhibitors**
INVENTOR(S): Wild, Hanno; Bender, Wolfgang; Haebich, Dieter; Heine, Hans Georg; Raddatz, Siegfried; Roeben, Wolfgang; Hansen, Jutta; Paessens, Arnold
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 628310	A1	19941214	EP 1994-108126	19940526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4319038	A1	19941215	DE 1993-4319038	19930608
US 5492918	A	19960220	US 1994-251986	19940601
JP 07330761	A2	19951219	JP 1994-147031	19940607
PRIORITY APPLN. INFO.:			DE 1993-4319038	19930608

OTHER SOURCE(S): MARPAT 122:72007
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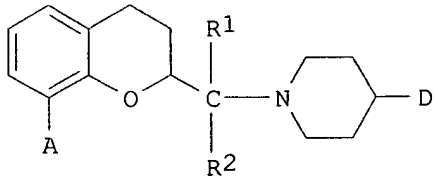
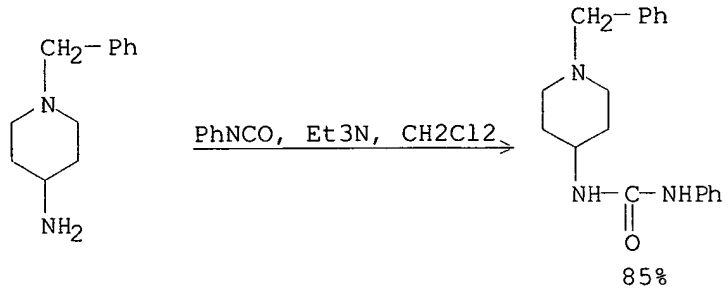


I

AB Chromans I [A = H, OH, C1-8 alkoxy; R1 = R2 = H, or R1R2 = O; D =

NR3CONR4R5; R3, R4 = H, C1-6 alkyl, or R3R4 = o-phenylene; R5 = (substituted) C6-10 aryl] are HIV protease **inhibitors** and are useful in treatment of HIV infections. Thus, 4-amino-1-benzylpiperidine was condensed with Ph isocyanate, and the product was debenzylated with HCO2NH4 over Pd/C at 50.degree. and condensed with 8-methoxychromancarboxylic acid to form I (A = OMe, R1R2 = O, D = NHCONHPh) (II). II inhibited HIV protease by 99% at 200 .mu.g/mL.

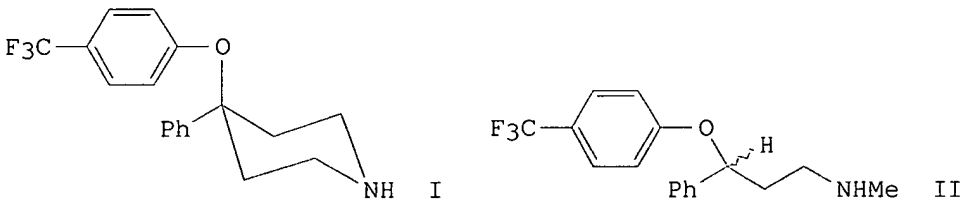
RX(3) OF 10



85%

REF: Eur. Pat. Appl., 628310, 14 Dec 1994

L46 ANSWER 15 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 121:9124 CASREACT
TITLE: Synthesis and x-ray structure of a potent superpositional analog of the enantiomeric forms of fluoxetine
AUTHOR(S): Corey, E. J.; Reichard, Gregory A.; Sarshar, Sepehr
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, 02138, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(12), 2635-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB 4-Phenyl-4-(p-trifluoromethylphenoxy)piperidine (I), a mol. which approximates a superimposition of the enantiomers of fluoxetine (II), has been synthesized and is somewhat more active than II as an **inhibitor** of serotonin uptake. I was prepd. in 3 steps from N-benzyl-4-piperidinone. X-ray anal. of I shows a strong resemblance to the 3-D structure of sertraline, a potent serotonin uptake **inhibitor**.

RX(1) OF 6

69%

REF: Bioorganic & Medicinal Chemistry Letters, 3(12), 2635-6; 1993

L46 ANSWER 16 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 118:124398 CASREACT
TITLE: Preparation of (-)-1-benzyl-4-[(5,6-dimethoxy-1-indanon-2-yl)methyl]piperidine by asymmetric hydrogenation of (piperidylmethylene)indanone derivative
INVENTOR(S): Iimura, Yoichi; Kajima, Takashi; Araki, Shin; Sugimoto, Hachiro; Kiyofuji, Nobuo; Kumobayashi, Hidenori
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; Takasago Perfumery Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04187674	A2	19920706	JP 1990-320055	19901121
JP 2965675	B2	19991018		

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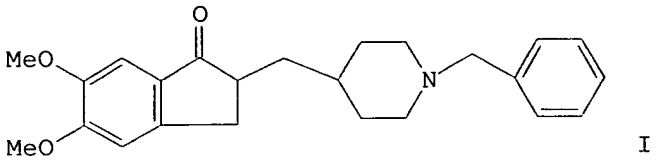

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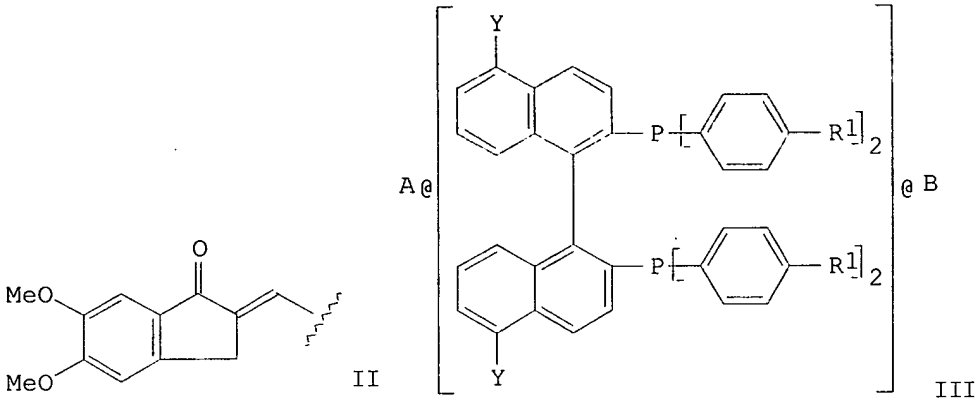
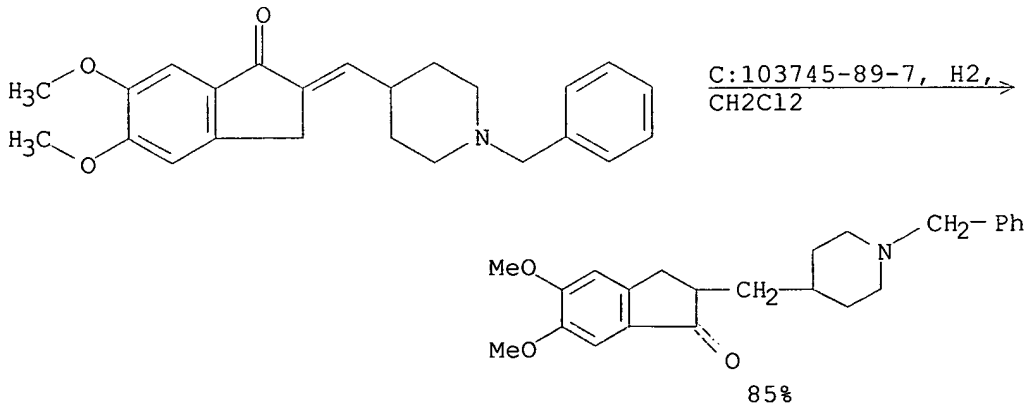


II III

AB The title compd. (I), a known acetylcholine esterase **inhibitor** useful for the treatment of Alzheimer-type senile dementia, is prepd. by asym. hydrogenation of (piperidylmethylene)indanone deriv. II in the presence of an optically active Ru-phosphine complex, preferably III [A = RuX4, B = NEt3; A = RuHX, B = null; A = null, B = RuA1Z; X = halo; Y = H, NH2, AcNH, SO3H; R1 = H, linear or branched lower alkyl; A1, Z = ClO4, PF6, BF4, R2CO2; R2 = alkyl, haloalkyl, (lower alkyl)phenyl, .alpha.-aminoalkyl, .alpha.-aminophenylalkyl]. Thus, a soln. of 2.0 g II and 42.3 mg RuCl4.[(S)-(-)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl]2.NEt3 complex in 30 mL CH2Cl2 was stirred at H 77 kg/cm2 and 50.degree. for 30 min and then at room temp. for 140 h, evapd. in vacuo, treated with 180 mL 0.1 N HCl (pH 2.0), extd. twice with EtOAc to remove the catalyst, adjusted to pH 9 with aq. NaHCO3, and extd. with CH2Cl2 to give 85.4% (-)-I of 97.3% ee.

RX(1) OF 2



85%

REF: Jpn. Kokai Tokkyo Koho, 04187674, 06 Jul 1992, Heisei
NOTE: stereoselective, 50.degree. for 30 min, and room temp. for 140 h

L46 ANSWER 17 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 118:80924 CASREACT
TITLE: Heterocyclic-cyclic amine derivatives, [(1-benzyl-4-piperidinyl)alkyl]benzisoxazoles and heteroaryl analogs, a method for their preparation and their use as cholinesterase **inhibitors**
INVENTOR(S): Villalobos, Anabella; Nagel, Arthur Adam; Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

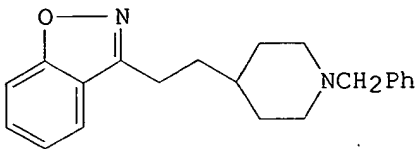
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217475	A1	19921015	WO 1992-US1605	19920309
W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2107015	AA	19920929	CA 1992-2107105	19920309
AU 9218782	A1	19921102	AU 1992-18782	19920309
AU 658194	B2	19950406		
JP 06500794	T2	19940127	JP 1992-510182	19920309
BR 9205811	A	19940628	BR 1992-5811	19920309
EP 646115	A1	19950405	EP 1992-921695	19920309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 68357	A2	19950628	HU 1993-2733	19920309
PL 170567	B1	19970131	PL 1992-300711	19920309
PL 171915	B1	19970630	PL 1992-313811	19920309
PL 171914	B1	19970630	PL 1992-313812	19920309
RU 2119920	C1	19981010	RU 1993-55137	19920309
JP 2000154185	A2	20000606	JP 1999-368302	19920309
CZ 289756	B6	20020417	CZ 1992-3958	19920309
IL 101327	A1	19980615	IL 1992-101327	19920322
IL 116122	A1	19981030	IL 1992-116122	19920322
CN 1065267	A	19921014	CN 1992-102178	19920327
CN 1044242	B	19990721		
ZA 9202239	A	19930927	ZA 1992-2239	19920327
NO 9303445	A	19930927	NO 1993-3445	19930927
AU 9521788	A1	19950907	AU 1995-21788	19950620
AU 674477	B2	19961219		
JP 10158264	A2	19980616	JP 1997-52097	19970306
JP 3051076	B2	20000612		
US 6326382	B1	20011204	US 2000-615690	20000714
US 2002028834	A1	20020307	US 2001-955818	20010919

PRIORITY APPLN. INFO.:

US 1991-676918	19910328
US 1991-676918P	19910328
JP 1992-510182	19920309
JP 1997-52097	19920309
WO 1992-US1605	19920309
IL 1992-101327	19920322
US 1993-127847	19930928
US 1997-855028	19970513
US 2000-615690	20000714

OTHER SOURCE(S): MARPAT 118:80924

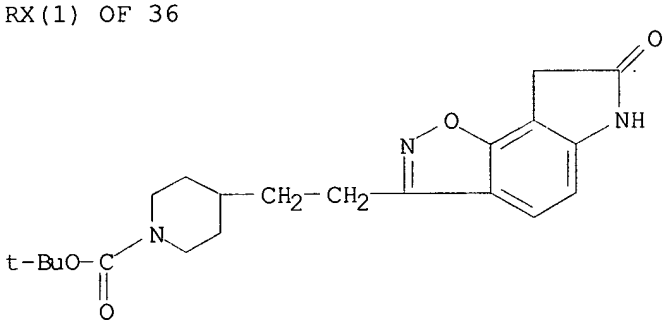
GI



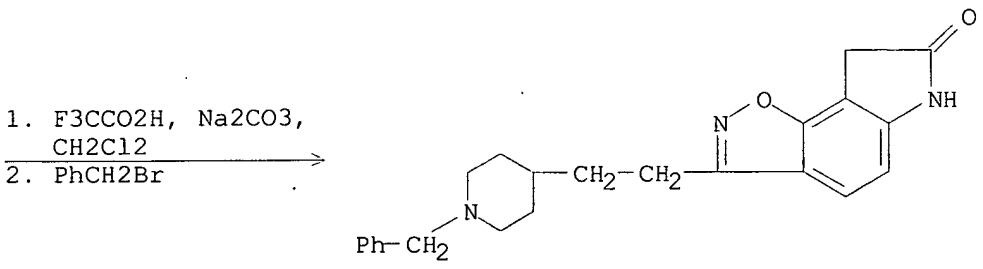
I

AB Heterocyclic amine derivs., such as [(1-benzyl-4-piperidinyl)alkyl]benzisoxazoles, -isoquinolines, -benzisothiazoles, -quinazolines and analogs and derivs. thereof are claimed. These compds. are useful as memory enhancers and for the treatment or prevention of Alzheimer's disease; these compds. are cholinesterase **inhibitors** (no data). Thus 3-[2-[(1-benzyl)-4-piperidinyl]ethyl]-1,2-benzisoxazole (I) was prepd. from Et isonipecotate and 3-methyl-1,2-benzisoxazole in a multistep synthesis. The biol. activity of I was not tested.

RX(1) OF 36



(step 1)



44%

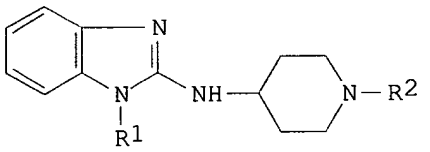
REF: PCT Int. Appl., 9217475, 15 Oct 1992

L46 ANSWER 18 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 115:8793 CASREACT
TITLE: Preparation of antiallergic 2-(4-piperidylamino)benzimidazoles
INVENTOR(S): Vejdelek, Zdenek; Kmonicek, Vojtech; Krepelka, Jiri
PATENT ASSIGNEE(S): Czech.
SOURCE: Czech., 7 pp.

CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 268485	B1	19900314	CS 1988-7626	19881121

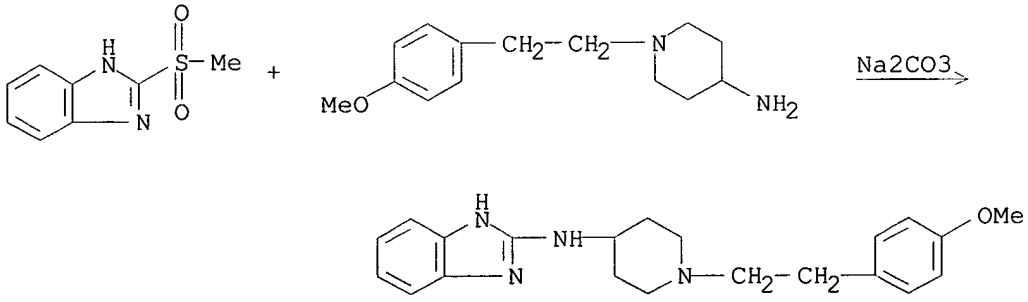
OTHER SOURCE(S): MARPAT 115:8793
GI



I

AB The title compds. (I; R1 = H, 4-FC6H4CH2; R2 = PhCH2, 4-MeOC6H4CH2CH2, 4-MeOC6H4CH2CO) and their hydrochloride salts, specifically the antiallergic (no data) astemizole and 3 of its analogs, were prepd. by amination of 2-(methylsulfonyl)benzimidazoles with 4-amino-(1-substituted)piperidines at 100-170.degree., followed by neutralization with alc. HCl. Thus, a mixt. of 3.0 g 1-(4-fluorobenzyl)-2-methylsulfonylbenzimidazole (prepd. in 5 steps from 2-nitroaniline and 4-fluorobenzyl bromide) and 3.5 g 4-amino-1-(4-methoxyphenethyl)piperidine (prepd. in 5 steps from 4-MeOC6H4CH2CH2OSO2Me and 1,4-dioxa-8-azaspiro[4.5]decane) in 25 mL DMF was heated 6 h at 160-170.degree. to give title compd. I (R1 = 4-FC6H4CH2, R2 = 4-MeOC6H4CH2CH2) (astemizole).

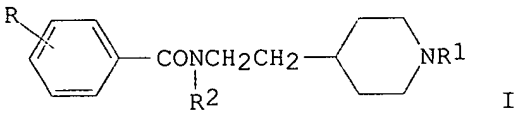
RX(7) OF 13



REF: Czech., 268485, 31 Aug 1990

L46 ANSWER 19 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:23641 CASREACT
TITLE: Novel piperidine derivatives. Synthesis and anti-acetylcholinesterase activity of 1-benzyl-4-[2-(N-benzoylamino)ethyl]piperidine derivatives
AUTHOR(S): Sugimoto, Hachiro; Tsuchiya, Yutaka; Sugumi, Hiroyuki; Higurashi, Kunizo; Karibe, Norio; Iimura, Yoichi;

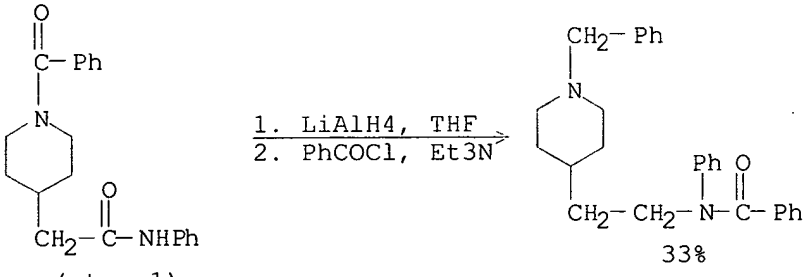
Sasaki, Atsushi; Kawakami, Yoshiyuki; Nakamura, Takaharu; et al.
CORPORATE SOURCE: Tsukuba Res. Lab., Eisai Co., Ltd., Tsukuba, 300-26, Japan
SOURCE: Journal of Medicinal Chemistry (1990), 33(7), 1880-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The title compd. I (R = H, 2-Me, 4-NO2, 4-MeO, 4-CHO, 4-Cl, 4-PhCH2SO2; R1 = Bz, CH2Ph, CH2CH:CHPh, CH2CH2Ph, CH2C6H4Me-4, CH2C6H4NO2-2; R2 = H, Me, Et, Ph) were prepd. and evaluated for antiacetylcholinesterase activity. Substituting the benzamide group with a bulky moiety in the para position led to a substantial increase in activity. Introduction of an alkyl or Ph group at the benzamide nitrogen atom dramatically enhanced the activity. The basicity of the piperidine nitrogen atom appears to play an important role in the increased activity, since the N-benzoylpiperidine deriv. was almost inactive. I (R = 4-PhCH2SO2, R1 = CH2Ph, R2 = Me, R)(II) were the most potent **inhibitors** of acetylcholinesterase and produced significant increases in acetylcholine content in the cerebral cortex and hippocampus of rats. II was chosen for development as an antidementia agent.

RX(35) OF 126

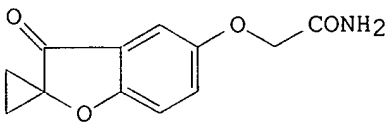


(step 1)

REF: Journal of Medicinal Chemistry, 33(7), 1880-7; 1990

L46 ANSWER 20 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 112:35803 CASREACT
TITLE: Studies on the synthesis of antiulcer agents. V. Synthesis and antiulcer activity of dihydrobenzofuranone derivatives
AUTHOR(S): Kitazawa, Makio; Akahane, Masuo; Nakano, Yasushi; Hayakawa, Kazuhide; Sato, Kazuaki; Kobayashi, Michihiro
CORPORATE SOURCE: Res. Lab., Kissei Pharm. Co., Ltd., Matsumoto, 399,

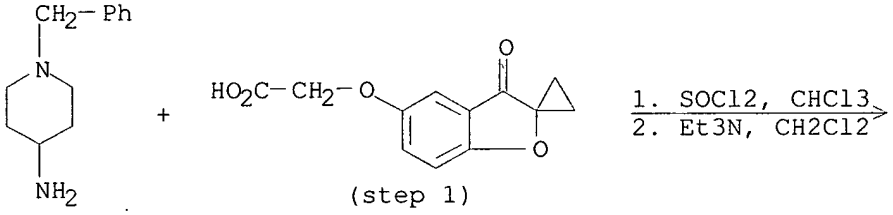
Japan
SOURCE: Yakugaku Zasshi (1989), 109(4), 241-9
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



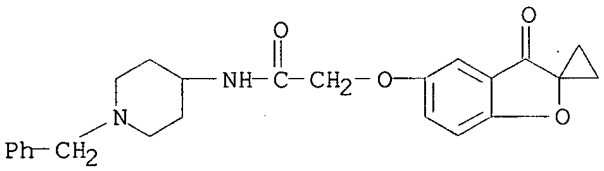
I

AB Dihydrobenzofuranonepropionic acid derivs. and oxyacetic acid derivs. were prepd. and tested for antiulcer activities. [3-Oxospiro[benzofuran-2(3H)-1'-cyclopropan]-5-yloxy]acetamide (I) exhibited significant antiulcer activities. Structure-activity relationship was discussed.

RX(22) OF 165



(step 2) (step 1)



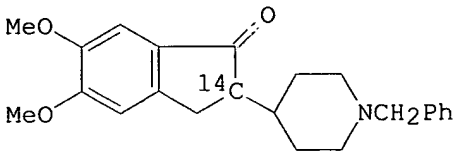
93%

REF: Yakugaku Zasshi, 109(4), 241-9; 1989

L46 ANSWER 21 OF 28 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 111:232532 CASREACT
TITLE: Synthesis of 1-benzyl-4-[(5,6-dimethoxy[2-14C]-1-indanon)-2-yl]methylpiperidine hydrochloride (E2020-14C)
AUTHOR(S): Iimura, Youichi; Mishima, Mannen; Sugimoto, Hachiro
CORPORATE SOURCE: Tsukuba Res. Lab., Eisai Co., Ltd., Tsukuba, 300-26, Japan
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1989), 27(7), 835-9
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



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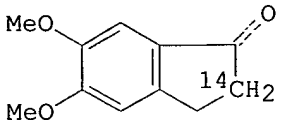
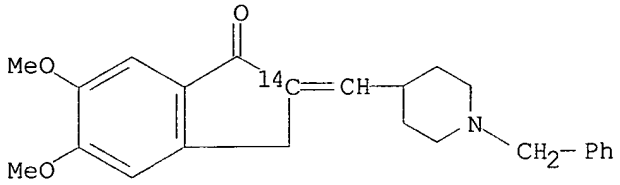


II

AB The title compd. (I) (E2020-14C), an acetylcholinesterase **inhibitor** for studying the pharmacokinetic profiles of E2020, was synthesized from 5,6-dimethoxy[2-14C]-1-indanone (II) as the labeled starting material.

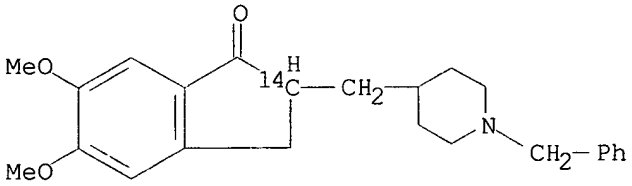
RX(1) OF 15



(step 1)

1. Pd, H2, THF
2. HCl, CH2Cl2, AcOEt

RX(1) OF 15



HCl

REF: Journal of Labelled Compounds and Radiopharmaceuticals, 27(7), 835-9; 1989

L46 ANSWER 22 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 109:37821 CASREACT
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
US 4839374	A	19890613	US 1987-94987	19870910

PRIORITY APPLN. INFO.: US 1984-569369 19840109
US 1984-671135 19841113
US 1985-747754 19850624

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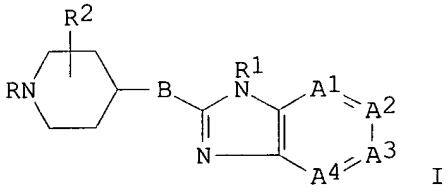

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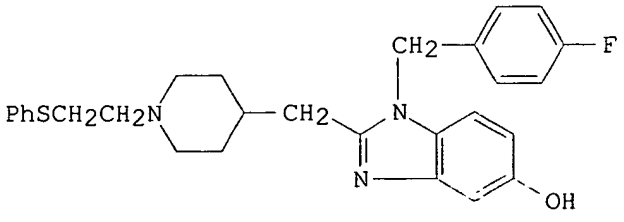
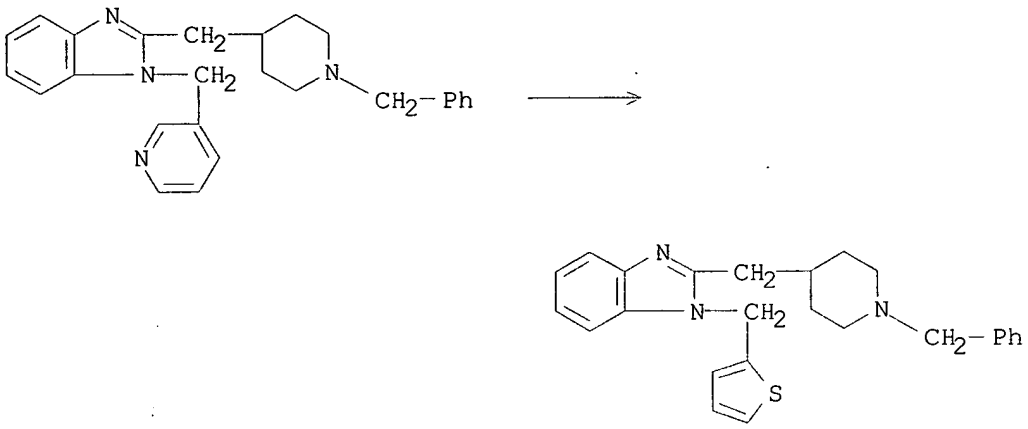


II

AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl,

alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepd. as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe contg. Na2CO3 to give 27.8% benzimidazole deriv. (II). I inhibited compd. 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

RX(5) OF 105



REF: U.S., 4695575, 22 Sep 1987

L46 ANSWER 23 OF 28 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 106:18327 CASREACT

TITLE: Platelet aggregation inhibiting and anticoagulant effects of oligoamines. I. N-(4-Piperidinyl)methanamines

AUTHOR(S): Rehse, Klaus; Werner, Ulrich

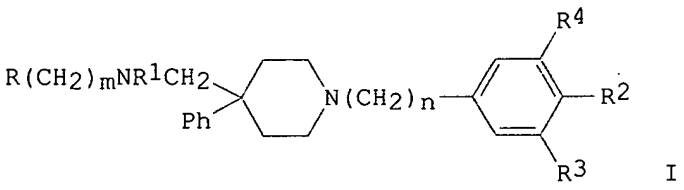
CORPORATE SOURCE: Inst. Pharm., Freien Univ. Berlin, Berlin, 1000/33, Fed. Rep. Ger.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1986), 319(6), 505-15
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: German

GI

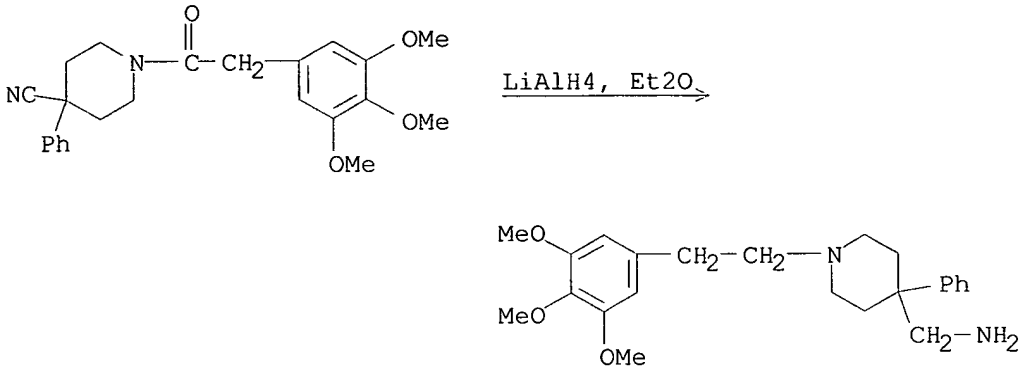


I

AB The piperidinomethanamines I (m = 0, 1, 2, 5; n = 1-6; R = H, p-ClC6H4,

2-naphthyl; R1 = H, Me; R2 = H, MeO, Cl; R3, R4 = H, MeO) (21 compds.) were prepd. as platelet aggregation **inhibitors**. Thus, 1-(4-cyano-4-phenylpiperidino)-2-(4-chlorophenyl)-1-ethanone, prepd. from 4-phenyl-4-cyanopiperidine and p-ClC6H4CH2COCl, was reduced with LiAlH4 to give I (m = 0, n = 2, R = R1 = R3 = R4 = H, R2 = Cl) (II). The most potent compd. II had an platelet aggregation inhibiting IC50 of 5.5 .times. 10-6 mol/L.

RX(1) OF 85



2 HCl

REF: Archiv der Pharmazie (Weinheim, Germany), 319(6), 505-15; 1986

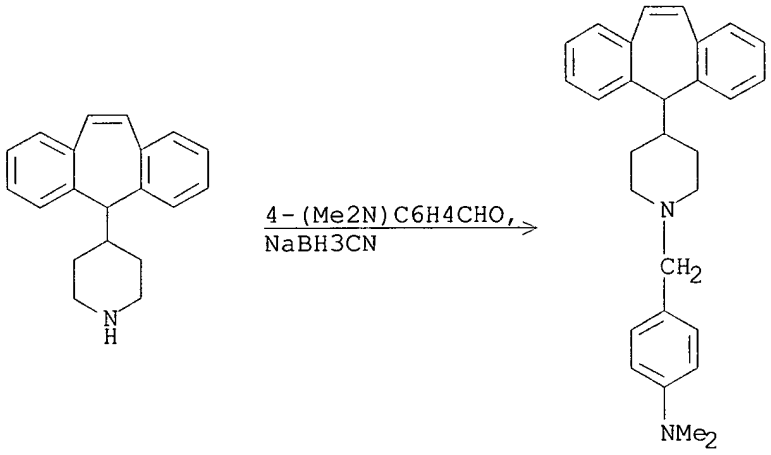
L46 ANSWER 24 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 104:186304 CASREACT
TITLE: 4-(5H-Dibenzo[a,d]cyclohepten-5-yl)piperidine compounds
INVENTOR(S): Young, Steven D.
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 157399	A2	19851009	EP 1985-103884	19850401
EP 157399	A3	19860205		
EP 157399	B1	19890816		
R: CH, DE, FR, GB, IT, LI, NL				
US 4758577	A	19880719	US 1984-596958	19840405
JP 60231652	A2	19851118	JP 1985-71332	19850405

PRIORITY APPLN. INFO.: US 1984-596958 19840405
GI For diagram(s), see printed CA Issue.
AB Piperidines I [.DELTA.10 present or absent; R = (CH2)nC6H4R4 (n = 1-3, R4 = dialkylamine, alkoxy), CH2CH:CHC6H5-mR5m (m = 0-3, R5 = alkoxy), CH2CH2R6 (R6 = cyano, CONH2, CH2NH2), COR1 [R1 = (un)substituted alkyl,

Ph, or styryl], C(:NH)NH2, CH:Z (Z = O, S), SO2R2 (R2 = alkyl), Q (dashed line = residue of a heterocyclic ring); R3 = H, halo, CF3, alkoxy], useful as **inhibitors** of Ca-induced contraction of tracheal smooth muscle or vascular tissue, were prepd. A mixt. of I (.DELTA.10 present, R = R3 = H), 4-Me2NC6H4CHO, NaB(CN)H3, and EtOH was stirred 24 h at room temp. to give I (R = 4-Me2NC6H4CH2) which, at 10-7 M, showed 69% inhibition of Ca-induced contraction described above. The most effective compds. for this inhibition were those in which the C of the R group attached to the piperidine N at the point of attachment is in the reduced form, e.g., CH2R7 (R7 = remainder of substituent). The most active compds. are those where the C of R7 attached to the reduced C was part of an unsatd. grouping.

RX(1) OF 5



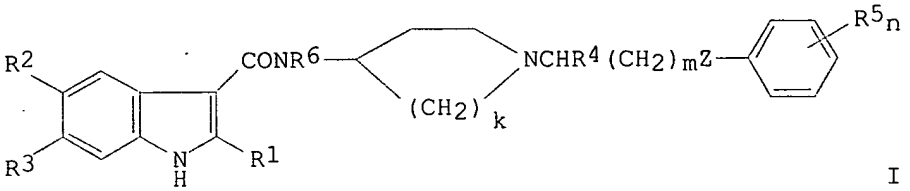
REF: Eur. Pat. Appl., 157399, 09 Oct 1985

L46 ANSWER 25 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 104:5787 CASREACT
TITLE: 3-Indolecarboxamide compounds
INVENTOR(S): Tahara, Tetsuya; Ikebe, Tsuguo; Maruyama, Yutaka; Yaoka, Osamu; Miura, Yohji
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd. , Japan
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 150505	A2	19850807	EP 1984-116372	19841227
EP 150505	A3	19850821		
EP 150505	B1	19870401		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 60142981	A2	19850729	JP 1983-251149	19831228
JP 64000396	B4	19890106		
US 4581355	A	19860408	US 1984-680727	19841212

CA 1230600	A1	19871222	CA 1984-470179	19841214
ES 539123	A1	19860316	ES 1984-539123	19841227
AT 26273	E	19870415	AT 1984-116372	19841227
PRIORITY APPLN. INFO.:			JP 1983-251149	19831228
			EP 1984-116372	19841227

GI



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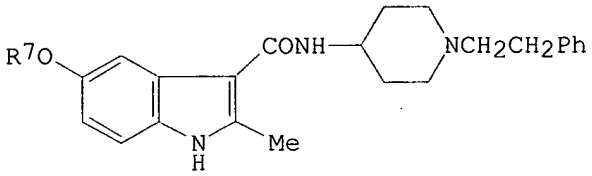
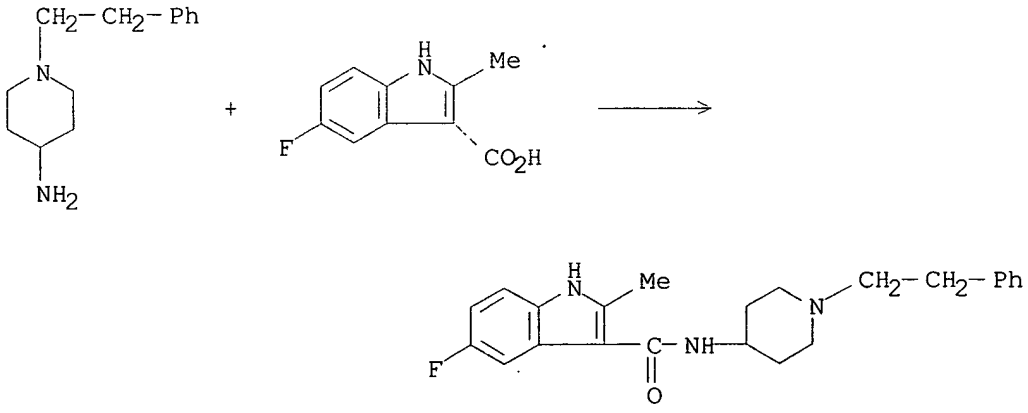


II

AB N-Heterocyclylindolecarboxamides I (R1,R4,R6 = H, alkyl; R2,R3 = H, alkyl, alkoxy, alkanoyloxy, OH, halo; R5 = H, halo, Z = O, S, bond; n = 1,2; m, k = 1-3) were prepd. Thus, 5-acetoxy-2-methylindole-3-carboxylic acid was converted to its acid chloride and treated with 4-amino-1-phenethylpiperidine to give carboxamide II (R7 = Ac). This was sapond. to give II (R7 = OH) (III). III inhibits 5-lipoxygenase with an IC50 of 0.44 .mu.M and I are more effective cardiotonics than ouabain.

RX(1) OF 1



REF: Eur. Pat. Appl., 150505, 07 Aug 1985

L46 ANSWER 26 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 103:178235 CASREACT

TITLE: Synthesis and pharmacological evaluation of piperidine derivatives with various heterocyclic rings at the 4-position

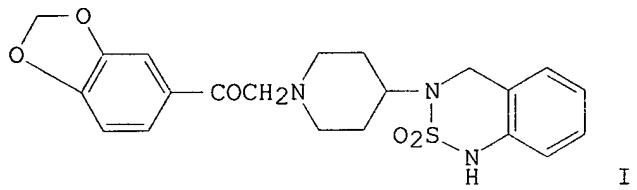
AUTHOR(S): Takai, Haruki; Obase, Hiroyuki; Nakamizo, Nobuhiro; Teranishi, Masayuki; Kubo, Kazuhiro; Shuto, Katsuichi; Hashimoto, Tamotsu

CORPORATE SOURCE: Tokyo Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Tokyo, 194, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1985), 33(3), 1104-15
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal
LANGUAGE: English

GI



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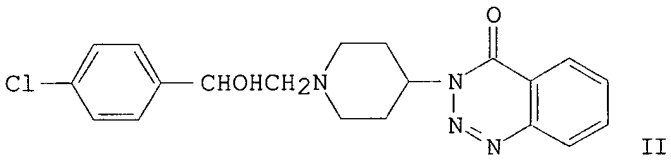
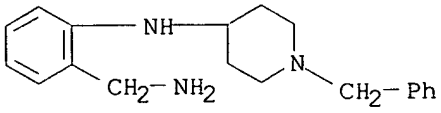


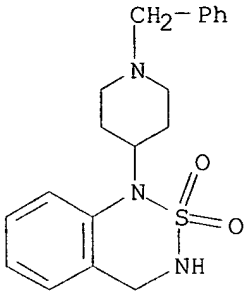
II

AB A series of piperidine derivs. with various heterocyclic rings at the 4-position, e.g. I, II, was prepd. and tested for antihypertensive activity and other biol. activities. The antihypertensive effects of the present compds. in the spontaneous hypertensive rat were less potent than those of previously reported compds. However, some exhibited antiulcer and/or antiinflammatory activity. Structure-activity relationships are discussed.

RX(32) OF 127



(NH2)2SO2, Pyridine



REF: Chemical & Pharmaceutical Bulletin, 33(3), 1104-15; 1985

L46 ANSWER 27 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 103:123369 CASREACT
TITLE: Isochroman derivatives
PATENT ASSIGNEE(S): Earth Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

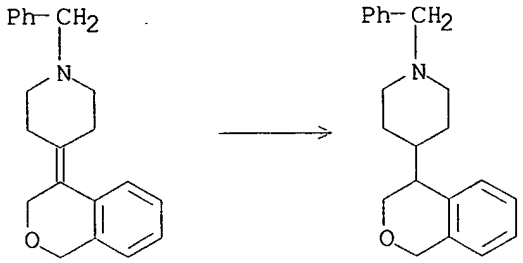
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60097975	A2	19850531	JP 1983-206260	19831101
JP 06057696	B4	19940803		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

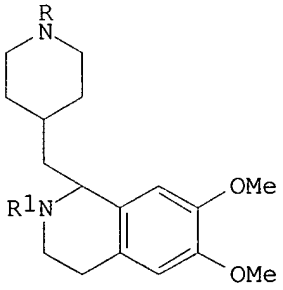
AB The title compds. I (R = H, halo, alkyl; X = NR1, CR1R2; R1, R2 = H, alkyl, alkenyl, Ph, aralkyl, cyclohexyl) and their salts, useful as antiallergic agents, were prepd. Thus, stirring 17.6 g II and 14 g III in the presence of 3.6 g 50% NaH gave 26 g IV (R3 = CO2Me), which (25 g) was reduced to give 20 g IV (R3 = CH2OH), which (10 g) was cyclized in the presence of p-MeC6H4SO3H to give 5 g V. Redn. of 1.5 g V over 5% Pd/C gave 1.2 g VI, which inhibited induced histamine release from rat peritoneal mast cells at 50% in vitro.

RX(1) OF 1

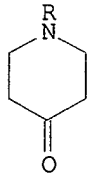


REF: Jpn. Kokai Tokkyo Koho, 60097975, 31 May 1985, Showa

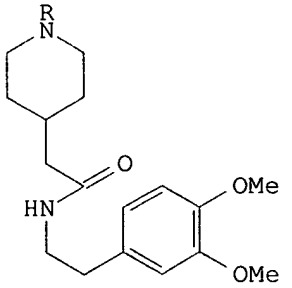
L46 ANSWER 28 OF 28 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 102:95509 CASREACT
TITLE: Syntheses and biological activities of 1,4-disubstituted piperidines
AUTHOR(S): Gupta, Krishna A.; Saxena, Anil K.; Jain, Padam C.; Anand, Nitya
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226001, India
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1984), 317(12), 1010-17
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, R^1=H
IV, R^1=R^2CO



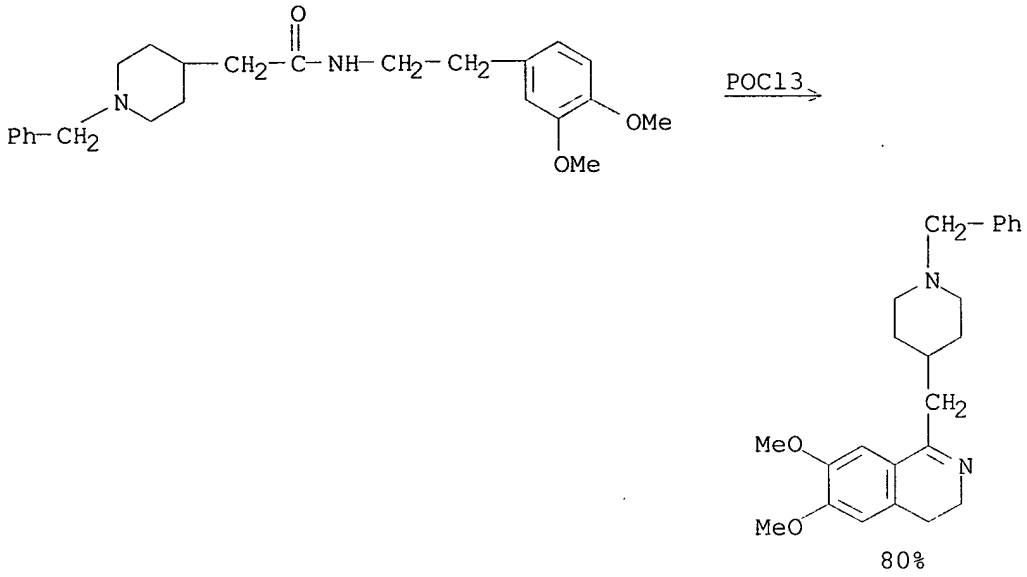
II



III

AB Isoquinolines I (R = CH2Ph, Me) were prepd. from piperidones II in 5 steps via cyclization of acylphenethylamines III, then acylated with R2COCl (R2 = Me, Ph) to give IV. The amebicidal, antileishmanial, and antileukemia activities of the compds. prepd. were described. None of the compds. tested were active against leukemia.

RX(7) OF 24



80%

REF: Archiv der Pharmazie (Weinheim, Germany), 317(12), 1010-17; 1984

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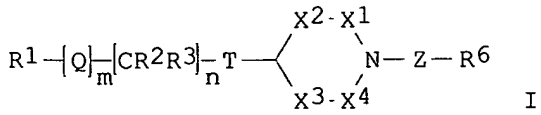
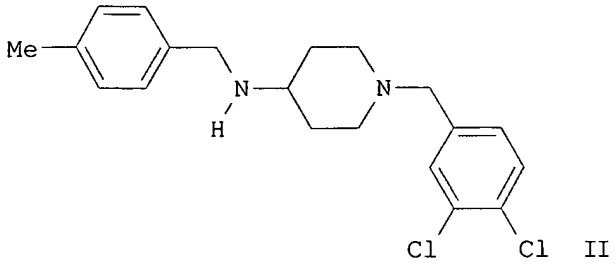
L47 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:152644 HCAPLUS
DOCUMENT NUMBER: 134:207822
TITLE: Preparation of substituted piperidines as modulators of chemokine receptor activity
INVENTOR(S): **Thom, Stephen; Baxter, Andrew; Kindon, Nicholas; McInally, Thomas; Springthorpe, Brian**; Perry, Matthew; Harden, David; Evans, Richard; Marriott, David
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014333	A1	20010301	WO 2000-GB3179	20000818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1212299	A1	20020612	EP 2000-951768	20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: SE 1999-2987 A 19990824
WO 2000-GB3179 W 20000818
OTHER SOURCE(S): MARPAT 134:207822
GI



I



II

AB The title compds. [I; Z = CR4R5, CO, CR4R5Z1; Z1 = alkylene, alkenylene, CONH; R1 = (un)substituted alkyl, alkenyl, 3-14 membered (un)satd. ring system which optionally further comprises up to two ring carbon atoms that form carbonyl groups and which optionally further comprises up to 4 ring heteroatoms selected from N, O, and S; m = 0-1; Q = O, S, CO, etc.; n = 0-6 (when n = 0, then m = 0); R2, R3 = H, alkyl; (CR2R3)n = cycloalkyl optionally substituted by alkyl; T = NR10, CONR10, NR11CONR10, etc.; X1-X4 = CH2, CHR12 (wherein R12 = alkyl, cycloalkyl(alkyl), CO, etc.); R4, R5 = H, alkyl; R6 = (un)substituted aryl, heterocyclyl; R10-R11 = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in therapy, esp. for the treatment of chemokine receptor related diseases (such as inflammatory disease) and conditions, were prepd. E.g., a 3-step synthesis of the piperidine II was given. The exemplified compds. I were found to be antagonists of the eotaxin mediated [Ca2+]i in human eosinophils and/or antagonists of the MIP-1.alpha. mediated [Ca2+]i in human monocytes (no data). Certain compds. I were found to be antagonists of the eotaxin mediated human eosinophil chemotaxis (no data).

IT **328082-04-8P 328082-05-9P 328082-10-6P**
328082-34-4P 328082-35-5P 328082-37-7P
328082-48-0P 328082-52-6P 328082-53-7P
328082-65-1P 328082-66-2P 328082-71-9P
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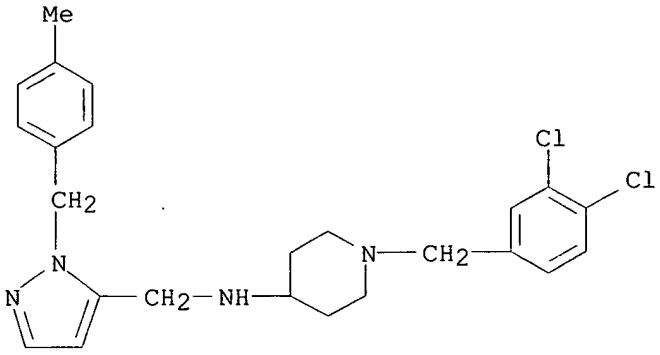
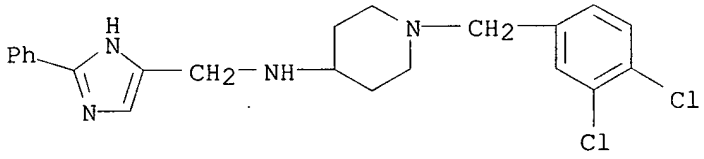
328083-70-1P 328083-75-6P 328083-76-7P
328083-77-8P 328084-34-0P 328084-35-1P
328248-70-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted piperidines as modulators of chemokine receptor activity)

RN 328082-04-8 HCAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[[1-[(4-methylphenyl)methyl]-1H-pyrazol-5-yl]methyl]- (9CI) (CA INDEX NAME)

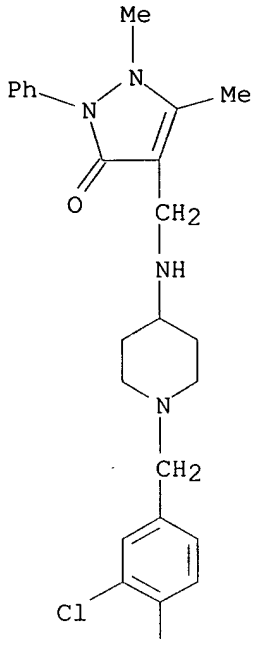


RN 328082-05-9 HCAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(2-phenyl-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



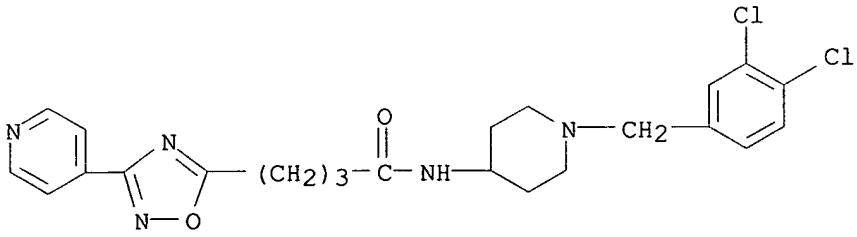
RN 328082-10-6 HCAPLUS
CN 3H-Pyrazol-3-one, 4-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

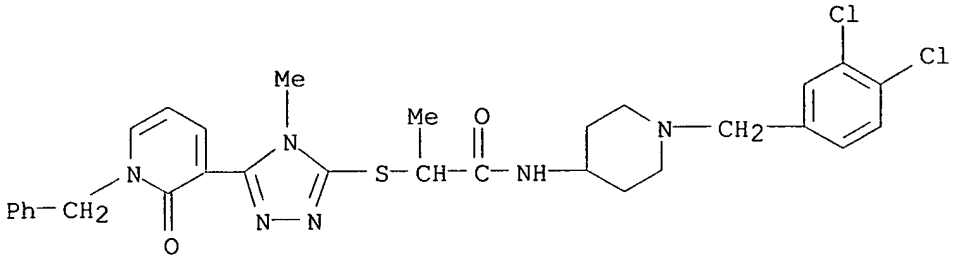


PAGE 2-A

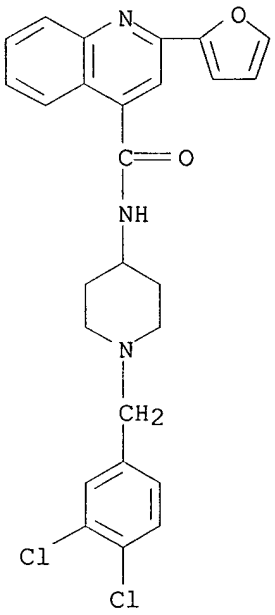
RN 328082-34-4 HCAPLUS
CN 1,2,4-Oxadiazole-5-butanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



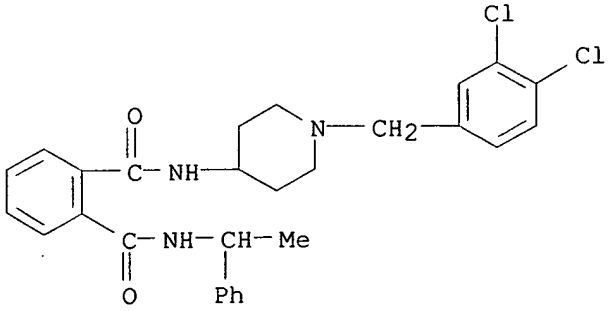
RN 328082-35-5 HCAPLUS
CN Propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[[5-[1,2-dihydro-2-oxo-1-(phenylmethyl)-3-pyridinyl]-4-methyl-4H-1,2,4-triazol-3-yl]thio]- (9CI) (CA INDEX NAME)



RN 328082-37-7 HCAPLUS
CN 4-Quinolinecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)

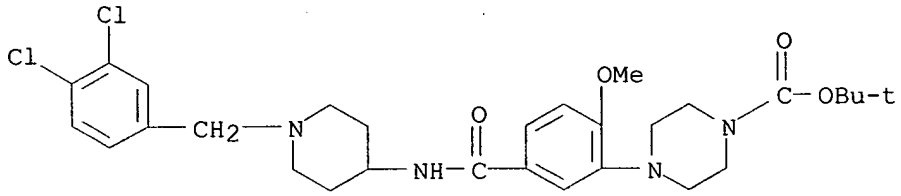


RN 328082-48-0 HCAPLUS
CN 1,2-Benzenedicarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 328082-52-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]carbonyl]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 328082-53-7 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-oxo-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

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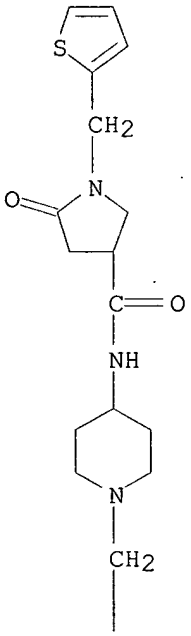

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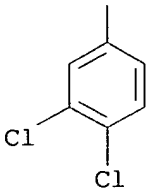
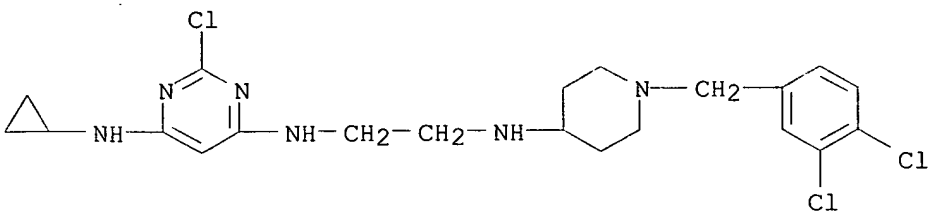
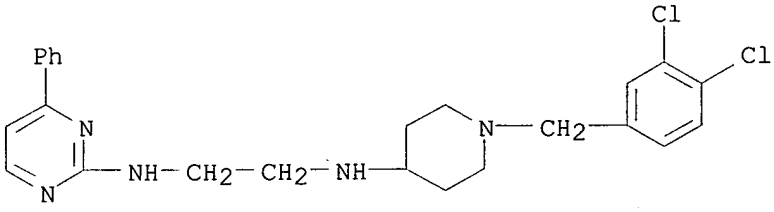


RN 328082-65-1 HCAPLUS

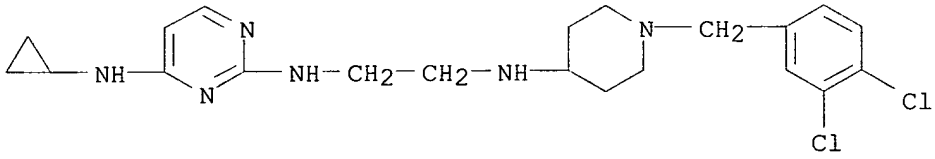
CN 4,6-Pyrimidinediamine, 2-chloro-N-cyclopropyl-N'-[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)



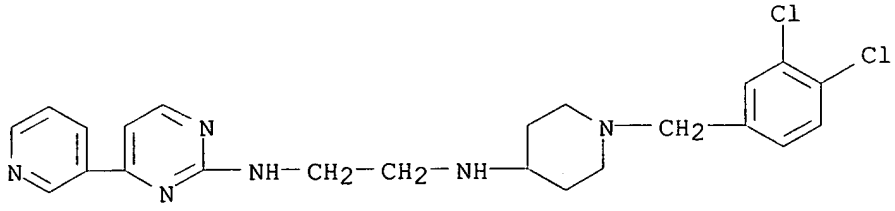
RN 328082-66-2 HCAPLUS
CN 1,2-Ethanediamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-(4-phenyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



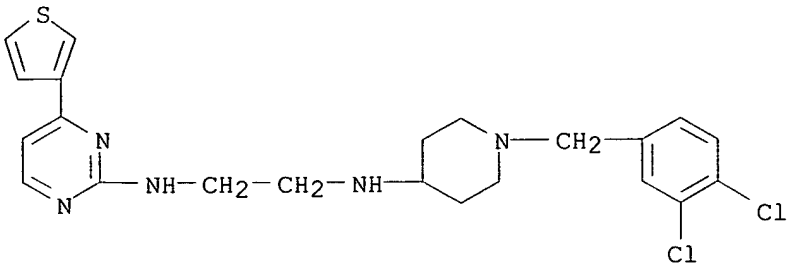
RN 328082-71-9 HCAPLUS
CN 2,4-Pyrimidinediamine, N4-cyclopropyl-N2-[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)



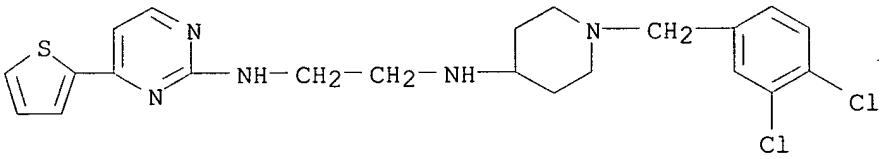
RN 328082-72-0 HCAPLUS
CN 1,2-Ethanediamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-[4-(3-pyridinyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 328082-73-1 HCAPLUS
CN 1,2-Ethanediamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-[4-(3-thienyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 328082-74-2 HCAPLUS
CN 1,2-Ethanediamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-[4-(2-thienyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 328082-81-1 HCAPLUS
CN 1H-Pyrazole-4-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)

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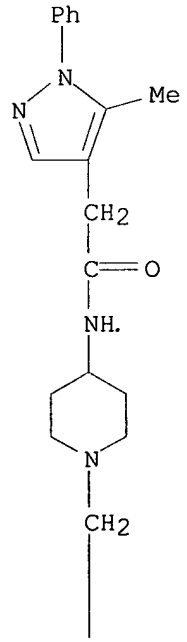

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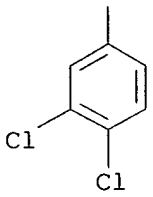
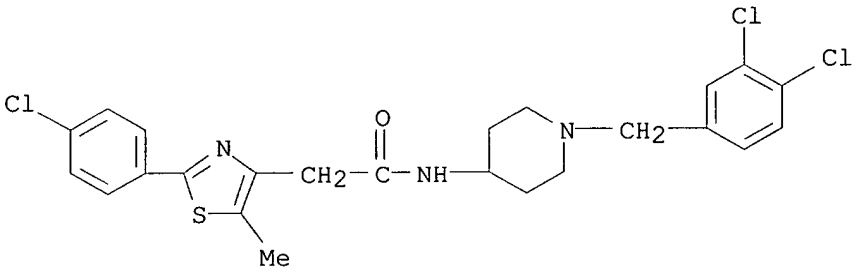
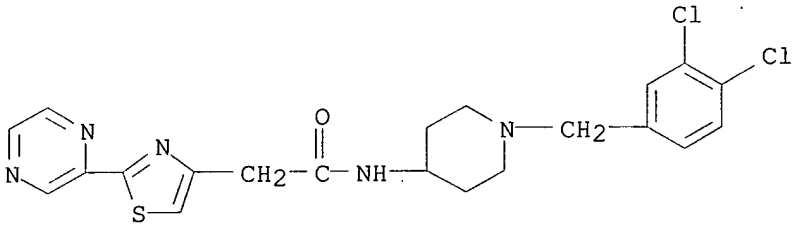


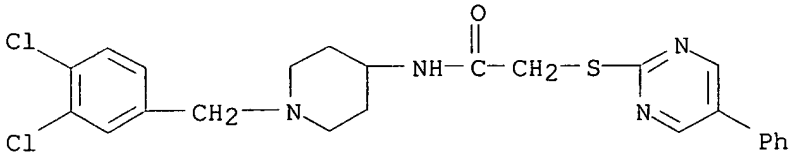
RN 328082-83-3 HCAPLUS
CN 4-Thiazoleacetamide, 2-(4-chlorophenyl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



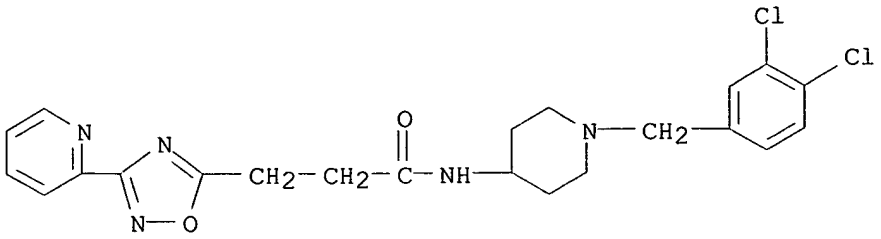
RN 328082-86-6 HCAPLUS
CN 4-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-pyrazinyl- (9CI) (CA INDEX NAME)



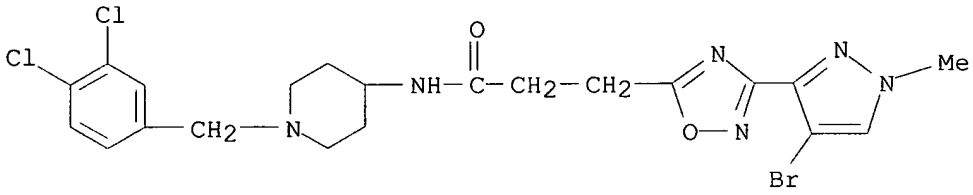
RN 328082-87-7 HCAPLUS
CN Acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[(5-phenyl-2-pyrimidinyl)thio]- (9CI) (CA INDEX NAME)



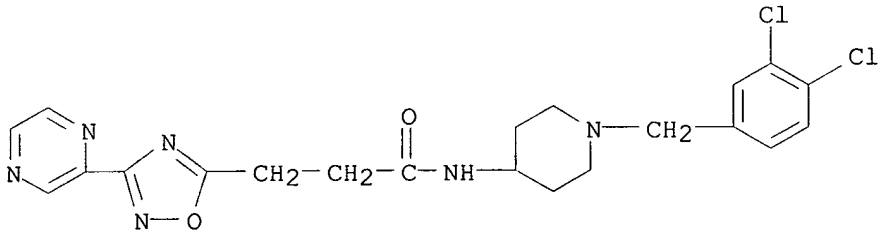
RN 328082-88-8 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



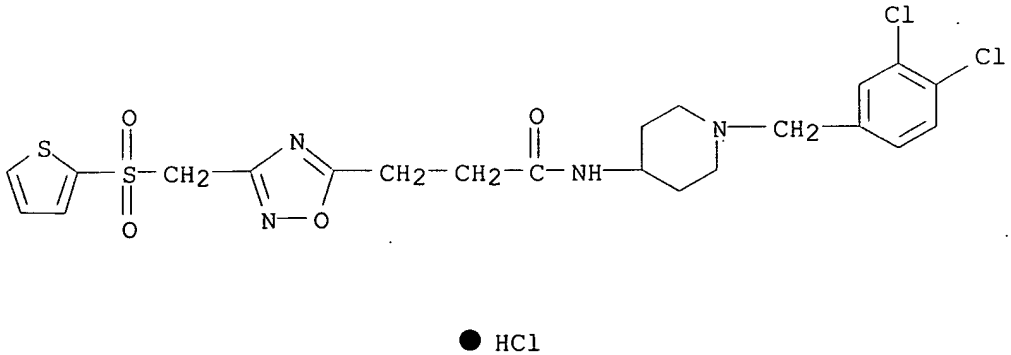
RN 328082-94-6 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, 3-(4-bromo-1-methyl-1H-pyrazol-3-yl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 328082-95-7 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-pyrazinyl- (9CI) (CA INDEX NAME)

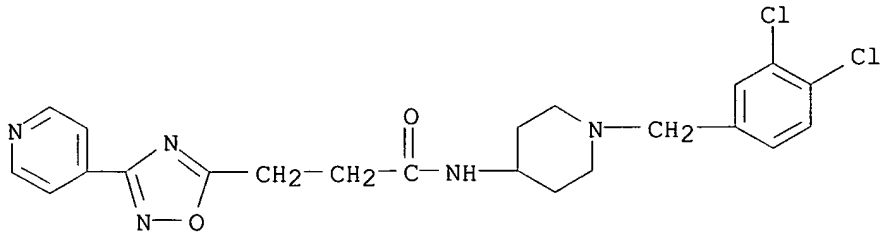


RN 328082-96-8 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-[(2-thienylsulfonyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



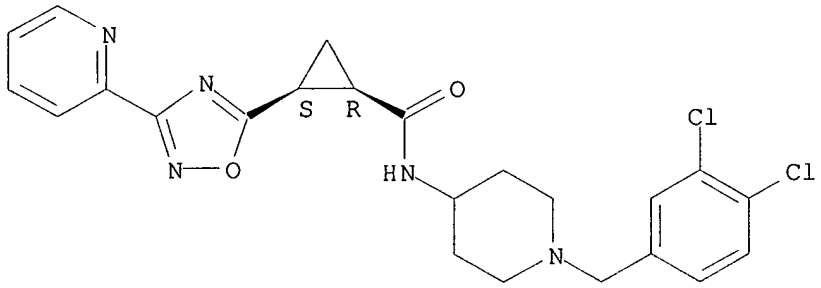
● HCl

RN 328082-98-0 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

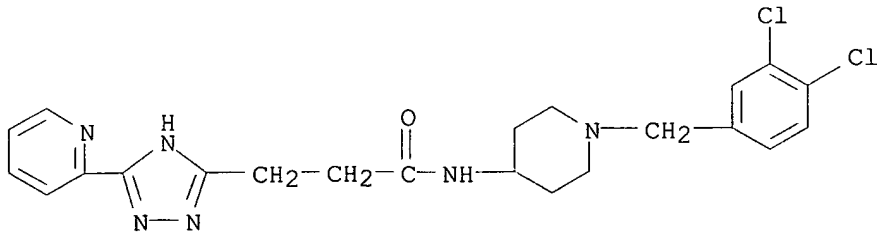


RN 328083-00-7 HCAPLUS
CN Cyclopropanecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

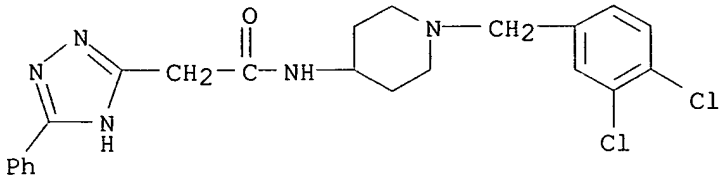
Relative stereochemistry.



RN 328083-02-9 HCAPLUS
CN 1H-1,2,4-Triazole-3-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



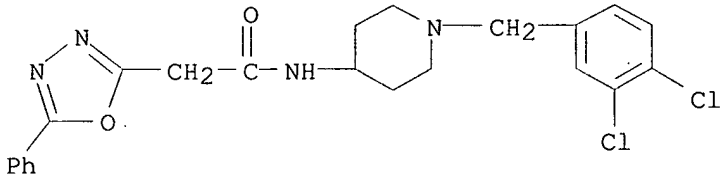
RN 328083-04-1 HCAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 328083-07-4 HCAPLUS
CN 1,3,4-Oxadiazole-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-phenyl-, monoacetate (9CI) (CA INDEX NAME)

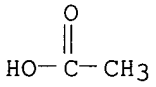
CM 1

CRN 328083-06-3
CMF C22 H22 Cl2 N4 O2

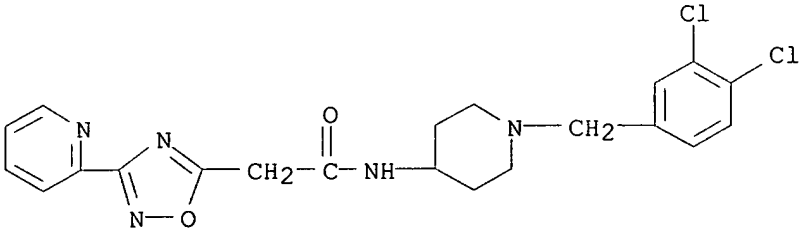


CM 2

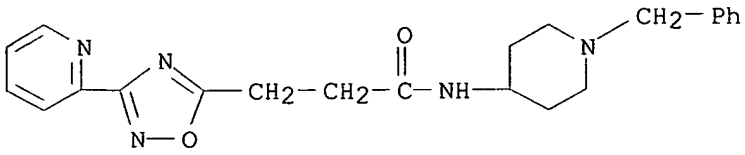
CRN 64-19-7
CMF C2 H4 O2



RN 328083-09-6 HCAPLUS
CN 1,2,4-Oxadiazole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

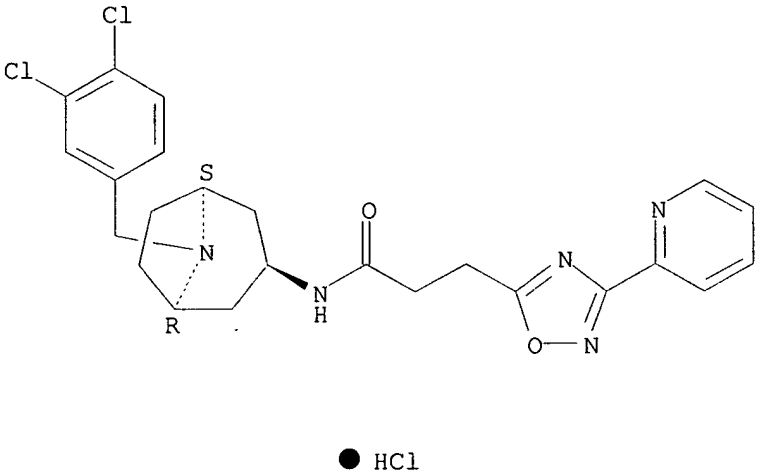


RN 328083-21-2 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-(phenylmethyl)-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 328083-32-5 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[(3-endo)-8-[(3,4-dichlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]-3-(2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

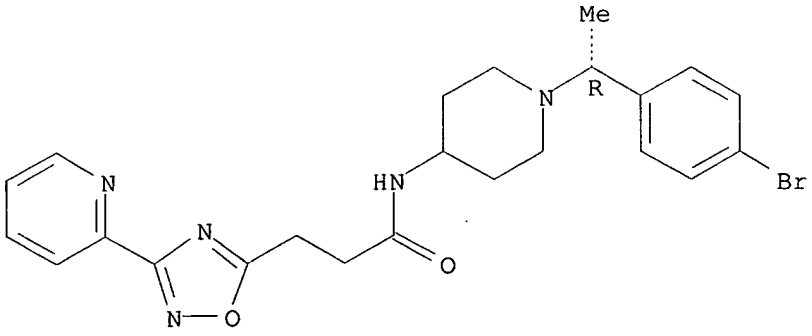
Relative stereochemistry.



● HCl

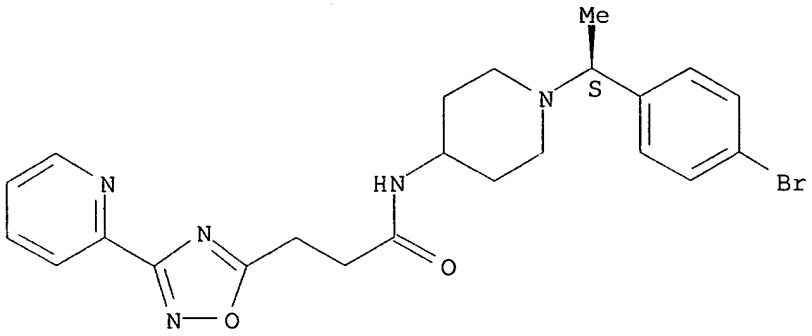
RN 328083-39-2 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(1R)-1-(4-bromophenyl)ethyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

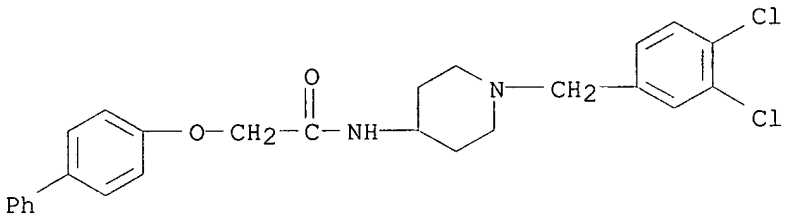


RN 328083-41-6 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(1S)-1-(4-bromophenyl)ethyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

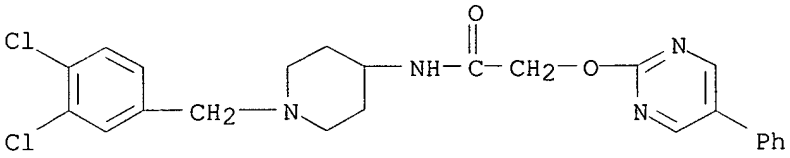
Absolute stereochemistry. Rotation (-).



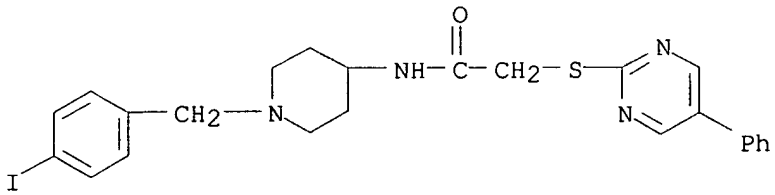
RN 328083-44-9 HCAPLUS
CN Acetamide, 2-([1,1'-biphenyl]-4-yloxy)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



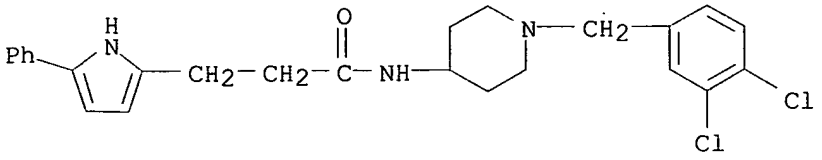
RN 328083-49-4 HCAPLUS
CN Acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[(5-phenyl-2-pyrimidinyl)oxy]- (9CI) (CA INDEX NAME)



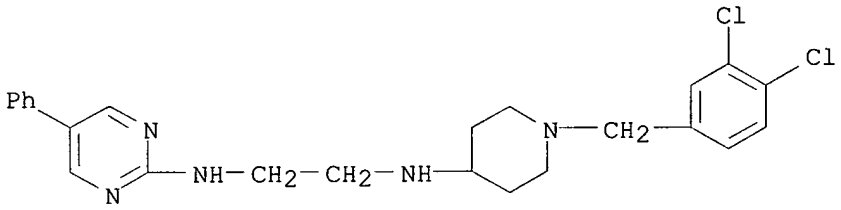
RN 328083-50-7 HCAPLUS
CN Acetamide, N-[1-[(4-iodophenyl)methyl]-4-piperidinyl]-2-[(5-phenyl-2-pyrimidinyl)thio]- (9CI) (CA INDEX NAME)



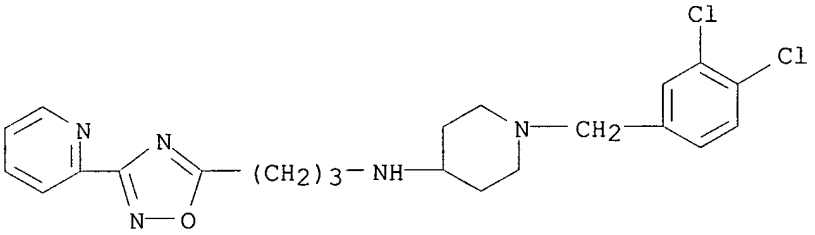
RN 328083-57-4 HCAPLUS
CN 1H-Pyrrole-2-propanamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



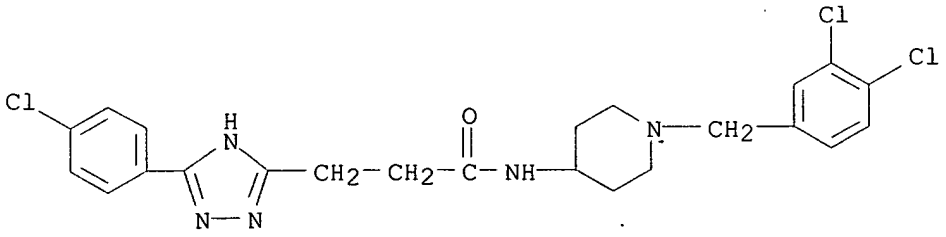
RN 328083-59-6 HCAPLUS
CN 1,2-Ethanediamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-(5-phenyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



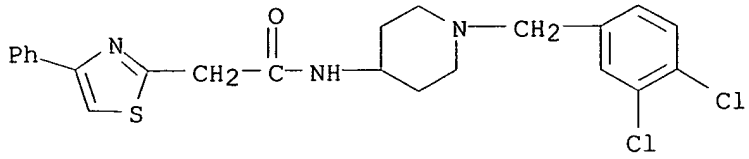
RN 328083-68-7 HCAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]propyl]- (9CI) (CA INDEX NAME)



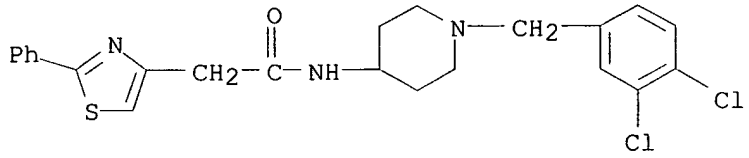
RN 328083-70-1 HCAPLUS
CN 1H-1,2,4-Triazole-3-propanamide, 5-(4-chlorophenyl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



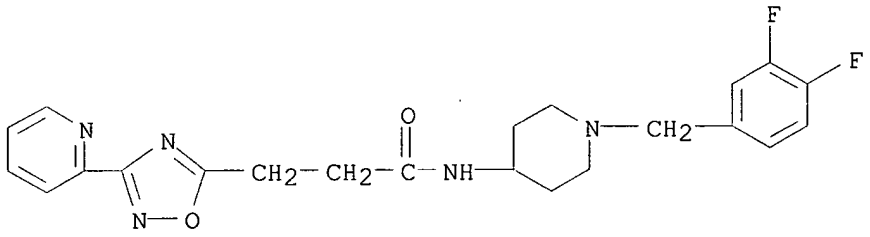
RN 328083-75-6 HCAPLUS
CN 2-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-phenyl- (9CI) (CA INDEX NAME)



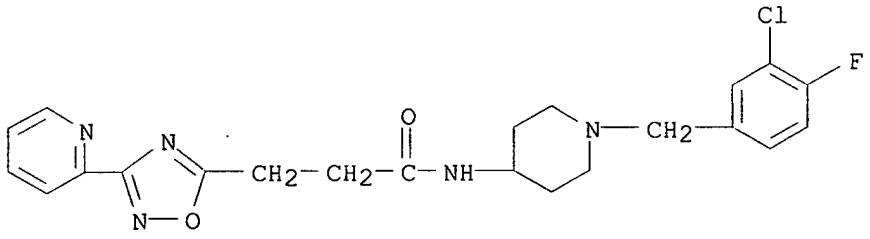
RN 328083-76-7 HCAPLUS
CN 4-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



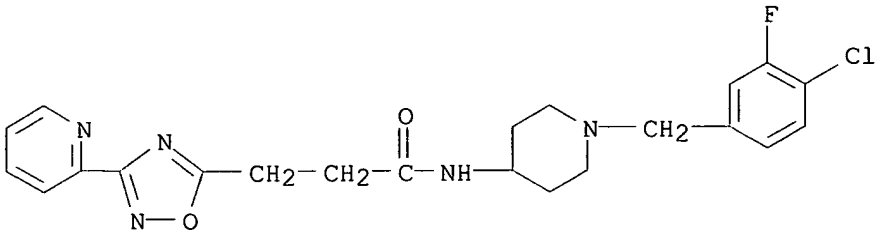
RN 328083-77-8 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 328084-34-0 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(3-chloro-4-fluorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

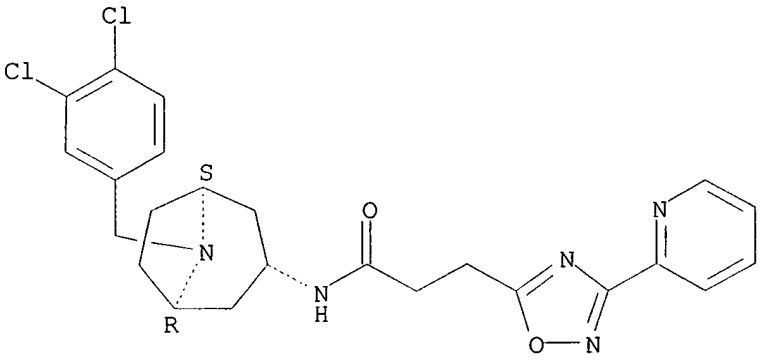


RN 328084-35-1 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[1-[(4-chloro-3-fluorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



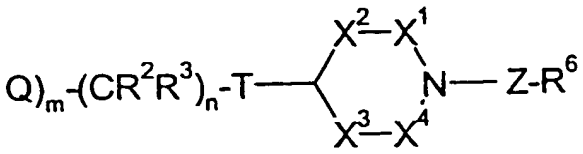
RN 328248-70-0 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanamide, N-[(3-exo)-8-[(3,4-dichlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SUBSTITUTED PIPERIDINE COMPOUNDS USEFUL AS MODULATORS OF CHEMOKINE RECEPTO



(I)

(57) **Abstract:** The invention compounds of formula (I) wherein R^1, Z, Q, m, n, X^1, X^2, X^3, X^4 and T are as the specification, processes for their p pharmaceutical compositions contain and their use in therapy, especiall treatment of chemokine receptor relat

ions.

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TI Benzamide compounds and their use as neovascularization inhibitors
IN Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki